

Please, search fully a compound of formula (I) of claim 1 (in the attached file)

When:

Z= oxygen,

Q= bond,

W= 5-membered aromatic heterocycle

Also, please do a species search on the elected species attached.

Thank you very much.

Valerie
Valerie Rodriguez-Garcia, Ph.D.
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U.S. Patent and Trademark Office
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10/1/2008

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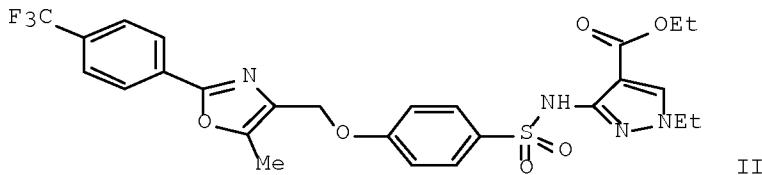
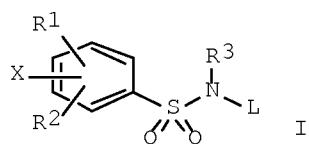
L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON US2006-563708/APPS
 L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L2 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:58199 HCAPLUS Full-text
 DOCUMENT NUMBER: 142:134592
 TITLE: Preparation of N-pyrazolylbenzenesulfonylamide derivatives as activators of PPARs
 INVENTOR(S): Vedananda, Thalaththani Ralalage
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005421	A1	20050120	WO 2004-EP7442	20040707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004255342	A1	20050120	AU 2004-255342	20040707
CA 2531418	A1	20050120	CA 2004-2531418	20040707
EP 1646628	A1	20060419	EP 2004-740754	20040707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1816546	A	20060809	CN 2004-80019234	20040707
BR 2004012380	A	20060919	BR 2004-12380	20040707
MX 2006PA00118	A	20060427	MX 2006-PA118	20060105
IN 2006CN00071	A	20070629	IN 2006-CN71	20060105
US 20070043020	A1	20070222	US 2006-563708	20060619 <--
PRIORITY APPLN. INFO.:			US 2003-485870P	P 20030708
			WO 2004-EP7442	W 20040707
OTHER SOURCE(S):	MARPAT	142:134592		
ED Entered STN:	21 Jan 2005			
GI				



AB Title compds. represented by the formula I [wherein R1, R2= independently H, halo, OH, (un)substituted alkyl(thio), alkoxy, (hetero)aralkyl; R1R2 = (un)substituted (hetero)aromatic ring, alkylene; R3 = H or (un)substituted alkyl; X = Z(CH₂)pQW; Z = a bond, O, S, CO, etc.; p = 1-8, Q = a bond, O(alkylene), S(alkylene), CO, etc.; W = cycloalkyl, aryl, (hetero)aralkyl, etc.; L = heteroarom. ring; and pharmaceutically acceptable salts thereof, or prodrug derivs. thereof] were prepared as activators of PPARs (Peroxisome Proliferator-Activated Receptors). For example, II was given in a multi-step synthesis starting from 4-hydroxybenzenesulfonic acid sodium salt dihydrate. II showed an EC₅₀ of about 5 nM in the PPAR α receptor binding assay, and an EC₅₀ of about 3 nM in the PPAR γ receptor binding assay. Thus, I and their pharmaceutical compns. are useful for the treatment of conditions mediated by the PPAR receptor activity in mammals, such as dyslipidemia, hyperlipidemia, hypercholesterolemia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, ophthalmic disorders, inflammatory bowel diseases (IBDs) ulcerative colitis and Crohn's disease, and conditions in which impaired glucose tolerance, hyperglycemia and insulin resistance are implicated, such as type-1 and type-2 diabetes, and Syndrome X (no data).

IC ICM C07D413-12

ICS A61K031-422; A61P003-10

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

ST oxazolylmethoxy pyrazolyl benzenesulfonylamide prepn PPAR activator

IT Inflammation

(Crohn's disease; preparation of N-pyrazolylbenzenesulfonyl amide derivs. as activators of PPAR receptors)

IT Intestine, disease

(Crohn's; preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPAR receptors)

IT Nuclear receptors

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(FXR (farnesoid X receptor), combination therapy agent; preparation of N-pyrazolylbenzenesulfonyl amide derivs. as activators of PPAR receptors)

IT Steroid receptors

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(LXR (liver X receptor), combination therapy agent; preparation of N-pyrazolylbenzenesulfonyl amide derivs. as activators of PPAR receptors)

IT Antiarteriosclerotics

(antiatherosclerotics; preparation of N-pyrazolylbenzenesulfonylamine

derivs. as activators of PPAR receptors)
 IT Heart, disease
 (failure; preparation of N-pyrazolylbenzenesulfonylamine derivs. as
 activators of PPAR receptors)
 IT Heart, disease
 (infarction; preparation of N-pyrazolylbenzenesulfonylamine derivs. as
 activators of PPAR receptors)
 IT Intestine, disease
 (inflammatory; preparation of N-pyrazolylbenzenesulfonylamine derivs. as
 activators of PPAR receptors)
 IT Autoimmune disease
 (insulin-dependent diabetes mellitus; preparation of N-
 pyrazolylbenzenesulfonylamine derivs. as activators of PPAR receptors)
 IT Diabetes mellitus
 (insulin-dependent; preparation of N-pyrazolylbenzenesulfonylamine derivs.
 as activators of PPAR receptors)
 IT Sulfonylureas
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (insulinotropic, combination therapy agent; preparation of
 N-pyrazolylbenzenesulfonylamine derivs. as activators of PPAR
 receptors)
 IT Metabolic disorders
 (metabolic syndrome X; preparation of N-pyrazolylbenzenesulfonylamine
 derivs. as activators of PPAR receptors)
 IT Diabetes mellitus
 (non-insulin-dependent; preparation of N-pyrazolylbenzenesulfonylamine
 derivs. as activators of PPAR receptors)
 IT Alzheimer's disease
 Anti-Alzheimer's agents
 Anti-inflammatory agents
 Antiarthritics
 Antidiabetic agents
 Antihypertensives
 Antiobesity agents
 Antitumor agents
 Arthritis
 Atherosclerosis
 Blood vessel, disease
 Cardiovascular agents
 Cardiovascular system, disease
 Combination chemotherapy
 Eye, disease
 Hypercholesterolemia
 Hypertension
 Hypertriglyceridemia
 Hypolipemic agents
 Infectious bursal disease virus
 Inflammation
 Neoplasm
 Obesity
 Respiratory system, disease
 Skin, disease
 (preparation of N-pyrazolylbenzenesulfonylamine derivs. as activators of
 PPAR receptors)
 IT Dyslipidemia
 Hyperlipidemia
 Peroxisome proliferator-activated receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of N-pyrazolylbenzenesulfonylamine derivs. as activators of
 PPAR receptors)

IT Proteins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (saposin C, combination therapy agent, inhibitors of; preparation of
 N-pyrazolylbenzenesulfonylamine derivs. as activators of PPAR
 receptors)

IT Inflammation
 Intestine, disease
 (ulcerative colitis; preparation of N-pyrazolylbenzenesulfonylamine derivs.
 as activators of PPAR receptors)

IT Peroxisome proliferator-activated receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (α ; preparation of N-pyrazolylbenzenesulfonylamine derivs. as
 activators of PPAR receptors)

IT Peroxisome proliferator-activated receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (γ ; preparation of N-pyrazolylbenzenesulfonylamine derivs. as
 activators of PPAR receptors)

IT 9028-35-7, HMG-CoA reductase 9077-14-9, Squalene synthase 54249-88-6,
 DPPIV
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (combination therapy agent, inhibitors of; preparation of
 N-pyrazolylbenzenesulfonylamine derivs. as activators of PPAR
 receptors)

IT 50-78-2, Aspirin 56-03-1, Biguanide 59-67-6, Nicotinic acid,
 biological studies 943-45-3D, Fibric acid, derivs. 9004-10-8D,
 Insulin, derivative or mimetic, secretagogue 11041-12-6, Cholestyramine
 89750-14-1D, GLP-1, analog or mimetic
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combination therapy agent; preparation of N-pyrazolylbenzenesulfonylamine
 derivs. as activators of PPAR receptors)

IT 827018-08-6P 827018-09-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of N-pyrazolylbenzenesulfonylamine derivs. as activators of
 PPAR receptors)

IT 827018-10-0P 827018-11-1P 827018-12-2P 827018-13-3P 827018-14-4P
 827018-15-5P 827018-16-6P 827018-17-7P 827018-18-8P 827018-19-9P
 827018-20-2P 827018-21-3P 827018-22-4P 827018-23-5P 827018-24-6P
 827018-25-7P 827018-26-8P 827018-27-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of N-pyrazolylbenzenesulfonylamine derivs. as activators of
 PPAR receptors)

IT 6994-25-8, 3-Amino-1H-pyrazole-4-carboxylic acid ethyl ester 10580-19-5,
 4-Hydroxybenzenesulfonic acid sodium salt dihydrate 52887-29-3,
 (3-Amino-1H-pyrazol-4-yl)phenylmethanone 174258-39-0,
 4-Chloromethyl-5-methyl-2-(4-trifluoromethylphenyl)oxazole 532958-73-9,
 4-(5-Methyl-2-phenyloxazol-4-ylmethoxy)benzenesulfonyl chloride
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of N-pyrazolylbenzenesulfonylamine derivs. as activators of
 PPAR receptors)

IT 827018-28-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of N-pyrazolylbenzenesulfonylamine derivs. as activators of
 PPAR receptors)

IT 827018-07-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

10/563,708

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPARs)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 2 SEA FILE=WPIX ABB=ON PLU=ON US2006-563708/APPS
L4 1 SEA FILE=WPIX ABB=ON PLU=ON L3 NOT PIXEL/TI

=> d iall code 14

YOU HAVE REQUESTED DATA FROM FILE 'WPIX' - CONTINUE? (Y)/N:y

L4 ANSWER 1 OF 1 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
ACCESSION NUMBER: 2005-112619 [12] WPIX
DOC. NO. CPI: C2005-037717 [12]
TITLE: New benzenesulfonylamino compounds, useful for the treatment of e.g. dyslipidemia, hyperlipidemia, myocardial infarction, hypercholesterolemia and atherosclerosis
DERWENT CLASS: B02; B03
INVENTOR: THALATHTHANI R V; VEDANANDA T R
PATENT ASSIGNEE: (NOVS-C) NOVARTIS AG; (NOVS-C) NOVARTIS PHARMA GMBH; (VEDA-I) VEDANANDA T R
COUNTRY COUNT: 107

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2005005421	A1	20050120	(200512)*	EN	61[0]	
EP 1646628	A1	20060419	(200627)	EN		
AU 2004255342	A1	20050120	(200655)	EN		
BR 2004012380	A	20060919	(200663)	PT		
MX 2006000118	A1	20060501	(200680)	ES		
CN 1816546	A	20060809	(200682)	ZH		
US 20070043020	A1	20070222	(200717)	EN		
IN 2006CN00071	P4	20070629	(200768)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005005421	A1	WO 2004-EP7442	20040707
US 20070043020	A1 Provisional	US 2003-485870P	20030708
AU 2004255342	A1	AU 2004-255342	20040707
BR 2004012380	A	BR 2004-12380	20040707
CN 1816546	A	CN 2004-80019234	20040707
EP 1646628	A1	EP 2004-740754	20040707
EP 1646628	A1	WO 2004-EP7442	20040707
BR 2004012380	A	WO 2004-EP7442	20040707
MX 2006000118	A1	WO 2004-EP7442	20040707
US 20070043020	A1	WO 2004-EP7442	20040707
IN 2006CN00071	P4	WO 2004-EP7442	20040707

NWR5 = 3- to 7-membered monocyclic or 8- to 12-membered bicyclic ring (optionally substituted or optionally containing heteroatom selected from O, N or S);

NWR7 = a 3- to 7-membered monocyclic or 8- to 12-membered bicyclic ring (optionally substituted or optionally containing heteroatom selected from O, N or S);

L = a 5-membered aromatic heterocycle.

Provided that:

(1) when R1+R2 is optionally substituted fused 5- to 6-membered aromatic or heteroaromatic ring, then R1+R2 are attached to carbon atoms adjacent to each other;

(2) when R1+R2 is alkylene to form fused 5- to 7-membered ring, then R1+R2 is attached to carbon atoms adjacent to each other; and

(3) R1-C and R2-C may independently be replaced by nitrogen.

An INDEPENDENT CLAIM is also included for a composition comprising (I) in combination with at least one carrier and additionally insulin, its derivative or mimetic; insulin secretagogue; insulinotropic sulfonylurea receptor ligand; insulin sensitizer; biguanide; alpha-glucosidase inhibitor; GLP-1 or its analog or mimetic; dipeptidyl peptidase (IV) (DPP-IV) inhibitor; HMG-CoA reductase inhibitor; squalene synthase inhibitor; FXR or LXR ligand; cholestyramine; fibrate; nicotinic acid; or aspirin.

ACTIVITY - Antilipemic; Antiarteriosclerotic; Antidiabetic; Cardiant; Vasotropic; Hypotensive; Anorectic; Antiinflammatory; Antiarthritic; Cytostatic; Neuroprotective; Dermatological; Respiratory-Gen.; Ophthalmological; Gastrointestinal-Gen.; Antiulcer; Analgesic; Antianginal.

MECHANISM OF ACTION - Peroxisome proliferator-activated Receptors (PPAR)alpha and PPARgamma receptors agonist and antagonists. An in vitro functional binding to the PPARalpha and PPARgamma receptors was determined as follows: The functional binding assays for the PPARalpha and PPARgamma receptors were a variation of the coactivator-dependent receptor ligand assay (CARLA). Both assays included glutathione-S-transferase (GST) fusion proteins (3 nM). The GST fusion proteins were purified on glutathione sepharose affinity columns. The assay buffer contained Tris (50 mM) pH 7.4, KCl (50 mM), BSA (0.1%) and DTT (dithiothreitol) (1 mM).

The assay was carried out using 1-ethyl-3-(4-(5-methyl-2-)-4-trifluoromethyl-phenyl)-oxazol-4-ylmethoxy)-benzene-sulfonylamino)-1H-pyrazole-4-carboxylic acid ethyl ester (Ia) in black half area 96-well plates in a final volume of 25 μl. After mixing all components, the reaction mixture stands for 3 hours at room temperature before reading the TR-FRET (Time-Resolved Fluorescence Resonance Energy Transfer) signal on a Wallac Victor 2 plate reader. The EC50 value of (Ia) was found to be 5 nm in the PPARalpha receptor binding assay and 3 nM in the PPARgamma receptor binding assay.

USE - Compounds (I) are useful for the activation of Peroxisome proliferator-activated Receptors (PPARs); for the treatment of conditions mediated by PPARs; for treatment of dyslipidemia, hyperlipidemia, hypercholesterolemia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, ophthalmic disorders, inflammatory bowel diseases (IBDs), ulcerative colitis, Crohn's disease, type-1 and type-2 diabetes, and Syndrome-X; for the preparation of a medicament or pharmaceutical composition for the treatment of conditions associated with PPAR activity (all claimed).

ADVANTAGE - Compounds (I) bind or activate (PPARs). MANUAL CODE:

CPI: B06-H; B07-H; B10-A08; B14-C03; B14-C09; B14-D01E;
 B14-D02A2; B14-D05D; B14-D07; B14-D10; B14-E08;
 B14-E10C1; B14-E12; B14-F01; B14-F02; B14-F06; B14-F07;
 B14-H01; B14-J01A4; B14-K01; B14-L01; B14-L06; B14-N03;
 B14-N17; B14-S04; B14-S16

DCN: RAGQMM-N RAGQMM-T
 DCR: 1022228-N 1022228-T

M2 *03* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2
 H211 H5 H541 H8 J0 J011 J1 J111 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M212 M240 M273 M281 M311 M321 M342 M373 M391 M413
 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617
 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMN-N RAGQMN-T
 DCR: 1022229-N 1022229-T

M2 *04* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2
 H211 H5 H541 H8 J0 J011 J3 J311 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M212 M240 M273 M281 M311 M321 M342 M373 M391 M413
 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617
 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMO-N RAGQMO-T
 DCR: 1022230-N 1022230-T

M2 *05* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2
 H211 H5 H541 H8 J0 J011 J3 J311 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M212 M240 M273 M281 M311 M321 M342 M373 M391 M413
 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617
 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMP-N RAGQMP-T
 DCR: 1022231-N 1022231-T

M2 *06* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G019 G100
 H2 H211 H5 H541 H8 J5 J581 K0 K3 K353 L943 M1 M113 M123 M129
 M131 M147 M210 M211 M212 M240 M273 M281 M311 M321 M342 M373 M391
 M413 M510 M522 M533 M540 M710 P420 P421 P446 P520 P522 P523 P526
 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905
 M904
 DCN: RAGQMQ-N RAGQMQ-T
 DCR: 1022232-N 1022232-T

M2 *07* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G019 G100
 H2 H211 H5 H541 H8 J0 J011 J2 J211 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M240 M272 M281 M311 M322 M342 M373 M392 M413 M510
 M522 M533 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625
 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMS-N RAGQMS-T
 DCR: 1022234-N 1022234-T

M2 *08* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G019 G100
 H2 H211 H5 H541 H8 J0 J011 J1 J111 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M240 M281 M311 M322 M342 M373 M392 M413 M510 M522
 M533 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633
 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMT-N RAGQMT-T
 DCR: 1022235-N 1022235-T

M2 *09* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2
 H211 H5 H541 H8 J0 J011 J2 J211 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M240 M272 M273 M281 M311 M321 M342 M373 M391 M413
 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617
 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMU-N RAGQMU-T
 DCR: 1022236-N 1022236-T

M2 *10* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2
 H211 H5 H541 H8 J0 J011 J1 J111 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M240 M273 M281 M311 M321 M342 M373 M391 M413 M510
 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625
 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMV-N RAGQMV-T
 DCR: 1022237-N 1022237-T

M2 *11* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2 H211 H5 H541 H7 H713 H721 H8 J0 J011 J2 J211 K0 K3 K353 L943 M1 M113 M123 M147 M210 M211 M212 M240 M272 M273 M281 M311 M321 M342 M373 M391 M413 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMW-N RAGQMW-T
 DCR: 1022238-N 1022238-T

M2 *12* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2 H211 H5 H541 H7 H713 H721 H8 J0 J011 J1 J111 K0 K3 K353 L943 M1 M113 M123 M147 M210 M211 M212 M240 M272 M273 M281 M311 M321 M342 M373 M391 M413 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMX-N RAGQMX-T
 DCR: 1022239-N 1022239-T

M2 *13* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G019 G100 H2 H211 H5 H541 H6 H685 H8 J0 J011 J2 J211 K0 K3 K353 L943 M1 M113 M123 M147 M210 M211 M240 M272 M273 M281 M311 M322 M342 M344 M353 M373 M391 M413 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMY-N RAGQMY-T
 DCR: 1022240-N 1022240-T

M2 *14* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2 H211 H5 H541 H8 J0 J011 J2 J211 K0 K3 K353 L943 M1 M113 M123 M147 M210 M211 M213 M231 M240 M272 M273 M281 M311 M321 M342 M373 M391 M413 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQMZ-N RAGQMZ-T
 DCR: 1022241-N 1022241-T

M2 *15* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2 H211 H5 H541 H8 J0 J011 J3 J311 K0 K3 K353 L943 M1 M113 M123 M147 M210 M211 M212 M240 M273 M281 M282 M311 M321 M342 M373 M391 M413 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQN0-N RAGQN0-T
 DCR: 1022242-N 1022242-T

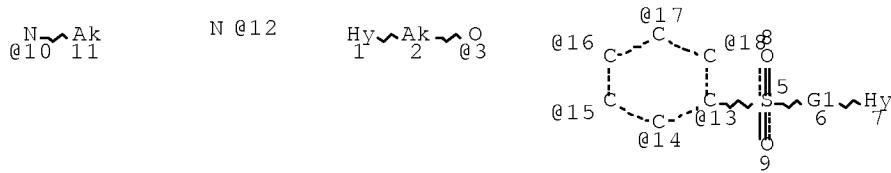
M2 *16* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2 H211 H5 H541 H8 J0 J011 J3 J311 K0 K3 K353 L943 M1 M113 M123 M147 M210 M211 M212 M240 M273 M281 M283 M311 M321 M342 M373 M391 M413 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQN1-N RAGQN1-T
 DCR: 1022243-N 1022243-T

M2 *17* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G030 G112 G530 H2 H211 H5 H541 H8 J0 J011 J3 J311 K0 K3 K353 L943 M1 M113 M123 M147 M210 M211 M212 M240 M273 M281 M311 M322 M342 M373 M392 M413 M510 M522 M532 M541 M710 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQN2-N RAGQN2-T
 DCR: 1022244-N 1022244-T

M2 *18* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G019 G100 H2 H211 H5 H541 H8 J0 J011 J3 J311 K0 K3 K353 L943 M1 M113 M123 M147 M210 M211 M212 M240 M273 M281 M311 M322 M342 M373 M392 M413 M510 M522 M533 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617

P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQN3-N RAGQN3-T
 DCR: 1022245-N 1022245-T
 M2 *19* C316 F011 F012 F013 F014 F015 F019 F433 F511 F610 G010 G013 G100
 H2 H212 H5 H541 H8 J0 J011 J3 J311 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M212 M240 M273 M281 M311 M321 M342 M373 M391 M413
 M510 M523 M532 M540 M710 P420 P421 P446 P520 P522 P523 P526 P617
 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RAGQN4-N RAGQN4-T
 DCR: 1022246-N 1022246-T
 M2 *20* C316 F011 F012 F013 F014 F015 F019 F511 F610 G010 G013 G100 H2
 H211 H5 H541 H8 J0 J011 J2 J211 K0 K3 K353 L943 M1 M113 M123
 M147 M210 M211 M212 M240 M272 M273 M281 M282 M311 M321 M342 M373
 M391 M413 M510 M522 M532 M540 M710 P420 P421 P446 P520 P522 P523
 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943
 M905 M904
 DCN: RAGQN5-N RAGQN5-T
 DCR: 1022247-N 1022247-T
 M2 *21* C216 C316 F010 F011 F013 F014 F015 F020 F021 F029 F511 G001 G002
 G003 G010 G011 G012 G013 G014 G015 G016 G017 G019 G020 G021 G022
 G029 G030 G040 G050 G100 G111 G112 G113 G221 G299 G553 G563 H102
 H103 H121 H141 H161 H181 H211 H401 H402 H441 H442 H521 H522 H541
 H542 H543 H561 H581 H592 H594 H596 H598 H599 H600 H608 H641 H642
 J011 J012 J013 J111 J211 J311 J312 J321 J331 J332 J341 J351 J361
 J371 J581 J582 J583 K0 K3 K353 K442 K499 L432 L462 L463 L640
 L650 L660 L943 M1 M121 M122 M123 M124 M125 M126 M129 M132 M135
 M136 M137 M139 M143 M147 M149 M150 M210 M211 M212 M213 M214 M215
 M216 M220 M221 M222 M223 M224 M225 M226 M231 M232 M233 M240 M262
 M271 M272 M273 M280 M281 M282 M283 M311 M312 M313 M314 M315 M316
 M321 M322 M323 M331 M332 M333 M340 M342 M349 M372 M373 M381 M382
 M383 M391 M392 M393 M413 M510 M521 M522 M523 M531 M532 M533 M540
 M541 M542 M630 M640 M650 M710 P420 P421 P446 P520 P522 P523 P526
 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905
 M904
 MCN: 0148-98901-N 0148-98901-T
 M2 *24* K0 L2 L240 M280 M320 M416 M431 M620 M782 P420 P421 P446 P520
 P522 P523 P526 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922
 P943 M905 M904
 DCN: R03018-K R03018-M R03018-T
 DCR: 8534-K 8534-M 8534-T
 M2 *25* C017 C100 C720 C800 C801 C803 C804 C805 C806 C807 G011 G013 G100
 H1 H181 K0 L7 L722 M1 M121 M135 M210 M211 M212 M240 M273 M281
 M283 M311 M314 M321 M331 M342 M373 M391 M411 M431 M510 M520 M532
 M540 M640 M782 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633
 P714 P731 P738 P814 P816 P820 P922 P943 M905 M904
 DCN: RA0JOR-K RA0JOR-M RA0JOR-T
 DCR: 91469-K 91469-M 91469-T
 M2 *26* F013 F431 J0 J011 J1 J111 M280 M320 M413 M431 M510 M521 M530
 M540 M782 P420 P421 P446 P520 P522 P523 P526 P617 P625 P633 P714
 P731 P738 P814 P816 P820 P922 P943 M905 M904 M910
 DCN: R00190-K R00190-M R00190-T R12975-K R12975-M R12975-T
 DCR: 6756-K 6756-M 6756-T 6756-U
 M2 *27* G011 G100 J0 J012 J1 J131 J2 J241 M210 M211 M262 M281 M320 M414
 M431 M510 M520 M531 M540 M782 P420 P421 P446 P520 P522 P523 P526
 P617 P625 P633 P714 P731 P738 P814 P816 P820 P922 P943 M905
 M904 M910
 DCN: R00034-K R00034-M R00034-T R06663-K R06663-M R06663-T
 DCR: 130269-U 138321-U 87874-K 87874-M 87874-T 87874-U 87878-U

=> => d que stat 120
 L13 STR



VAR G1=12/10
 VPA 3-13/14/15/16/17/18 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12
 DEFAULT MLEVEL IS ATOM
 GGCAT IS UNS AT 1
 GGCAT IS UNS AT 7
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

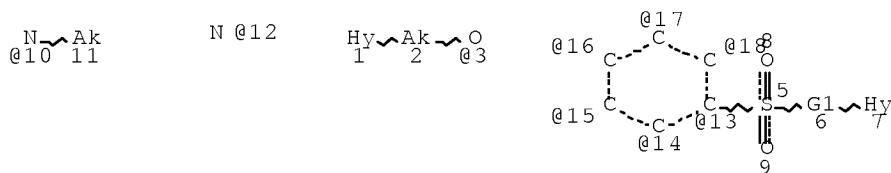
STEREO ATTRIBUTES: NONE

L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13

100.0% PROCESSED 52164 ITERATIONS
 SEARCH TIME: 00.00.01

41 ANSWERS

=> d que stat 122
 L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON US2006-563708/APPS
 L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI
 L5 TRANSFER PLU=ON L2 1- RN : 37 TERMS
 L6 37 SEA FILE=REGISTRY ABB=ON PLU=ON L5
 L13 STR



VAR G1=12/10
 VPA 3-13/14/15/16/17/18 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12
 DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 1
 GGCAT IS UNS AT 7
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

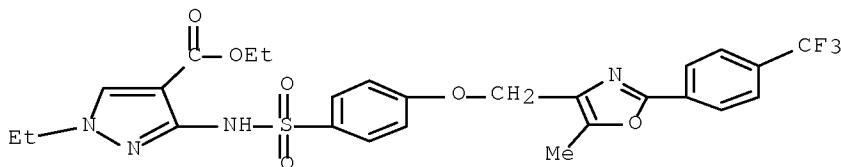
STEREO ATTRIBUTES: NONE

L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
 L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
 L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
 S"/MF

=> d ide 122

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L22 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
 RN 827018-07-5 REGISTRY
 ED Entered STN: 07 Feb 2005
 CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[4-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)
 MF C26 H25 F3 N4 O6 S
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file stnguide
 FILE 'STNGUIDE' ENTERED AT 12:51:59 ON 02 OCT 2008
 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
 LAST RELOADED: Sep 26, 2008 (20080926/UP).

GGCAT IS UNS AT 1
 GGCAT IS UNS AT 7
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

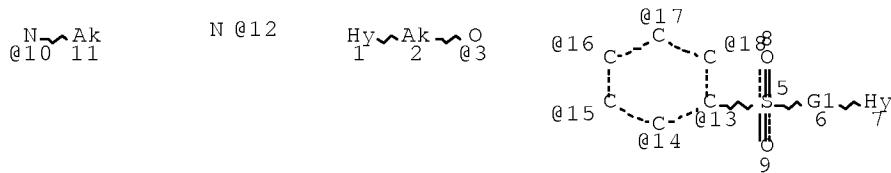
RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
 L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
 L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
 S"/MF

=> d que stat 138

L13 STR



VAR G1=12/10

VPA 3-13/14/15/16/17/18 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12
 DEFAULT MLEVEL IS ATOM
 GGCAT IS UNS AT 1
 GGCAT IS UNS AT 7
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

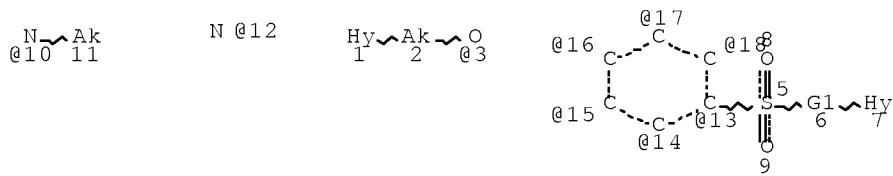
STEREO ATTRIBUTES: NONE

L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
 NCSC2/ES OR SC4/ES
 L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13

100.0% PROCESSED 160297 ITERATIONS
 SEARCH TIME: 00.00.03

31 ANSWERS

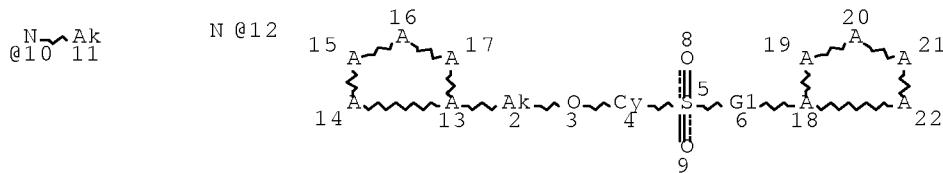
=> d que stat 141
 L13 STR



```
VAR G1=12/10
VPA 3-13/14/15/16/17/18 U
NODE ATTRIBUTES:
CONNECT IS E2  RC AT    2
CONNECT IS E2  RC AT   12
DEFAULT MLEVEL IS ATOM
GGCAT   IS UNS  AT    1
GGCAT   IS UNS  AT    7
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS X8 C  AT    2
```

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
NCSC2/ES OR SC4/ES
L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
L39 STR



```
VAR G1=12/10
NODE ATTRIBUTES:
CONNECT IS E2  RC AT    2
CONNECT IS E2  RC AT  12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS X8 C  AT    2
```

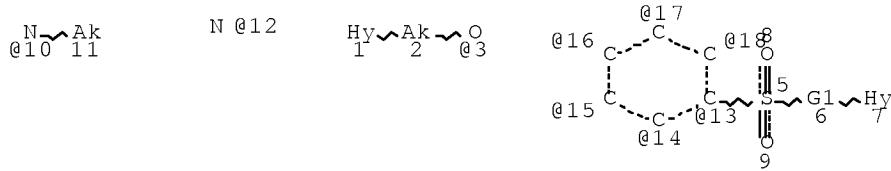
GRAPH ATTRIBUTES:
RSPEC 19 13
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
L41 23 SEA FILE=REGISTRY SUB=L38 SSS FUL L39

100.0% PROCESSED 23 ITERATIONS
SEARCH TIME: 00.00.01

2.3 ANSWERS

=> d que stat 132
 L13 STR



VAR G1=12/10
 VPA 3-13/14/15/16/17/18 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12
 DEFAULT MLEVEL IS ATOM
 GGCAT IS UNS AT 1
 GGCAT IS UNS AT 7
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L32 47 SEA FILE=WPIX SSS FUL L13

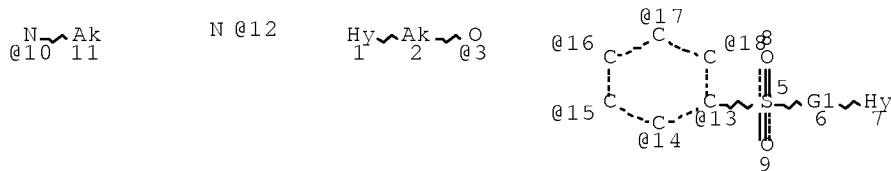
100.0% PROCESSED 55333 ITERATIONS
 SEARCH TIME: 00.00.20

47 ANSWERS

=> d his 132-135

(FILE 'WPIX' ENTERED AT 12:56:10 ON 02 OCT 2008)
 L32 47 S L13 FUL
 SAVE TEMP L32 GAR708WPIS/A
 SELECT L32 1- SDCN
 L33 6 S E13-E59/DCN OR L32/DCR
 L34 1 S L33 AND L24-L25
 L35 5 S L33 NOT L34

=> d que 135
 L13 STR



VAR G1=12/10

VPA 3-13/14/15/16/17/18 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12
 DEFAULT MLEVEL IS ATOM
 GGCAT IS UNS AT 1
 GGCAT IS UNS AT 7
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
 L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
 L32 47 SEA FILE=WPIX SSS FUL L13
 L33 6 SEA FILE=WPIX ABB=ON PLU=ON (RAC2TR/DCN OR RAC2TS/DCN OR
 RAC2TZ/DCN OR RAGQML/DCN OR RAGQMM/DCN OR RAGQMN/DCN OR
 RAGQMO/DCN OR RAGQMP/DCN OR RAGQMQ/DCN OR RAGQMS/DCN OR
 RAGQMT/DCN OR RAGQMU/DCN OR RAGQMV/DCN OR RAGQMW/DCN OR
 RAGQMX/DCN OR RAGQMY/DCN OR RAGQMZ/DCN OR RAGQN0/DCN OR
 RAGQN1/DCN OR RAGQN2/DCN OR RAGQN3/DCN OR RAGQN4/DCN OR
 RAGQN5/DCN OR RAHXNT/DCN OR RAQKGB/DCN OR RAQKGC/DCN OR
 RAQKGD/DCN OR RAQKGG/DCN OR RAQKGH/DCN OR RAQKGI/DCN OR
 RAQKGJ/DCN OR RAQKGK/DCN OR RAQKGL/DCN OR RAQKGM/DCN OR
 RAQKGN/DCN OR RAQKGO/DCN OR RAQKGP/DCN OR RAQKGQ/DCN OR
 RAQKGR/DCN OR RAQKGS/DCN OR RAQKGT/DCN OR RARI2C/DCN OR
 RARI2G/DCN OR RARI2H/DCN OR RARI27/DCN OR RA9ISR/DCN OR
 RA9ITM/DCN) OR L32/DCR
 L34 1 SEA FILE=WPIX ABB=ON PLU=ON L33 AND (L24 OR L25)
 L35 5 SEA FILE=WPIX ABB=ON PLU=ON L33 NOT L34

=> d his 149

(FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 13:10:42 ON 02 OCT 2008)
 L49 1 S L47 NOT L48

=> d que nos 149

L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON US2006-563708/APPS
 L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI
 L5 TRANSFER PLU=ON L2 1- RN : 37 TERMS
 L6 37 SEA FILE=REGISTRY ABB=ON PLU=ON L5
 L13 STR
 L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
 L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
 L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
 S"/MF
 L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
 L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
 L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
 NCSC2/ES OR SC4/ES
 L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
 L39 STR
 L41 23 SEA FILE=REGISTRY SUB=L38 SSS FUL L39
 L47 2 SEA L20 OR L22 OR L41
 L48 1 SEA L47 AND (L24 OR L25)

L49 1 SEA L47 NOT L48

=> d que nos 152

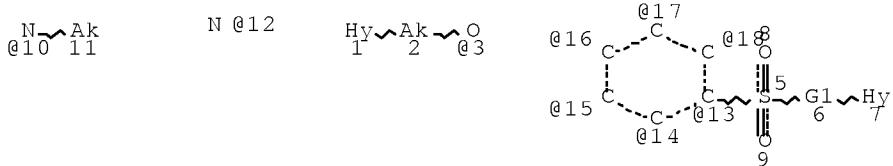
L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON US2006-563708/APPS
L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI
L5 TRANSFER PLU=ON L2 1- RN : 37 TERMS
L6 37 SEA FILE=REGISTRY ABB=ON PLU=ON L5
L13 STR
L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
S"/MF
L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
NCSC2/ES OR SC4/ES
L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
L39 STR
L41 23 SEA FILE=REGISTRY SUB=L38 SSS FUL L39
L50 1 SEA FILE=TOXCENTER ABB=ON PLU=ON L20 OR L22 OR L41
L51 1 SEA FILE=TOXCENTER ABB=ON PLU=ON L50 AND (L24 OR L25)
L52 0 SEA FILE=TOXCENTER ABB=ON PLU=ON L50 NOT L51

=> d his 153

(FILE 'MEDLINE, BIOSIS, EMBASE, BIOTECHNO, CABA, DRUGU, VETU' ENTERED AT
13:12:48 ON 02 OCT 2008)

L1

L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L2 L3 333/00/11115
L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI
L5 TRANSFER PLU=ON L2 1- RN : 37 TERMS
L6 37 SEA FILE=REGISTRY ABB=ON PLU=ON L5
L13 STR



```
VAR G1=12/10
VPA 3-13/14/15/16/17/18 U
NODE ATTRIBUTES:
CONNECT IS E2 RC AT    2
CONNECT IS E2 RC AT    12
DEFAULT MLEVEL IS ATOM
GGCAT   IS UNS  AT    1
GGCAT   IS UNS  AT    7
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS X8 C  AT    2
```

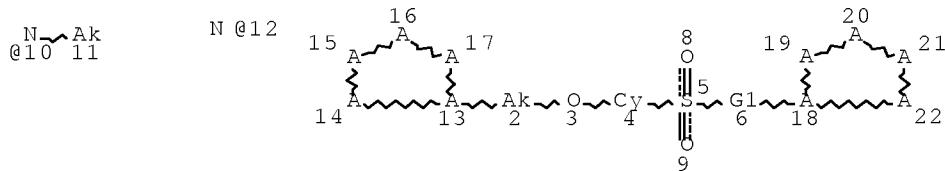
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
 L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
 L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
 S"/MF
 L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
 NCSC2/ES OR SC4/ES
 L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
 L39 STR



VAR G1=12/10

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

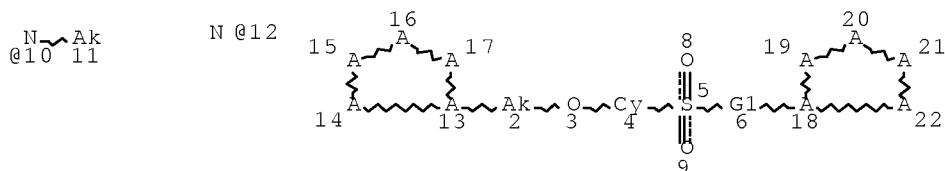
RSPEC 19 13
 NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L41 23 SEA FILE=REGISTRY SUB=L38 SSS FUL L39
 L53 0 SEA L20 OR L22 OR L41

=> d que stat 155

L39 STR



VAR G1=12/10

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RSPEC 19 13

NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L55 5 SEA FILE=BEILSTEIN SSS FUL L39

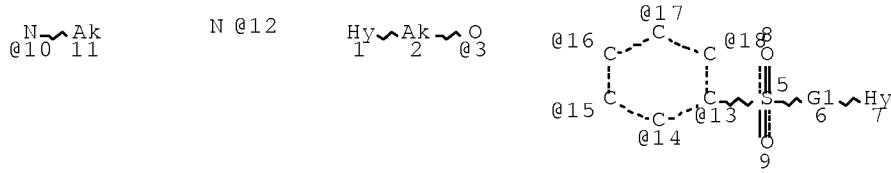
100.0% PROCESSED 5282 ITERATIONS

SEARCH TIME: 00.00.11

5 ANSWERS

=> d que stat 157

L13 STR



VAR G1=12/10

VPA 3-13/14/15/16/17/18 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2

CONNECT IS E2 RC AT 12

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 1

GGCAT IS UNS AT 7

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS X8 C AT 2

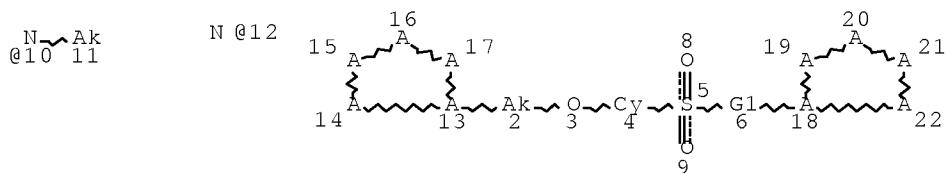
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L39 STR



VAR G1=12/10

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2

CONNECT IS E2 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

10/563,708

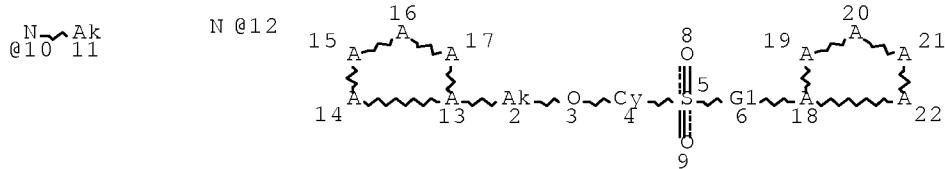
ECOUNT IS X8 C AT 2

```
GRAPH ATTRIBUTES:  
RSPEC 19 13  
NUMBER OF NODES IS 20
```

STEREO ATTRIBUTES: NONE
L55 5 SEA FILE=BEILSTEIN SSS FUL L39
L57 5 SEA FILE=BEILSTEIN SUB=L55 SSS FUL L13

100.0% PROCESSED 5 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.02

=> d que stat 159
L39 STR



VAR G1=12/10

NODE ATTRIBUTES:

```
CONNECT IS E2 RC AT 2
CONNECT IS E2 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS X8 C AT 2
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GRAPH ATTRIBUTES:

RSPEC 19 13

NUMBER OF NODES IS 20

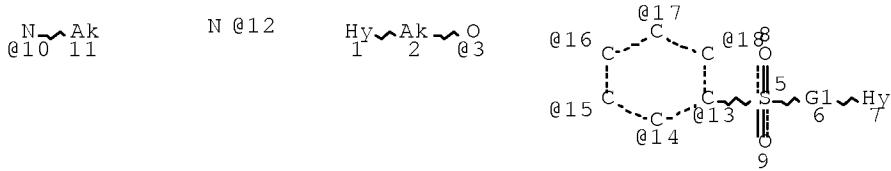
STEREO ATTRIBUTES: NONE

L59 0 SEA FILE=CHEMINFORMRX SSSS FUL L39 (0 REACTIONS)

100.0% DONE 1308 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.13

=> d que 146

L1	2	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	US2006-563708/APPS
L2	1	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L1 NOT PIXEL/TI
L5		TRANSFER	PLU=ON	L2 1-	RN :	37 TERMS
L6	37	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L5
L13		STR				



VAR G1=12/10
VPA 3-13/14/15/16/17/18 U

NODE ATTRIBUTES:

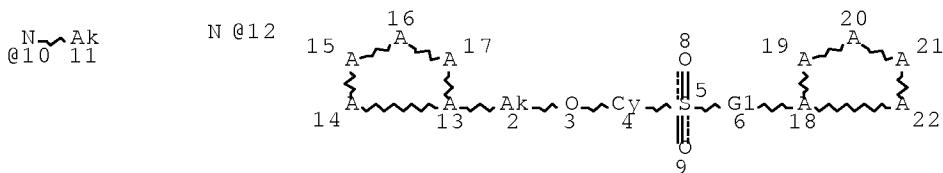
CONNECT IS E2 RC AT 2
CONNECT IS E2 RC AT 12
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 1
GGCAT IS UNS AT 7
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
S"/MF
L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
L26 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L20
L27 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L22
L28 2 SEA FILE=HCAPLUS ABB=ON PLU=ON (L26 OR L27)
L29 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L28 AND (L24 OR L25)
L30 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L28 NOT L29
L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
NCSC2/ES OR SC4/ES
L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
L39 STR



VAR G1=12/10

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
CONNECT IS E2 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RSPEC 19 13

NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L41	23	SEA	FILE=REGISTRY	SUB=L38	SSS	FUL	L39
L42	3	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L41	
L43	0	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L42	AND (L24 OR L25)
L44	1	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L29	OR L43
L45	3	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L42	NOT L44
L46	3	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L45	OR L30

=> dup rem 146 135 149 152 157 159

L52 HAS NO ANSWERS

L59 HAS NO ANSWERS

DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN, CHEMINFORMRX'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

FILE 'HCAPLUS' ENTERED AT 13:27:49 ON 02 OCT 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'WPIX' ENTERED AT 13:27:49 ON 02 OCT 2008

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FILE 'USPATFULL' ENTERED AT 13:27:49 ON 02 OCT 2008

CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'BEILSTEIN' ENTERED AT 13:27:49 ON 02 OCT 2008

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PROCESSING COMPLETED FOR L46

PROCESSING COMPLETED FOR L35

PROCESSING COMPLETED FOR L49

PROCESSING COMPLETED FOR L52

PROCESSING COMPLETED FOR L57

PROCESSING COMPLETED FOR L59

L62	13	DUP	REM	L46	L35	L49	L52	L57	L59	(1 DUPLICATE REMOVED)
										ANSWERS '1-3' FROM FILE HCAPLUS
										ANSWERS '4-7' FROM FILE WPIX
										ANSWER '8' FROM FILE USPATFULL
										ANSWERS '9-13' FROM FILE BEILSTEIN

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 13:28:02 ON 02 OCT 2008

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Sep 26, 2008 (20080926/UP).

=> d ibib ed abs hitstr 1-3

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
(Y)/N:Y

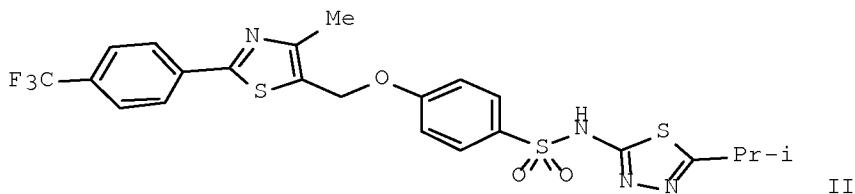
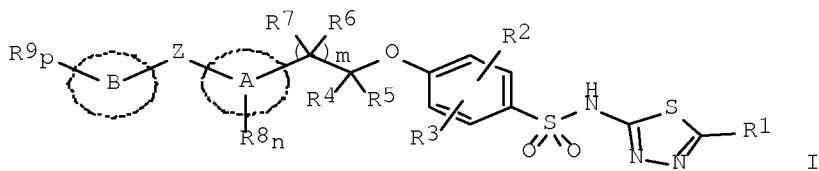
L62 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1
 ACCESSION NUMBER: 2007:410236 HCAPLUS Full-text
 DOCUMENT NUMBER: 146:401987
 TITLE: Preparation of N-(1,3,4-thiadiazol-2-yl)benzene sulfonamides as PPAR alpha, delta and gamma agonist
 INVENTOR(S): Keil, Stefanie; Schoenafinger, Karl; Matter, Hans; Urmann, Matthias; Glien, Maike; Wendler, Wolfgang; Schaefer, Hans-Ludwig; Falk, Eugen
 PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland GmbH, Germany
 SOURCE: PCT Int. Appl., 92pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007039171	A1	20070412	WO 2006-EP9297	20060926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006299085	A1	20070412	AU 2006-299085	20060926
CA 2624726	A1	20070412	CA 2006-2624726	20060926
EP 1937658	A1	20080702	EP 2006-805856	20060926
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 200804341	A	20080416	MX 2008-4341	20080401
KR 2008053931	A	20080616	KR 2008-708301	20080404
PRIORITY APPLN. INFO.:			EP 2005-21786	A 20051006
			WO 2006-EP9297	W 20060926

OTHER SOURCE(S): MARPAT 146:401987

ED Entered STN: 13 Apr 2007

GI



AB Title compds. represented by the formula I [wherein R1 = alkyl, alkylene-cycloalkyl, alkylene-aryl, etc.; R2, R3 = independently H, halo, CN, etc.; R4-R7 = independently H, alkyl, alkylene-aryl, etc.; m = 0 or 1; ring A = (hetero)aryl; ring B = (hetero)aryl or cycloalkyl; Z = a bond, O, absent, etc.; R8, R9 = independently H, halo, alkyl, etc.; p = 0-3; n = 0-2; and their stereoisomers, enantiomers, or physiol. acceptable salts or tautomers thereof] were prepared as PPAR α , δ and γ agonist. For example, II was provided in a multi-step synthesis starting from p-phenolsulfonic acid sodium salt. I showed agonistic activity of PPAR α , δ and γ with EC50 values of 100 nM 10 μ M, 200 nM - 10 μ M and 1 nM - 10 μ M. Thus, I and their pharmaceutical compns. are useful for the treatment and/or prevention of disorders of fatty acid metabolism and glucose utilization disorders as well as of disorders in which insulin resistance is involved and demyelinating and other neurodegenerative disorders of the central and peripheral nervous system.

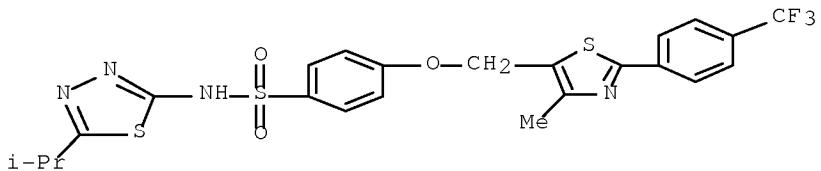
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933786-91-5P, N-(5-Isopropyl-[1,3,4]thiadiazol-2-yl)-4-[(4-methyl-2-(3-trifluoromethylphenyl)thiazol-5-yl)methoxy]benzenesulfonamide
933786-95-9P, 4-[(4-Butyl-2-(4-trifluoromethylphenyl)thiazol-5-yl)methoxy]-N-(5-isopropyl-[1,3,4]thiadiazol-2-yl)benzenesulfonamide
933786-96-0P, N-(5-Isopropyl-[1,3,4]thiadiazol-2-yl)-4-[(5-methyl-2-(4-phenoxyphenyl)oxazol-4-yl)methoxy]benzenesulfonamide
933786-97-1P, 4-[(2-Cyclohexyloxazol-4-yl)methoxy]-N-(5-isopropyl-[1,3,4]thiadiazol-2-yl)benzenesulfonamide 933786-99-3P, N-(5-Isopropyl-[1,3,4]thiadiazol-2-yl)-4-[(2-(4-methoxyphenyl)-5-methyloxazol-4-yl)methoxy]benzenesulfonamide 933787-00-9P, 4-[(2-(Biphenyl-4-yl)-5-methyloxazol-4-yl)methoxy]-N-(5-isopropyl-[1,3,4]thiadiazol-2-yl)benzenesulfonamide 933787-02-1P, N-(5-Isopropyl-[1,3,4]thiadiazol-2-yl)-4-[(2-(4-methoxyphenyl)oxazol-4-yl)methoxy]benzenesulfonamide 933787-06-5P, 4-[(5-Ethyl-2-(3-trifluoromethylphenyl)oxazol-4-yl)methoxy]-N-(5-isopropyl-[1,3,4]thiadiazol-2-yl)benzenesulfonamide 933787-07-6P, N-(5-Isopropyl-[1,3,4]thiadiazol-2-yl)-4-[(5-methyl-2-(4-trifluoromethoxyphenyl)oxazol-4-yl)methoxy]benzenesulfonamide 933787-08-7P, N-(5-Isopropyl-[1,3,4]thiadiazol-2-yl)-4-[(5-isopropyl-2-(4-trifluoromethylphenyl)oxazol-4-yl)methoxy]benzenesulfonamide 933787-09-8P, 4-[(5-Ethyl-2-(2-trifluoromethylphenyl)oxazol-4-yl)methoxy]-N-(5-isopropyl-[1,3,4]thiadiazol-2-yl)benzenesulfonamide 933787-10-1P, 4-[(2-[5-Methyl-2-(4-trifluoromethylphenyl)thiazol-4-yl)ethoxy]-N-(5-trifluoromethyl-[1,3,4]thiadiazol-2-yl)benzenesulfonamide

933787-12-3P, N-(5-Isopropyl-[1,3,4]thiadiazol-2-yl)-4-[2-[5-methyl-2-(4-trifluoromethylphenyl)oxazol-4-yl]ethoxy]benzenesulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(1,3,4-thiadiazol-2-yl)benzene sulfonamides as PPAR α , δ and γ agonist)

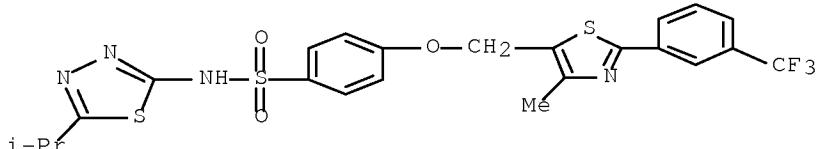
RN 933786-85-7 HCAPLUS

CN Benzenesulfonamide, N-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]-4-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methoxy]- (CA INDEX NAME)



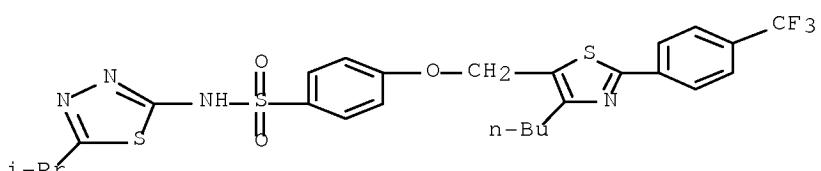
RN 933786-91-5 HCAPLUS

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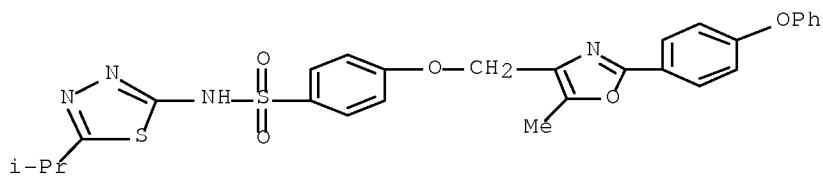
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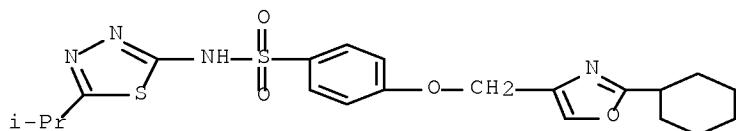
RN 933786-96-0 HCAPLUS

CN Benzenesulfonamide, N-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]-4-[[5-methyl-2-(4-phenoxyphenyl)-4-oxazolyl]methoxy]- (CA INDEX NAME)



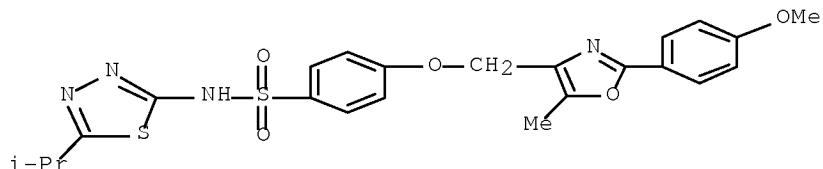
RN 933786-97-1 HCPLUS

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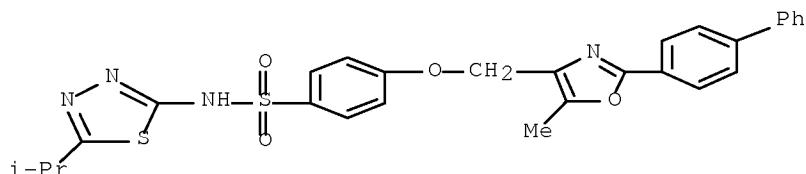
RN 933786-99-3 HCPLUS

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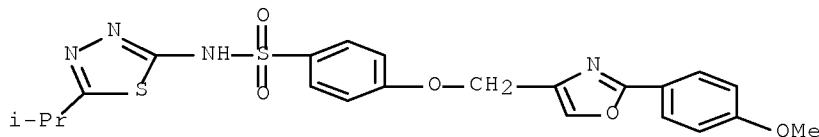
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CN Benzenesulfonamide, 4-[(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)methoxy]-N-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



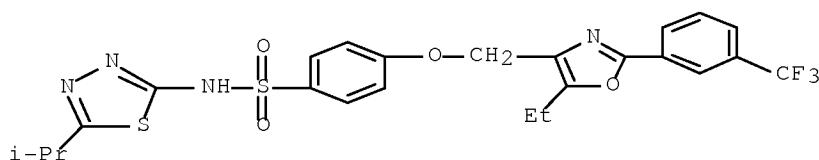
RN 933787-02-1 HCPLUS

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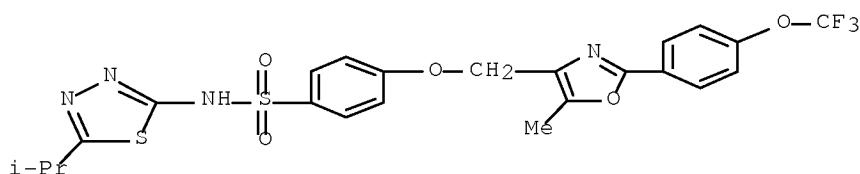
RN 933787-06-5 HCAPLUS

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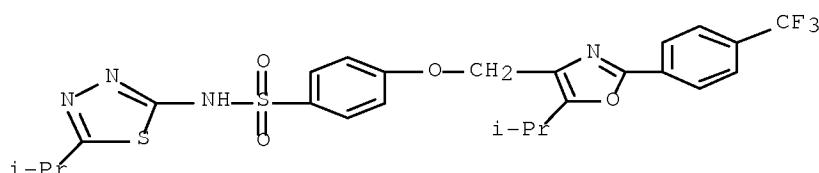
RN 933787-07-6 HCAPLUS

CN Benzenesulfonamide, N-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]-4-[[5-methyl-2-[4-(trifluoromethoxy)phenyl]-4-oxazolyl]methoxy]- (CA INDEX NAME)



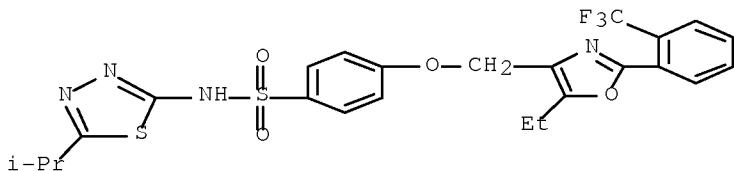
RN 933787-08-7 HCAPLUS

CN Benzenesulfonamide, N-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]-4-[[5-(1-methylethyl)-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]- (CA INDEX NAME)



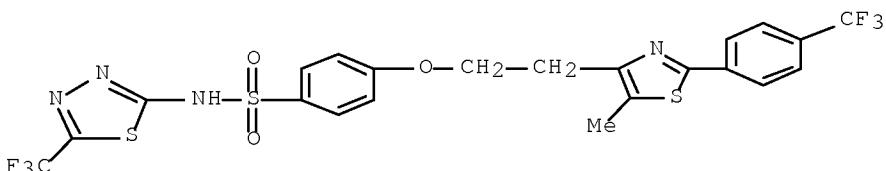
RN 933787-09-8 HCAPLUS

CN Benzenesulfonamide, 4-[[5-ethyl-2-[2-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]-N-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



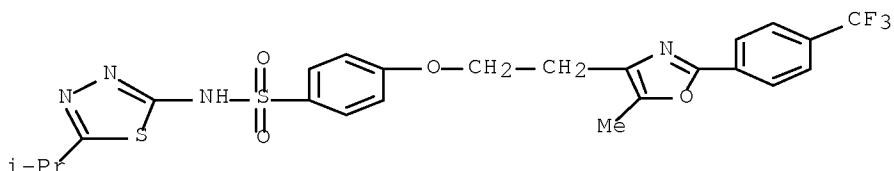
RN 933787-10-1 HCAPLUS

CN Benzenesulfonamide, 4-[2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]ethoxy]-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



RN 933787-12-3 HCAPLUS

CN Benzenesulfonamide, N-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]-4-[2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



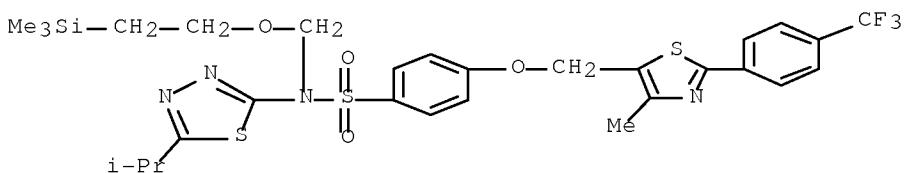
IT 933786-90-4P, N-(5-Isopropyl-[1,3,4]thiadiazol-2-yl)-4-[[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]methoxy]-N-[2-(trimethylsilyl)ethoxy]methylenesulfonamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(1,3,4-thiadiazol-2-yl)benzene sulfonamides as PPAR α , δ and γ agonist)

RN 933786-90-4 HCAPLUS

CN Benzenesulfonamide, N-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]-4-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methoxy]-N-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)



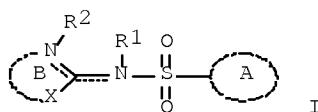
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:809341 HCAPLUS Full-text
 DOCUMENT NUMBER: 139:323513
 TITLE: Preparation of sulfonamides and their use as anti-HIV agents
 INVENTOR(S): Yamamoto, Osamu; Fujii, Masahiro; Ogami, Tetsuro; Masuda, Naoyuki; Fujiyasu, Jiro; Kontani, Toru; Moritomo, Ayako; Kageyama, Toshiharu; Inoe, Hiroshi; Hatta, Toshifumi; Kodama, Eiichi; Matsuoka, Masao
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Soyaku Gijutsu Kenkyusho K. K.
 SOURCE: Jpn. Kokai Tokkyo Koho, 52 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003292485	A	20031015	JP 2002-98332	20020401
PRIORITY APPLN. INFO.:			JP 2002-98332	20020401
OTHER SOURCE(S):	MARPAT	139:323513		

ED Entered STN: 15 Oct 2003

GI



AB Sulfonamides I [the broken lines may be bond; at least one of them is bond; R1, R2 = none, H, lower (halo)alkyl, lower alkylene-OH, lower alkylene-heterocycl, lower alkylene-CO2H, etc.; X = O, S; ring A = (un)substituted (hetero)aryl; ring B = (un)substituted N-containing heterocycl] or their salts are prepared. Thus, 2-amino-5-tert-butyl-4-methylthiazole HCl salt was

condensed with 3-nitrobenzenesulfonyl chloride to give N-(5-tert-butyl-4-methylthiazol-2-yl)-3-nitrobenzenesulfonamide, which was treated with NaH and MeI to afford N-(5-tert-butyl-3,4-dimethyl-2,3-dihydrothiazol-2-ylidene)-3-nitrobenzenesulfonamide. The product inhibited reverse transcriptase of wild type, Y181C mutant, and K103N mutant HIV-1 with IC₅₀ values of 0.27, 0.066, and 13 μ M, resp.

IT

612537-28-7P

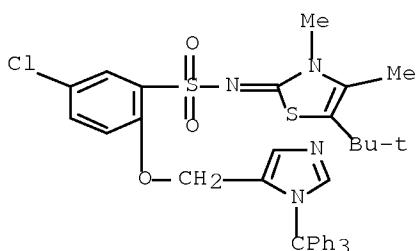
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of sulfonamides as reverse transcriptase inhibitors and anti-HIV agents)

RN

612537-28-7 HCPLUS

CN

Benzenesulfonamide, 5-chloro-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-[(1-(triphenylmethyl)-1H-imidazol-5-yl)methoxy]- (CA INDEX NAME)



IT

612537-29-8P 612537-41-4P 612537-57-2P612537-58-3P 612537-66-3P 612537-70-9P612537-73-2P 612537-82-3P 612537-86-7P612538-93-9P

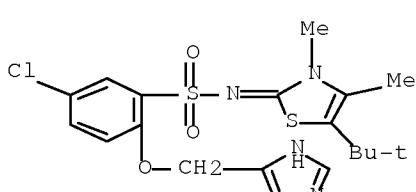
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides as reverse transcriptase inhibitors and anti-HIV agents)

RN

612537-29-8 HCPLUS

CN

Benzenesulfonamide, 5-chloro-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-(1H-imidazol-5-ylmethoxy)- (CA INDEX NAME)

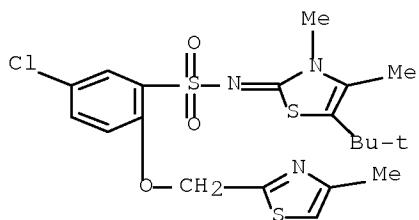


RN

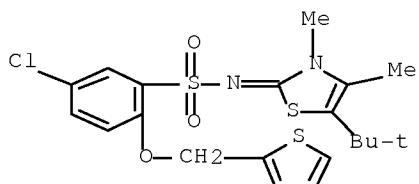
612537-41-4 HCPLUS

CN

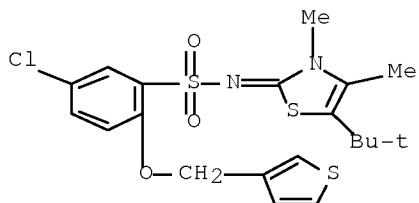
Benzenesulfonamide, 5-chloro-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-[(4-methyl-2-thiazolyl)methoxy]- (CA INDEX NAME)



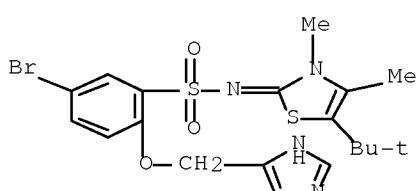
RN 612537-57-2 HCAPLUS
 CN Benzenesulfonamide, 5-chloro-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-(2-thienylmethoxy)- (CA INDEX NAME)



RN 612537-58-3 HCAPLUS
 CN Benzenesulfonamide, 5-chloro-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-(3-thienylmethoxy)- (CA INDEX NAME)

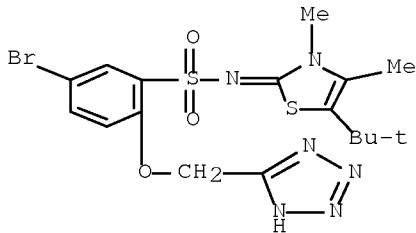


RN 612537-66-3 HCAPLUS
 CN Benzenesulfonamide, 5-bromo-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-(1H-imidazol-5-ylmethoxy)- (CA INDEX NAME)



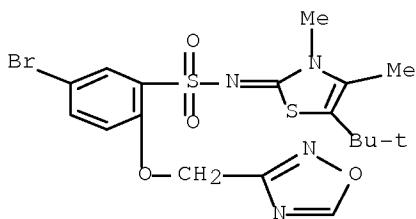
RN 612537-70-9 HCAPLUS

CN Benzenesulfonamide, 5-bromo-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



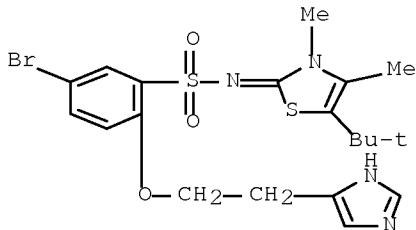
RN 612537-73-2 HCAPLUS

CN Benzenesulfonamide, 5-bromo-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-(1,2,4-oxadiazol-3-ylmethoxy)- (CA INDEX NAME)



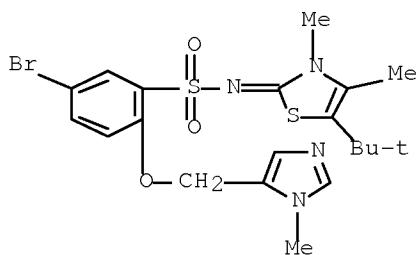
RN 612537-82-3 HCAPLUS

CN Benzenesulfonamide, 5-bromo-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-[2-(1H-imidazol-5-yl)ethoxy]- (CA INDEX NAME)



RN 612537-86-7 HCAPLUS

CN Benzenesulfonamide, 5-bromo-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-[(1-methyl-1H-imidazol-5-yl)methoxy]-, hydrochloride, hydrate (1:1:2) (CA INDEX NAME)

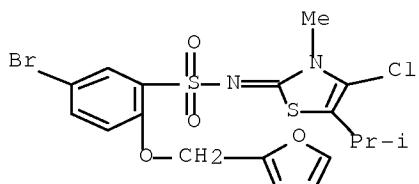


● HCl

●2 H₂O

RN 612538-93-9 HCPLUS

CN Benzenesulfonamide, 5-bromo-N-[4-chloro-3-methyl-5-(1-methylethyl)-2(3H)-thiazolylidene]-2-(2-furanylmethoxy)- (CA INDEX NAME)

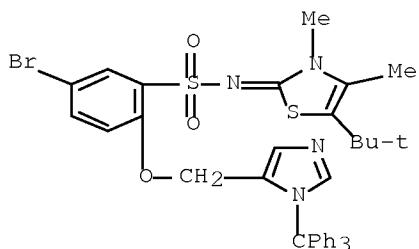


IT 612540-93-9

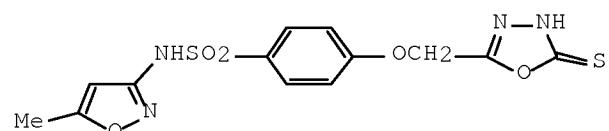
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sulfonamides as reverse transcriptase inhibitors and anti-HIV agents)

RN 612540-93-9 HCPLUS

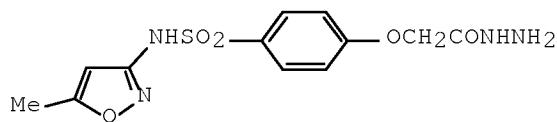
CN Benzenesulfonamide, 5-bromo-N-[5-(1,1-dimethylethyl)-3,4-dimethyl-2(3H)-thiazolylidene]-2-[(1-(triphenylmethyl)-1H-imidazol-5-yl)methoxy]- (CA INDEX NAME)



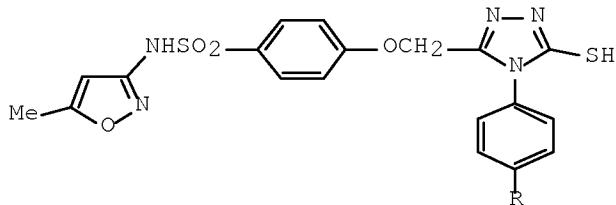
ACCESSION NUMBER: 1992:235529 HCAPLUS Full-text
 DOCUMENT NUMBER: 116:235529
 ORIGINAL REFERENCE NO.: 116:39897a,39900a
 TITLE: Synthesis and antifungal activity of some
 N-substituted benzenesulfonamides pendant with
 2-thioxo-1,3,4-oxadiazoles, 3-mercaptop-4-phenyl-
 1,2,4(H)-triazoles
 AUTHOR(S): Vidyasagar, A.; Dave, A. M.; Mehta, M. H.; Agrawal, Y.
 K.
 CORPORATE SOURCE: Res. Cent., Gujarat State Fert. Co. Ltd., Baroda, 391
 750, India
 SOURCE: Journal of the Indian Chemical Society (1991), 68(10),
 576-8
 CODEN: JICSAH; ISSN: 0019-4522
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:235529
 ED Entered STN: 13 Jun 1992
 GI



I



II



III

AB Title oxadiazole I was prepared by the cyclization of acid hydrazide II with CS2 in presence of KOH. Title triazoles III (R = H, Cl, Me, OMe) were similarly prepared by the reaction of II with 4-RC6H4NCS in presence of NaOH. I and III were tested for antifungal activity, and were active.

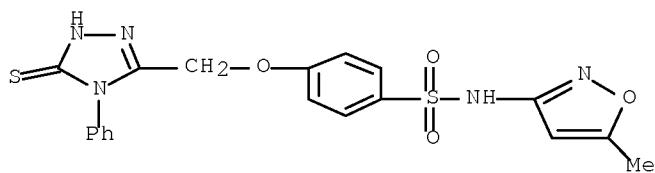
IT 141233-24-1P 141233-25-2P 141233-26-3P
 141233-27-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antifungal activity of)

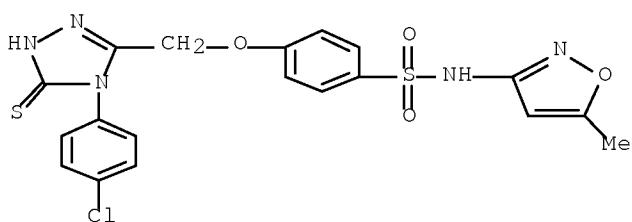
RN 141233-24-1 HCAPLUS

CN Benzenesulfonamide, 4-[(4,5-dihydro-4-phenyl-5-thioxo-1H-1,2,4-triazol-3-yl)methoxy]-N-(5-methyl-3-isoxazolyl) (CA INDEX NAME)



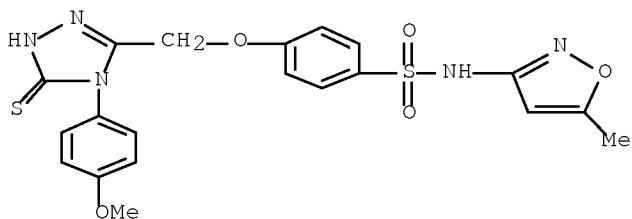
RN 141233-25-2 HCPLUS

CN Benzenesulfonamide, 4-[4-(4-chlorophenyl)-4,5-dihydro-5-thioxo-1H-1,2,4-triazol-3-yl]methoxy]-N-(5-methyl-3-isoxazolyl)- (CA INDEX NAME)



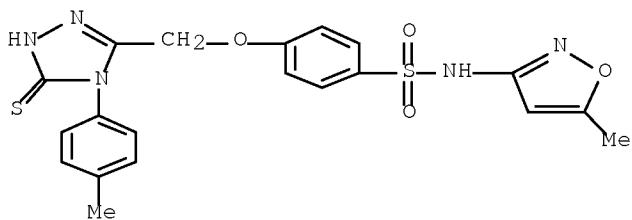
RN 141233-26-3 HCPLUS

CN Benzenesulfonamide, 4-[4,5-dihydro-4-(4-methoxyphenyl)-5-thioxo-1H-1,2,4-triazol-3-yl]methoxy]-N-(5-methyl-3-isoxazolyl)- (CA INDEX NAME)



RN 141233-27-4 HCPLUS

CN Benzenesulfonamide, 4-[4,5-dihydro-4-(4-methylphenyl)-5-thioxo-1H-1,2,4-triazol-3-yl]methoxy]-N-(5-methyl-3-isoxazolyl)- (CA INDEX NAME)



=> d iall abeq tech abex hitstr 4-7
 YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
 (Y)/N:Y

L62 ANSWER 4 OF 13 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2007-797043 [74] WPIX
 CROSS REFERENCE: 2007-797042; 2007-797044
 DOC. NO. CPI: C2007-276390 [74]
 TITLE: New carbonyl compound useful in the treatment of disease
 ameliorated by modulation of histone deacetylase e.g.
 cancer and autoimmune disease
 DERWENT CLASS: B05
 INVENTOR: BONNEFOUS C; HASSIG C A; HOFFMAN T Z; PAYNE J E; SCRANTON
 S A; SMITH N D; WASH P L
 PATENT ASSIGNEE: (KALY-N) KALYPSYS INC
 COUNTRY COUNT: 116

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2007067994	A1	20070614	(200774)*	EN	62[0]	
US 20070135431	A1	20070614	(200774)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2007067994 A1		WO 2006-US61821	20061208
US 20070135431 A1	Provisional	US 2005-748823P	20051209
US 20070135431 A1	Provisional	US 2006-802823P	20060522
US 20070135431 A1		US 2006-608726	20061208

PRIORITY APPLN. INFO: US 2006-802823P 20060522
 US 2005-748823P 20051209
 US 2006-608726 20061208

INT. PATENT CLASSIF.:
 IPC ORIGINAL: A61K0031-44 [I,A]; A61K0031-44 [I,C]; A61K0031-4427 [I,C];
 ; A61K0031-4439 [I,A]; A61K0031-4523 [I,C]; A61K0031-454
 [I,A]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A];
 A61P0035-00 [I,A]; A61P0035-00 [I,C]; C07C0323-00 [I,C];
 C07C0323-29 [I,A]; C07D0209-00 [I,C]; C07D0209-08 [I,A];
 C07D0213-00 [I,C]; C07D0213-76 [I,A]; C07D0231-00 [I,C];
 C07D0231-12 [I,A]; C07D0235-00 [I,C]; C07D0235-06 [I,A];
 C07D0239-00 [I,C]; C07D0239-26 [I,A]; C07D0239-42 [I,A];
 C07D0271-00 [I,C]; C07D0271-12 [I,A]; C07D0277-00 [I,C];
 C07D0277-62 [I,A]; C07D0311-00 [I,C]; C07D0311-14 [I,A];
 C07D0311-70 [I,A]; C07D0401-00 [I,C]; C07D0401-12 [I,A];
 C07D0401-14 [I,A]; C07D0413-00 [I,C]; C07D0413-14 [I,A];
 ECLA: C07D0211-46; C07D0213-30C; C07D0213-38; C07D0213-76D;
 C07D0295-08A3; C07D0295-08A4; C07D0295-22C2; C07D0401-12;
 C07D0413-12
 USCLASS NCLM: 514/235.200
 NCLS: 514/326.000; 514/340.000; 544/124.000; 546/207.000;

BASIC ABSTRACT:

WO 2007067994 A1 UPAB: 20071119

NOVELTY - A carbonyl compound, or its salt, ester or prodrug is new.

DETAILED DESCRIPTION - A carbonyl compound of formula G5-G4-G3-G2-G1(CO)C(R1R2)-S-G6 (I), or its salt, ester, or prodrug is new.

G1=5 or 6-membered (hetero)aryl (optionally substituted);

G2=N-sulfonamide moiety of formula -S(O₂)N(R₃)-, S-sulfonamide moiety of formula -N(R₄)S(O₂)-, amide of form -NR₃C(O)- or amide of form -C(O)NR₃-;

G3=phenyl, 5 or 6-membered (hetero)aryl (all optionally substituted);

R1 and R2=H, lower alkyl, halogen or perhaloalkyl;

R1+R2=(hetero)cycloalkyl (optionally substituted);

R3 and R4=lower alkyl, aryl (both optionally substituted) or H;

G4=-(CR₅R₆)_m-, -(X₁)_n1O(X₂)_n2-, -(X₁)_n1NR₇(X₂)_n2-, -SO₂-,-(X₁)_n1C(O)NR₇(X₂)_n2- or -(X₁)_n1NR₇C(O)(X₂)_n2 (all optionally substituted with R₉S attached to any carbon atom);

R5 and R6=lower alkyl, lower alkoxy, aryl, lower perhaloalkyl (all optionally substituted) or H;

R7=lower alkyl, heteroalkyl, lower alkoxy (all optionally substituted) or H;

R9=lower alkyl, lower alkylene, lower alkynylene, lower alkoxy, lower amine, halogen, lower perhaloalkyl or hydroxyl;

m=1 - 6;

X₁ and X₂=lower alkylene, alkenylene or alkynylene (all optionally substituted);n₁ and n₂=0 - 5;

G5=aryl, heteroaryl, cycloalkyl, heterocycloalkyl, fused aryl, fused heteroaryl, fused heterocycloalkyl or fused cycloalkyl (all optionally substituted);

G6=acyl, aryl, alkyl, heteroaryl, alkylthio, arylthio, heteroarylthio (all optionally substituted) or H.

An INDEPENDENT CLAIM is included for treating a histone deacetylase (HDAC)-related disease in a patient involving administration of the compound (I), and optionally chemotherapeutic agent.

ACTIVITY - Cytostatic; Neuroprotective; Immunosuppressive; Dermatological; Ophthalmological; Osteopathic; Cardiovascular-Gen; CNS-Gen; Antiinflammatory; Osteopathic; Antianemic; Antiangiogenic; Antisickling; Anticonvulsant; Analgesic; Antidepressant; Neuroleptic; Cardiant; Antipsoriatic; Nootropic; Antiarthritic; Gastrointestinal-Gen.; Antirheumatic; Antiulcer.

MECHANISM OF ACTION - Histone deacetylase (HDAC) inhibitor. Thioacetic acid S-(2-oxo-2-(4-(4-O-tolyloxy-benzenesulfonylamino)-phenyl)-ethyl)ester (Ie) was evaluated to inhibit acetyl-lysine deacetylation in vitro and was used as both a primary screening and for IC₅₀ determination of confirmed inhibitors. In vitro HDAC-inhibition assay was performed in vitro using an HDAC enzyme source (e.g. partially purified nuclear extract or immuno-purified HDAC complexes) and a proprietary fluorescent substrate/developer system. The assay was run in 1536-well Greiner white-bottom plates by adding enzyme (2.5 μl) source, (Ie) (50 μl) with pin transfer device, and Fluor deLys (2.5 μl) substrate incubate at room temperature for 30 minutes. (Ie) showed IC₅₀ value of less than or equal to 1 μM.

USE - In the manufacture of a medicament for the prevention or treatment of a disease or condition ameliorated by the modulation of histone deacetylase (HDAC) disease in a patient; for inhibiting the catalytic activity of HDAC; for treating multiple myeloma, hyperproliferative condition (including hematologic cancer (e.g. multiple myeloma, leukemia, and lymphomas) and nonhematologic cancers), neurological disorder, cardiovascular condition, autoimmune disease, dermatologic disorder, and ophthalmologic disorder (claimed); for treating disease states e.g. tissue damage, central nervous system disorders, neurodegenerative disorders, fibrosis, bone disorders,

polyglutamine-repeat disorders, anemias, thalassemias, inflammatory conditions, disorders in which angiogenesis plays a role in pathogenesis; for treating cancer of e.g. oral cavity and pharynx, respiratory system, skin, Wilm's tumor and epithelial ovarian cancer; for treating hematologic disorder (e.g. sickle cell anemia, myelodysplastic disorders (MDS), and myeloproliferative disorders (such as polycythemia vera, myelofibrosis and thrombocythemia)); for treating neurological disorder (e.g. epilepsy, neuropathic pain, depression and bipolar disorders); for treating cardiovascular conditions (e.g. cardiac hypertrophy, idiopathic cardiomyopathies, and heart failure); for treating autoimmune disease (e.g. systemic lupus erythematosus, multiple sclerosis, and systemic lupus nephritis); for treating dermatologic disorder (e.g. psoriasis, melanoma, basal cell carcinoma, squamous cell carcinoma, and other non-epithelial skin cancers); for treating ophthalmologic disorder (e.g. dry eye, closed angle glaucoma and wide angle glaucoma); for treating polyglutamine-repeat disorder (e.g. Huntington's disease, Spinocerebellar ataxia 1 (SCA 1), Machado-Joseph disease (MJD)/Spinocerebellar ataxia 3 (SCA 3), Kennedy disease/Spinal and bulbar muscular atrophy (SBMA) and Dentatorubral pallidoluysian atrophy (DRPLA)); and for treating inflammatory condition (e.g. rheumatoid arthritis, inflammatory bowel disease (IBD), ulcerative colitis and psoriasis).

ADVANTAGE - The compound effectively inhibits catalytic activity of histone deacetylase, and effectively treats cancer and autoimmune disease without any side effects.

MANUAL CODE:

CPI: B01-B01; B01-B02; B02-D; B02-T; B04-G01; B05-B01A; B06-D03; B06-H; B07-H; B10-A08; B10-A10; B10-B01; B10-B02; B10-B04; B10-D03; B14-C01; B14-C03; B14-C09B; B14-D08; B14-E08; B14-E10C; B14-F01; B14-F02; B14-F04; B14-F08; B14-G02D; B14-H01; B14-H05; B14-J01; B14-J07; B14-N01; B14-N03; B14-N10; B14-N17; B14-S01; B14-S16

TECH

ORGANIC CHEMISTRY - Preparation (Disclosed): 5 methods for preparation of (I) are given e.g. reacting 4-iodo-benzenesulfonyl chloride with 1-(4-amino-phenyl)-ethanone in the presence of pyridine, tetrahydro furan (THF) at 40degreesC for 6 hours to form 1-(4-amino-phenyl)-ethanone (Ia); reacting (Ia) in the presence of alcohol of formula (R100OH), copper iodide, 1,10-phenanthroline and cesium carbonate at 120degreesC for 24 hours to form benzenesulfonamide compound of formula (Ib); reacting (Ib) in the presence of trimethylphenylammonium tribromide, THF at 50degreesC for 5 hours or in the presence of hydrogen bromide/acetic acid, trimethylphenylammonium tribromide, methylene dichloride, methanol, THF at room temperature for 30 minutes to yield amide compound of formula (Ic); reacting (Ic) with potassium thioacetate, methanol at room temperature for 18 hours to form carbonyl compound of formula (Id).

PHARMACEUTICALS - Preferred Components: The chemotherapeutic agent is selected from aromatase inhibitors, antiestrogen, anti-androgen, or gonadorelin agonists, topoisomerase 1 and 2 inhibitors, microtubule active agents, alkylating agents, antineoplastic antimetabolite, or platin containing compound, lipid or protein kinase targeting agents, protein or lipid phosphatase targeting agents, anti-angiogenic agents, agents that induce cell differentiation, bradykinin 1 receptor and angiotensin II antagonists, cyclooxygenase inhibitors, heparanase inhibitors, lymphokines or cytokine inhibitors, bisphosphonates, rapamycin derivatives, anti-apoptotic pathway inhibitors, apoptotic pathway agonists, peroxisome proliferator-activated receptors (PPAR) agonists, inhibitors of Ras isoforms, telomerase inhibitors, protease inhibitors, metalloproteinase inhibitors, and aminopeptidase inhibitors (preferably alkylating agents, anthracyclines, corticosteroids, IMiDs (RTM: immunomodulatory drug) , protease inhibitors, insulin-like growth factor (I) (IGF-I) inhibitors, CD40 antibody, Smac mimetics, fibroblast growth factor-3 (FGF3) modulator, mammalian target of Rapamycin (mTOR) inhibitor, HDAC inhibitors, ikappa B

kinase (IKK) inhibitors, P38 mitogen activated kinase (MAPK) inhibitors, heat shock protein 90 (HSP 90) inhibitor, and akt inhibitor, especially melphalan, doxorubicin, dexamethasone, prednisone, thalidomide, lenalidomide, bortezomib, and Salinosporamide A (NPI 0052).

ABEX DEFINITIONS - Preferred Definitions: - G2= N-sulfonamide; - G6=acyl(optionally substituted) or H; - G3=phenyl; - G4=-(X1)n1O(X2)n2-, -(CR5R6)m- or -(X1)n1NR7(X2)n2; - n1=0; - G5=phenyl, piperdino (both optionally substituted), N-morpholino, pyridinyl, or pyrrolidinyl; - G1=pyridinyl or phenyl.

ADMINISTRATION - The compounds are administered at a dosage of 0.1 - 500 mg/kg/day, 5 mg - 2 g/day in adult human, or 5 - 500 (preferably 10 - 200) mg orally or via injection. The compounds are administered parenterally (including subcutaneously, intradermally, intramuscularly, intravenously, intraarticularly or intramedullary), intraperitoneally, transmucosally, transdermally, rectally and topically (including dermally, buccally, sublingually and intraocularly), buccally, sublingually, or topically.

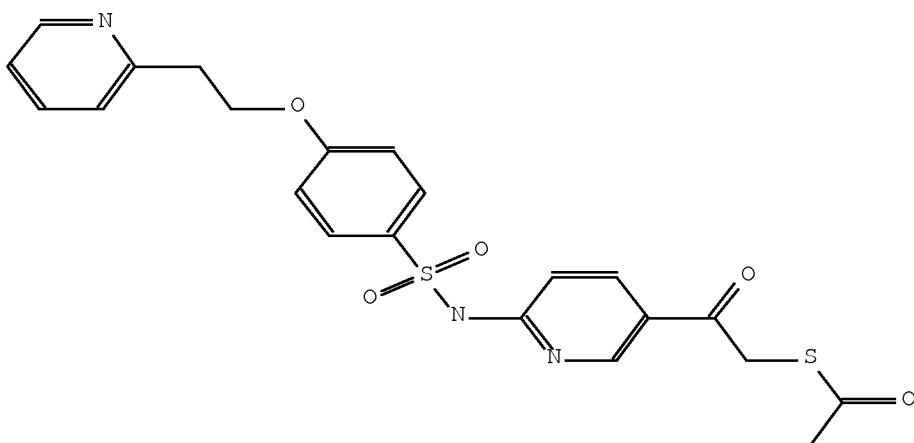
SPECIFIC COMPOUNDS - 30 compounds are specifically claimed as (I), e.g., thioacetic acid S-(2-oxo-2-(4-(4-O-tolyloxy-benzenesulfonylamino)-phenyl)-ethyl)ester (Ie); thioacetic acid S-(2-oxo-2-(4-(4-phenoxy-benzenesulfonylamino)-phenyl)-ethyl)ester; thioacetic acid-S-(2-(4-(4-chloro-phenoxy)-bezenesulfonylamino)-phenyl)-2-oxo-ethyl)ester; thioacetic acid S-(2-(4-(4-(morpholine-4-sulfonyl)-benzenesulfonylamino)-phenyl)-2-oxo-ethyl)ester; and thioacetic acid S-(2-(4-(4-morpholin-4-ylmethyl-enzenesulfonylamino)-phenyl)-2-oxo-ethyl) ester.

EXAMPLE - A mixture of 4-O-tolyloxy-benzenesulfonyl chloride (1 g), 1-(4-amino-phenyl)-ethanone (0.62 g), and pyridine (1.9 ml) in THF (10 ml) was heated to 40degreesC for 6 hours. After worked up, N-(4-acetyl-phenyl)-4-O-tolyloxy-benzenesulfonamide (1f) (1.2 g) was obtained as white solid. A mixture of (1f) (1.2 g) and trimethylphenylammonium tribromide (1.3 g) in THF (20 ml) was heated to 40degreesC for 2 hours to afford 2 g N-(4-(2-bromo-acetyl)-phenyl)-4-O-tolyloxy-benzenesulfonamide (1g) with unreacted starting material. A mixture of compound (1g) (2g) and potassium thioacetate (594 mg) in methyl alcohol (20 ml) was stirred at room temperature for 18 hours. After worked up, thioacetic acid S-(2-oxo-2-(4-(4-O-tolyloxy-benzenesulfonylamino)-phenyl)-ethyl) ester (Ie) (1.12 g) was obtained as a white solid.

AN.S DCR-1530720

CN.S Thioacetic acid S-(2-oxo-2-{6-[4-(2-pyridin-2-yl-ethoxy)-benzenesulfonylamino]-pyridin-3-yl}-ethyl) ester

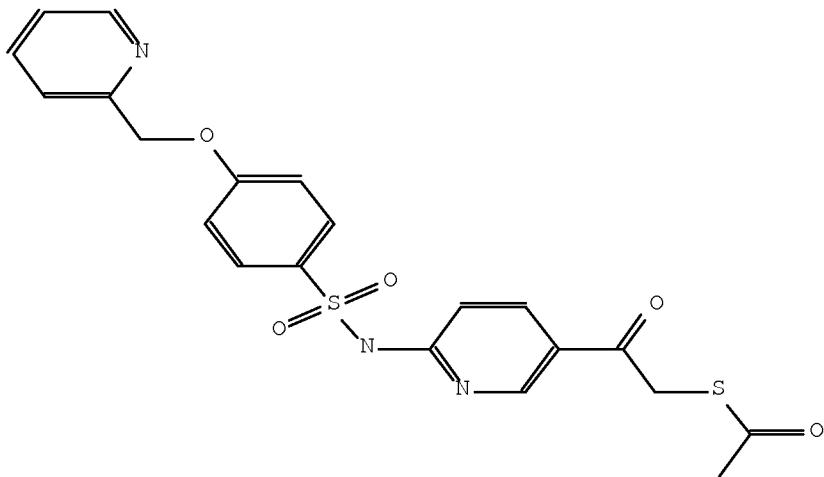
SDCN RARI27



AN.S DCR-1530725

CN.S Thioacetic acid S-(2-oxo-2-{6-[4-(pyridin-2-ylmethoxy)-benzenesulfonylamino]-pyridin-3-yl}-ethyl) ester

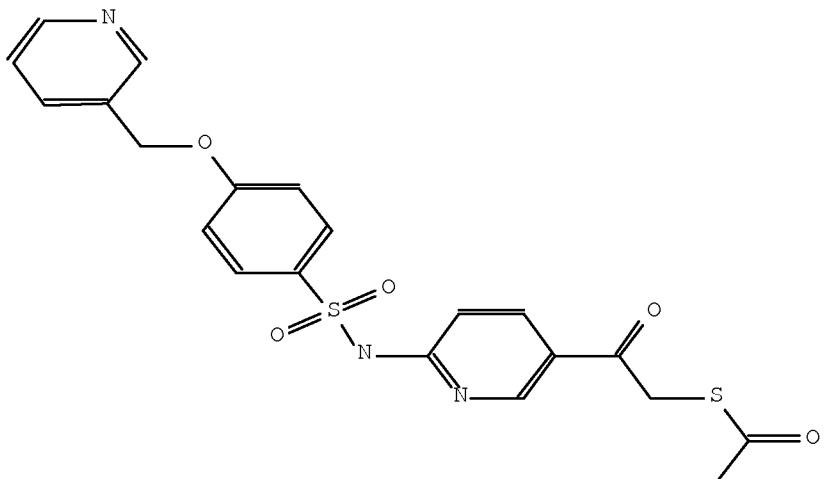
SDCN RARI2C



AN.S DCR-1530729

CN.S Thioacetic acid S-(2-oxo-2-{6-[4-(pyridin-3-ylmethoxy)-benzenesulfonylamino]-pyridin-3-yl}-ethyl) ester

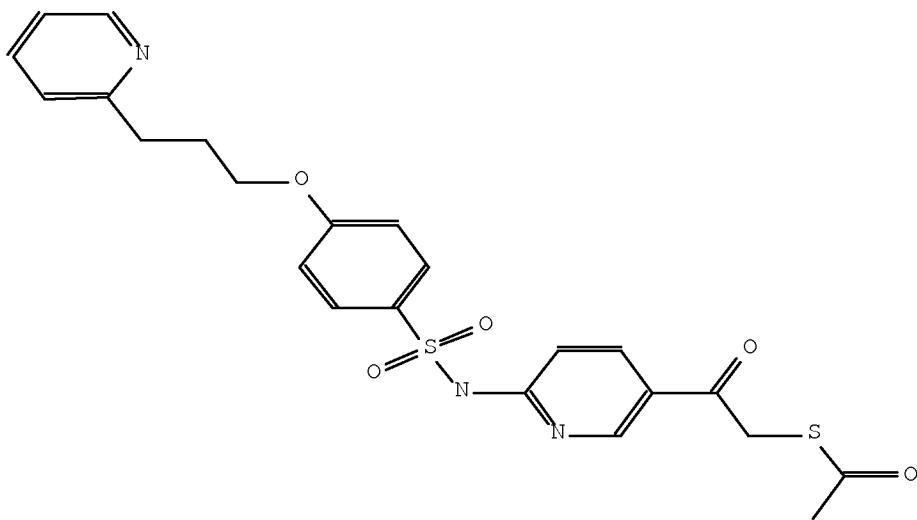
SDCN RARI2G



AN.S DCR-1530730

CN.S Thioacetic acid S-(2-oxo-2-{6-[4-(3-pyridin-2-yl-propoxy)-benzenesulfonylamino]-pyridin-3-yl}-ethyl) ester

SDCN RARI2H



L62 ANSWER 5 OF 13 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2005-358496 [37] WPIX
 DOC. NO. CPI: C2005-110641 [37]
 DOC. NO. NON-CPI: N2005-292774 [37]
 TITLE: Photothermographic image forming material for image formation, comprises phenol derivative in photosensitive layer having silver particles, organic silver salt, reducing agent and binder, or layer adjacent to photosensitive layer
 DERWENT CLASS: A89; E19; G06; P83
 INVENTOR: HANIYU T
 PATENT ASSIGNEE: (KONS-C) KONICA MINOLTA MG KK
 COUNTRY COUNT: 1

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
JP 2005084175	A	20050331 (200537)*	JA	30	[0]	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 2005084175	A	JP 2003-313697	20030905

PRIORITY APPLN. INFO: JP 2003-313697 20030905

INT. PATENT CLASSIF.:

IPC RECLASSIF.: G03C0001-498 [I,A]; G03C0001-498 [I,C]

BASIC ABSTRACT:

JP 2005084175 A UPAB: 20051222
 NOVELTY - A photothermographic image forming material has a photosensitive layer (A), layer (B) adjacent to photosensitive layer and

protective layer, in order on a support structure. Layer (A) contains photosensitive halogenated silver particles, organic silver salt, a reducing agent and a binder. A phenol derivative is present in layer (A or B).

DETAILED DESCRIPTION - A photothermographic image forming material has a photosensitive layer (A), layer (B) adjacent to photosensitive layer and protective layer, in order on a support structure. Layer (A) contains photosensitive halogenated silver particles, organic silver salt, a reducing agent and a binder. A phenol derivative of formula (1) is present in layer (A or B).

R1,R2 = OH;

R3-R9 = H, halogen atom, linear, branched or cyclic alkyl, aryl, acyl, alkoxy carbonyl, aryloxy carbonyl, cyano, carboxyl, alkoxy, aryloxy, acyloxy, acylamino, alkoxy carbonylamino, aryloxy carbonylamino, sulfonyl amino, carbamoyl, mercapto or alkylthio; and

Z1,Z2 = heterocyclic ring.

USE - For image formation.

ADVANTAGE - The photothermographic image forming material has high sensitivity, low fogging and excellent preservability. MANUAL CODE: CPI: A12-L01; E05-M03B; E06-D06; E06-H; E07-H; E08-H;

E09-H; E10-A08; E10-A10; E10-A14B; E10-E02D4; E10-F02;
E10-G02U; E10-H04; E35-B; G06-A08; G06-C08; G06-F;
G06-F01; G06-G01; G06-G10; G06-H19

TECH

IMAGING AND COMMUNICATION - Preferred Layer: The layer (A or B) contains phthalazine compound, poly halo methane compound, reducing agent, and compound having isocyanate and/or vinyl sulfonyl. The reducing agent is bisphenol compound of formula (2) having unsaturated group(s) connecting two phenol groups.

R = H, alkyl, aromatic or heterocyclic ring; and

R',R'' = linear or branched alkyl.

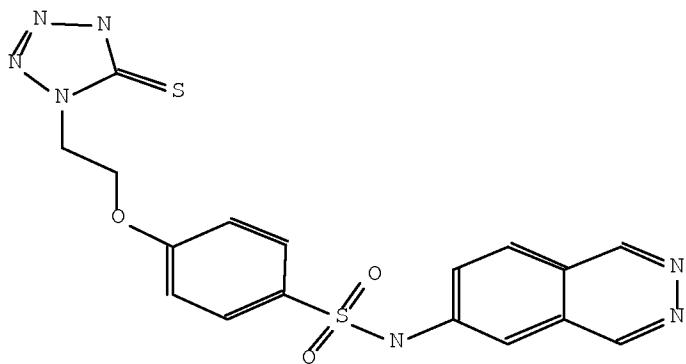
ABEX SPECIFIC COMPOUNDS - 24 phenol derivatives are disclosed, such as compounds of formulae (VB-1,VB-2).

EXAMPLE - Binder (PVB-1) (2.6 g/m²), phenol derivative (VB-1) (in mol/m²) (3.2x10⁻⁴), bisphenol compound (2.2x10⁻⁴), phthalazine compound, (1.2x10⁻⁴), dye (2x10⁻⁵), pyridinium hydrobromide perbromide (0.3 mg/m²), isothiazolone (1.2 mg/m²), reducing agent (3.3 mmol/m²), hexamethylene diisocyanate (cross-linking agent) (2x10⁻⁵) and methyl ethyl ketone were mixed, to obtain coating liquid. The liquid was applied on undercoat layer of polyethylene terephthalate support and dried, to form a photosensitive layer. Surface protective layer was further formed, to obtain a photothermographic image forming material. The material had excellent freshness preservation property, image preservability, sensitivity of 112 and fogging of 0.02.

AN.S DCR-1079730

CN.S N-Phthalazin-6-yl-4-[2-(5-thioxo-4,5-dihydro-tetrazol-1-yl)-ethoxy]-benzenesulfonamide

SDCN RAHXNT



L62 ANSWER 6 OF 13 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2003-788232 [74] WPIX
 DOC. NO. CPI: C2003-217648 [74]
 TITLE: New uracil derivatives useful as inhibitors of tumor necrosis factor alpha converting enzyme and matrix metalloproteinases for treating e.g. inflammatory disorders, asthma, congestive heart failure and sepsis syndrome
 DERWENT CLASS: B02; B03
 INVENTOR: MADUSKUIE T P
 PATENT ASSIGNEE: (BRIM-C) BRISTOL-MYERS SQUIBB CO; (MADU-I) MADUSKUIE T P
 COUNTRY COUNT: 101

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2003079986	A2	20031002	(200374)*	EN	105[0]	
US 20030229081	A1	20031211	(200382)	EN		
AU 2003220401	A1	20031008	(200432)	EN		
AU 2003220401	A8	20051027	(200624)	EN		
US 7101883	B2	20060905	(200660)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003079986	A2	WO 2003-US8412	20030314
US 20030229081	A1 Provisional	US 2002-365334P	20020318
AU 2003220401	A1	AU 2003-220401	20030314
AU 2003220401	A8	AU 2003-220401	20030314
US 20030229081	A1	US 2003-389529	20030314
US 7101883	B2 Provisional	US 2002-365334P	20020318
US 7101883	B2	US 2003-389529	20030314

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003220401	A1	Based on
AU 2003220401	A8	Based on

PRIORITY APPLN. INFO: US 2002-365334P 20020318
 US 2003-389529 20030314

INT. PATENT CLASSIFI.:

MAIN: A61K031-505
 SECONDARY: C07D403-00
 IPC ORIGINAL: A01N0043-48 [I,C]; A01N0043-58 [I,A]; A61K0031-50 [I,A];
 A61K0031-50 [I,C]; C07D0239-00 [I,C]; C07D0239-02 [I,A]
 IPC RECLASSIF.: A61P0025-00 [I,A]; A61P0025-00 [I,C]; C07D0239-00 [I,C];
 C07D0239-545 [I,A]; C07D0239-69 [I,A]; C07D0401-00 [I,C];
 C07D0401-12 [I,A]
 ECLA: C07D0239-54C4; C07D0239-69; C07D0401-12+239B+215
 ICO: M07D0239:54C4; M07D0239:69
 USCLASS NCLM: 514/224.200
 NCLS: 514/269.000; 544/051.000; 544/310.000

BASIC ABSTRACT:

WO 2003079986 A2 UPAB: 20050601
 NOVELTY - Uracil derivatives (I) are new.
 DETAILED DESCRIPTION - Uracil derivatives of formula A-W-U-X-Y-Z-Ua-Xa-Ya-Za (I) and their stereoisomers and salts, are new.
 A = a group of formula (i)-(iv);
 W = (CHRa)m;
 U = absent, O, NRa1, CO, CRa(OH), COO, OCO, CONRa1, NRa1CO, OC0O, OCONRa1, NRa1COO, NRa1CONRa1, OSO2, SO2O, SOp, SOpNRa1, NRa1SOOp or NRa1SO2NRa1;
 X = absent, 1-3C alkylene, 2-3C alkenylene or 2-3C alkynylene;
 Y = absent, O, NRa1, SOp or CO;
 Z = 3-13C carbocyclyl or 5-14 membered heterocyclyl containing 1-4 N, O or SOp heteroatoms (both optionally substituted by 1-5 Rb);
 Ua = absent, O, NRa1, CO, CRa(OH), COO, OCO, CONRa1, NRa1CO, OC0O, OCONRa1, NRa1COO, NRa1CONRa1, SOp, SOpNRa1, NRa1SOOp or NRa1SO2NRa1;
 Xa = absent, 1-10C alkylene, 2-10C alkenylene or 2-10C alkynylene;
 Ya = absent, O, NRa1, SOp or CO;
 Za = H, or 3-13C carbocyclyl or 5-14 membered heterocyclyl containing 1-4 N, O or S(O)p (both optionally substituted by 1-5 Rc);
 R1 = 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (CRaRa1)r-3-10C carbocyclyl or (CRaRa1)r-5-10 membered heterocyclyl containing 1-4 N, O or S(O)p heteroatoms (all optionally substituted by 1-5 Rd), H, CF3, (CRaRa1)sORa1 or (CRaRa1)rNRaRa1;
 R2, R3 = 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl (all optionally substituted by Rb) or H;
 Ra = H, 1-6C alkyl, phenyl or benzyl;
 Ra1 = 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (CH2)r-3-8 membered carbocyclyl or heterocyclyl containing 1 or 2 N, NRa2, O or S(O)p (all optionally substituted by 1-3 Re) or H, or
 NRa + NRa1 = 5- or 6-membered heterocyclyl optionally containing one additional N, NRa2, O or S(O)p heteroatoms;
 Ra2 = 1-4C alkyl, phenyl or benzyl;
 Rb = 1-6C alkyl (optionally substituted);
 Ra3 = 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (CH2)r-3-8 membered carbocyclyl or heterocyclyl containing 1 or 2 N, NRa2, O or S(O)p (all optionally substituted) or H;
 Rc = H, ORa, Cl, F, Br, I, O CN, NO2, CF3, CF2CF3, OCF3, (CRaRa1)rNRaRa1, (CRaRa1)rC(NCN)NRaRa1, (CRaRa1)rC(NRa)NRaRa1, (CRaRa1)rC(NORa)NRaRa1, (CRaRa1)rCONRaOH, (CRaRa1)rCORa1, (CRaRa1)rCORa1, (CRaRa1)rCSORa1, (CRaRa1)rCONRaRa1, (CRaRa1)rNRaCORa1, (CRaRa1)rCSNRaRa1, (CRaRa1)rOCONRaRa1, (CRaRa1)rNRaCOORa1, (CRaRa1)rNRaCONRaRa1, (CRaRa1)rS(O)pRa3, (CRaRa1)rSO2NRaRa1, (CRaRa1)rNRaSO2Ra3 or (CRaRa1)rNRaSO2NRaRa1), or 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (CRaRa1)r-3-10C carbocyclyl or (CRaRa1)r-5-14 membered heterocyclyl containing 1-4 N, O or S(O)p heteroatoms (all optionally substituted), or

CRcRc = spiro ring C that is a 3-11 membered carbocyclyl or heterocyclyl optionally containing 1-4 O, N or S(O)p heteroatoms and optionally 1 or 2 double bonds (optionally substituted), provided that ring C does not contain a S-S, O-O or S-O bond, or 5-7 membered carbocyclyl or heterocyclyl ring D optionally containing 1 or 2 N, O or S(O)p heteroatoms and optionally 1-3 double bonds (optionally substituted);

Rd = 1-6C alkyl (optionally substituted by 1 or 2 Re), 2-6C alkenyl, 2-6C alkynyl, ORa, Cl, F, Br, I, O, CN, NO2, NRaRa1, CORa1, COORa, CONRaRa1, C(S)NRaRa1, RaNCONRaRa1, OCONRaRa1, SO2NRaRa1, NRaSO2Ra3, NRaSO2NRaRa1, OSO2NRaRa1, NRaSO2Ra3, S(O)pRa3, CF3 or CF2CF3;

Re = H, 1-6C alkyl, ORa, Cl, F, Br, I, O, CN, NO2, NRaRa, CORa, COORa, CONRaRa, RaNCONRaRa, OCONRaRa, RaNCOORa, SO2NRaRa, NRaSO2NRaRa, NRaSO2NRaRa, OSO2NRaRa, S(O)pRa2, CF3, OCF3, CF2CF3, CH2F or CHF2;

m = 0-3;

p = 0-2;

r = 0-4, and

s = 1-4;

with specified provisos.

Full Definitions are given in the Definitions Field (Full Definitions).

An INDEPENDENT CLAIM is also included for a medical device for implanting into the body, which has a coating material comprising (I), for reducing inflammation or restenosis.

ACTIVITY - Antiinflammatory; Antiasthmatic; Antiarteriosclerotic; Immunosuppressive; Hepatotropic; Virucide; Antiallergic; Antiasthmatic; Anabolic; Eating-Disorders-Gen.; Vasotropic; Immunomodulator; Immunomodulator; Antipyretic; Respiratory-Gen.; Cardiovascular-Gen.; Cardiant; Antigout; Hemostatic; Anti-HIV; Antibacterial; Neuroprotective; Osteopathic; Antiarthritic; Antirheumatic; Antipsoriatic; Uropathic; Ophthalmological; Dermatological; Cerebroprotective; Antiulcer.

MECHANISM OF ACTION - Tumor necrosis factor-alpha (TNF-alpha) converting enzyme (TACE) inhibitor; Matrix metalloproteinase (MMP) inhibitor; Aggrecanase inhibitor.

In a fluorometric assay (Copeland, R. A. et. al. Bioorganic Med. Chemical Lett. 1995, 5, 1947-1952), results showed that (I) exhibited Ki values of upto 10 micro-M for inhibiting recombinant MMP-1-3, 10 and 12-16.

USE - Used for treating inflammatory disorder, acute infection, acute phase response, age related macular degeneration, alcoholic liver disease, allergy, allergic asthma, aneurism, anorexia, aortic aneurism, asthma, atherosclerosis, atopic dermatitis, autoimmune disease, autoimmune hepatitis, Bechet's disease, cachexia, calcium pyrophosphate dihydrate deposition disease, cardiovascular effects, chronic fatigue syndrome, chronic obstruction pulmonary disease, coagulation, congestive heart failure, corneal ulceration, Crohn's disease, enteropathic arthropathy, Felty's syndrome fever, fibromyalgia syndrome, fibrotic disease, gingivitis, glucocorticoid withdrawal syndrome, gout, graft versus host disease, hemorrhage, HIV infection, hyperoxic alveolar injury, infectious arthritis, inflammation, intermittent hydrarthrosis, Lyme disease, meningitis, multiple sclerosis, myasthenia gravis, mycobacterial infection, neovascular glaucoma, osteoarthritis, pelvic inflammatory disease, periodontitis, polymyositis/dermatomyositis, post-ischemic reperfusion injury, post-radiation asthenia, psoriasis, psoriatic arthritis, pulmonary emphysema, pyoderma gangrenosum, relapsing polychondritis, Reiter's syndrome, rheumatic fever, rheumatoid arthritis, sarcoidosis, scleroderma, sepsis syndrome, Still's disease, shock, Sjogren's syndrome, skin inflammatory diseases, solid tumor growth and tumor invasion by secondary metastases, spondylitis, stroke, systemic lupus erythematosus, ulcerative colitis, uveitis, vasculitis, and Wegener's granulomatosis (all claimed).

ADVANTAGE - (I) Have improved characteristics of pharmaceutical properties, dosage requirements, factors which decrease blood concentration peak-to-trough characteristics, factors that increase the concentration of active a drug

at the receptor, factors that decrease the liability for clinical drug-dug interaction, factors that decrease the potential for adverse side-effects and factors that improve manufacturing costs or feasibility.

MANUAL CODE: CPI: B06-H; B07-D12; B14-A01; B14-C03; B14-F01B;
B14-K01A; B14-S06

TECH

ORGANIC CHEMISTRY - Preparation: Preparation of comprises e.g. treating a 5-aminouracil with an acid chloride compound of formula (II) in pyridine or dioxane with aqueous carbonate at room temperature to give a compound of formula (I').

ABEX DEFINITIONS - Full Definitions: - A = a group of formula (i)-(iv); - W = (CHRa)m; - U = absent, O, NRa1, CO, CRa(OH), COO, OCO, CONRa1, NRa1CO, OCOO, OCONRa1, NRa1COO, NRa1CONRa1, OSO2, SO2O, SOp, SOpNRa1, NRa1SOp or NRa1SO2NRa1; - X = absent, 1-3C alkylene, 2-3C alkenylene or 2-3C alkynylene; - Y = absent, O, NRa1, SOp or CO; - Z = 3-13C carbocyclyl or 5-14 membered heterocyclyl containing 1-4 N, O or SOp heteroatoms (both optionally substituted by 1-5 Rb); - Ua = absent, O, NRa1, CO, CRa(OH), COO, OCO, CONRa1, NRa1CO, OCOO, OCONRa1, NRa1COO, NRa1CONRa1, SOp, SOpNRa1, NRa1SOp or NRa1SO2NRa1; - Xa = absent, 1-10C alkylene, 2-10C alkenylene or 2-10C alkynylene; - Ya = absent, O, NRa1, SOp or CO; - Za = H, or 3-13C carbocyclyl or 5-14 membered heterocyclyl containing 1-4 N, O or S(Op) (both optionally substituted by 1-5 Rc); - R1 = 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (CRaRa1)r-3-10C carbocyclyl or (CRaRa1)r-5-10 membered heterocyclyl containing 1-4 N, O or S(Op) heteroatoms (all optionally substituted by 1-5 Rd), H, CF3, (CRaRa1)sORa1 or (CRaRa1)rNRaRa1; - R2, R3 = 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl (all optionally substituted by Rb) or H; - Ra = H, 1-6C alkyl, phenyl or benzyl; - Ra1 = 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (CH2)r-3-8 membered carbocyclyl or heterocyclyl containing 1 or 2 N, NRa2, O or S(Op) (all optionally substituted by 1-3 Re) or H, or - NRa + NRa1 = 5- or 6-membered heterocyclyl optionally containing one additional N, NRa2, O or S(Op) heteroatoms; - Ra2 = 1-4C alkyl, phenyl or benzyl; - Rb = 1-6C alkyl (optionally substituted by Rc1, ORa, SRa, Cl, F, Br, I, O, CN, NO2, NRaRa1, CORa, COORA, CONRaRa1, CSNRaRa1, NRaCONRaRa1, OCONRaRa1, NRaCOORA, SO2NRaRa1, NRaSO2Ra3, NRaSO2NRaRa1, OSO2NRaRa1, NRaSO2Ra3, SOpRa3, CF3, CF3CF3, CHF2, CH2F or phenyl; - Ra3 = 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (CH2)r-3-8 membered carbocyclyl or heterocyclyl containing 1 or 2 N, NRa2, O or S(Op) (all optionally substituted by 1-3 Rc1) or H; - Rc = H, ORa, Cl, F, Br, I, O, CN, NO2, CF3, CF2CF3, OCF3, (CRaRa1)rNRaRa1, (CRaRa1)rC(NCN)NRaRa1, (CRaRa1)rC(NRa)NRaRa1, (CRaRa1)rC(NORA)NRaRa1, (CRaRa1)rCONRaOH, (CRaRa1)rCORa1, (CRaRa1)rCORa1, (CRaRa1)rCSORa1, (CRaRa1)rCONRaRa1, (CRaRa1)rNRaCORa1, (CRaRa1)rCSNRaRa1, (CRaRa1)rOCONRaRa1, (CRaRa1)rNRaCOORA1, (CRaRa1)rNRaCONRaRa1, (CRaRa1)rS(Op)Ra3, (CRaRa1)rSO2NRaRa1, (CRaRa1)rNRaSO2Ra3 or (CRaRa1)rNRaSO2NRaRa1), or 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (CRaRa1)r-3-10C carbocyclyl or (CRaRa1)r-5-14 membered heterocyclyl containing 1-4 N, O or S(Op) heteroatoms (all optionally substituted by Rc1), or - CRcRc = spiro ring C that is a 3-11 membered carbocyclyl or heterocyclyl optionally containing 1-4 O, N or S(Op) heteroatoms and optionally 1 or 2 double bonds (optionally substituted by 1 or 2 Rc1), provided that ring C does not contain a S-S, O-O or S-O bond, or 5-7 membered carbocyclyl or heterocyclyl ring D optionally containing 1 or 2 N, O or S(Op) heteroatoms and optionally 1-3 double bonds (optionally substituted by Rc1); - Rc1 = H, 1-6C alkyl, ORa, Cl, F, Br, I, O, CN, NO2, NRaRa1, CORa, COORA, CONRaRa1, RaNCONRaRa1, OCONRaRa1, RaNCOORA1, SO2NRaRa1, NRaSO2Ra2, NRaSO2Ra1, NRaOSO2NRaRa1, OSO2NRaRa1, NRaSO2Ra2, CF3, OCF3, CF2CF3, CH2F or CHF2; - Rd = 1-6C alkyl (optionally substituted by 1 or 2 Re), 2-6C alkenyl, 2-6C alkynyl, ORa, Cl, F, Br, I, O, CN, NO2, NRaRa1, CORa1, COORA, CONRaRa1, C(S)NRaRa1, RaNCONRaRa1, OCONRaRa1, SO2NRaRa1, NRaSO2Ra3, NRaSO2NRaRa1, OSO2NRaRa1, NRaSO2Ra3, S(Op)Ra3, CF3

or CF₂CF₃; - Re = H, 1-6C alkyl, ORa, Cl, F, Br, I, O, CN, NO₂, NRaRa, CORa, COORa, CONRaRa, RaNCONRaRa, OCONRaRa, RaNCOORA, SO₂NRaRa, NRaSO₂NRaRa, NRaSO₂NRaRa, OSO₂NRaRa, S(O)pRa₂, CF₃, OCF₃, CF₂CF₃, CH₂F or CHF₂; - m = 0-3; - p = 0-2; - r = 0-4, and - s = 1-4, - provided that: - (1) when Z is phenylene or naphthylene, then Ua-Xa-Ya-Za does not form H, 1-6C alkyl, NH₂, NHCOMe or naphthyl; - (2) when W-U-X-Y forms NHSO₂, Z is naphthylene and Za is not phenyl optionally substituted by 1-5 Rc; - (3) when W-U-X-Y forms NHSO₂ and Z is phenylene, then Ua-Xa-Ya forms a bond and Z is not phenyl optionally substituted by 1-5 Rc; - (4) when W-U-X-Y forms NRa₁SO₂ and Z is phenylene, then Za is not phenyl substituted by 5- or 6-membered carbocyclyl or heterocyclyl (optionally substituted by 1 or 2 Rc); - (5) when R1 is (CRaR1)rNRaRa1 or (CRaRa1)rCONRaRa1 and Z is phenylene or naphthylene, then Ua-Xa-Ya does not form a bond and Za is not H, and - (6) when W-U-X-Y forms NHSO₂CH₂ or NHCOCH₂ and Z is naphthyl, then Ua-Xa-Ya is not CH₂CH₂NRa1a.

ADMINISTRATION - Dosage is 0.001-1000 (preferably 0.1-20) mg/kg/day orally or 1-10 mg/kg/minute intravenously. Administration is also intraperitoneal, subcutaneous or intramuscular. Administration is optionally in combination with antiinflammatory agents (specifically cyclooxygenase-2 inhibitors, interleukin-1 antagonists, dihydroorotate synthase inhibitors, p38 mitogen-activated protein kinase inhibitors, TNF-alpha inhibitors, TNF-alpha sequestration agents and/or methotrexate).

SPECIFIC COMPOUNDS - 10 Compounds (I) are specifically claimed e.g: - N-(2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinyl)-4-((2-methyl-4-quinoliny)methoxy)benzene sulfonamide (Ia).

EXAMPLE - Sodium hydroxide 3 M (8.7 ml) was added to a suspension of 4-hydroxybezenesulfonic acid sodium salt (5 g), 4-chloromethyl-2-methylquinoline (5 g) and sodium iodide (0.4 g) in ethanol (80 ml). The reaction was refluxed for 18 hours and cooled to room temperature. The mixture was worked up to give 4-((2-methyl-4-quinoliny)methoxy)benzenesulfonic acid sodium salt (A) (5.5 g). A catalytic amount of dimethylformamide was added to a solution of (A) (1.0 g) in thionyl chloride (3 ml). The reaction was heated to 60degreesC for 2 hours and cooled to room temperature. The mixture was worked up to give 4-((2-methyl-4-quinoliny)methoxy)benzenesulfonyl chloride (B) (0.95 g). A solution of (B) (0.23 g) was added to 5-aminouracil (0.15 g) in pyridine (5 ml) at room temperature. The reaction was stirred for 2.5 hours and work up produced N-(2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinyl)-4-((2-methyl-4-quinoliny) methoxy)benzene sulfonamide (Ia) trifluoroacetate (0.075 g; 60%).

AN.S DCR-796213

CN.S N-(2,4-Dioxo-hexahydro-pyrimidin-5-yl)-4-(2-methyl-quinolin-4-ylmethoxy)-benzenesulfonamide

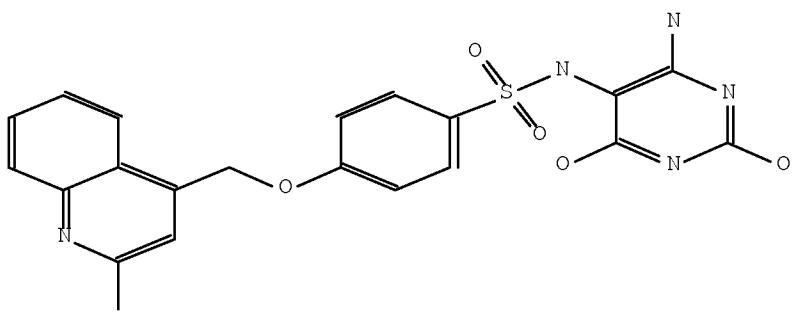
SDCN RAC2TZ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AN.S DCR-796206

CN.S N-(4-Amino-2,6-dihydroxy-pyrimidin-5-yl)-4-(2-methyl-quinolin-4-ylmethoxy)-benzenesulfonamide

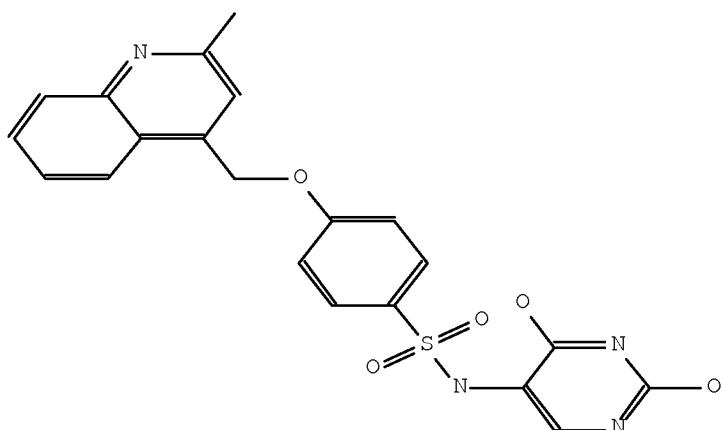
SDCN RAC2TS



AN.S DCR-796205

CN.S N-(2,4-Dihydroxy-pyrimidin-5-yl)-4-(2-methyl-quinolin-4-ylmethoxy)-benzenesulfonamide

SDCN RAC2TR



L62 ANSWER 7 OF 13 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2003-167243 [16] WPIX
 DOC. NO. CPI: C2003-043379 [16]
 TITLE: New hydantoin derivatives are matrix metalloproteinase inhibitors used for treating e.g. acute infection, asthma, inflammation, multiple sclerosis, stroke and solid tumor growth
 DERWENT CLASS: B02; B03
 INVENTOR: DUAN J; SHEPPECK J E; WASSERMAN Z; XUE C; XUE C B
 PATENT ASSIGNEE: (BRIM-C) BRISTOL-MYERS SQUIBB CO; (BRIM-C) BRISTOL-MYERS SQUIBB PHARMA CO; (DUAN-I) DUAN J; (SHEP-I) SHEPPECK J E; (WASS-I) WASSERMAN Z; (XUEC-I) XUE C
 COUNTRY COUNT: 99

PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
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WO 2002096426	A1	20021205	(200316)*	EN	184[0]
US 20030130273	A1	20030710	(200347)	EN	
EP 1397137	A1	20040317	(200420)	EN	
AU 2002314801	A1	20021209	(200452)	EN	
US 20040209874	A1	20041021	(200470)	EN	
JP 2004535411	W	20041125	(200477)	JA	531
US 6890915	B2	20050510	(200532)	EN	
US 6906053	B2	20050614	(200540)	EN	
US 20050171096	A1	20050804	(200552)	EN	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2002096426	A1	WO 2002-US16381	20020523
US 20030130273	A1 Provisional	US 2001-293571P	20010525
US 20040209874	A1 Provisional	US 2001-293571P	20010525
US 6890915	B2 Provisional	US 2001-293571P	20010525
US 6906053	B2 Provisional	US 2001-293571P	20010525
US 20050171096	A1 Provisional	US 2001-293571P	20010525
AU 2002314801	A1	AU 2002-314801	20020523
EP 1397137	A1	EP 2002-741724	20020523
JP 2004535411	W	JP 2002-592936	20020523
US 20030130273	A1	US 2002-155575	20020523
US 20040209874	A1 Div Ex	US 2002-155575	20020523
US 6890915	B2	US 2002-155575	20020523
US 6906053	B2 Div Ex	US 2002-155575	20020523
US 20050171096	A1 Div Ex	US 2002-155575	20020523
EP 1397137	A1	WO 2002-US16381	20020523
JP 2004535411	W	WO 2002-US16381	20020523
US 20040209874	A1	US 2004-844219	20040512
US 6906053	B2	US 2004-844219	20040512
US 20050171096	A1 Div Ex	US 2004-844219	20040512
US 20050171096	A1	US 2005-93670	20050330

FILING DETAILS:

PATENT NO	KIND	PATENT NO		
US 6906053	B2	Div ex	US 6890915	B
US 20050171096	A1	Div ex	US 6890915	B
US 20050171096	A1	Div ex	US 6906053	B
EP 1397137	A1	Based on	WO 2002096426	A
AU 2002314801	A1	Based on	WO 2002096426	A
JP 2004535411	W	Based on	WO 2002096426	A

PRIORITY APPLN. INFO:	US 2001-293571P	20010525
	US 2002-155575	20020523
	US 2004-844219	20040512
	US 2005-93670	20050330

INT. PATENT CLASSIF.:

MAIN:	A61K031-4709; C07D233-76
IPC RECLASSIF.:	A61K0031-4164 [I,C]; A61K0031-4166 [I,A]; A61K0031-4184 [I,A]; A61K0031-4188 [I,A]; A61K0031-4353 [I,C]; A61K0031-437 [I,A]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-4523 [I,C]; A61K0031-454 [I,A]; A61K0031-4709 [I,A]; A61K0031-4709 [I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-4738 [I,C]; A61K0031-4741 [I,A]; A61K0031-5415 [I,A]; A61K0031-5415

[I,C]; A61K0045-00 [I,C]; A61K0045-06 [I,A]; A61P0001-00
 [I,A]; A61P0001-00 [I,C]; A61P0001-02 [I,A]; A61P0001-04
 [I,A]; A61P0001-14 [I,A]; A61P0001-16 [I,A]; A61P0011-00
 [I,A]; A61P0011-00 [I,C]; A61P0011-06 [I,A]; A61P0017-00
 [I,A]; A61P0017-00 [I,C]; A61P0017-02 [I,A]; A61P0017-06
 [I,A]; A61P0019-00 [I,A]; A61P0019-00 [I,C]; A61P0019-02
 [I,A]; A61P0019-06 [I,A]; A61P0019-08 [I,A]; A61P0021-00
 [I,A]; A61P0021-00 [I,C]; A61P0021-04 [I,A]; A61P0025-00
 [I,A]; A61P0025-00 [I,C]; A61P0025-04 [I,A]; A61P0027-00
 [I,C]; A61P0027-02 [I,A]; A61P0027-06 [I,A]; A61P0029-00
 [I,A]; A61P0029-00 [I,C]; A61P0003-00 [I,A]; A61P0003-00
 [I,C]; A61P0031-00 [I,A]; A61P0031-00 [I,C]; A61P0031-04
 [I,A]; A61P0031-18 [I,A]; A61P0035-00 [I,A]; A61P0035-00
 [I,C]; A61P0037-00 [I,C]; A61P0037-02 [I,A]; A61P0037-08
 [I,A]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; A61P0007-00
 [I,A]; A61P0007-00 [I,C]; A61P0007-02 [I,A]; A61P0007-04
 [I,A]; A61P0009-00 [I,C]; A61P0009-04 [I,A]; A61P0009-08
 [I,A]; A61P0009-10 [I,A]; C07D0233-00 [I,C]; C07D0233-76
 [I,A]; C07D0401-00 [I,C]; C07D0401-06 [I,A]; C07D0401-12
 [I,A]; C07D0401-14 [I,A]; C07D0403-00 [I,C]; C07D0403-12
 [I,A]; C07D0405-00 [I,C]; C07D0405-14 [I,A]; C07D0409-00
 [I,C]; C07D0409-14 [I,A]; C07D0417-00 [I,C]; C07D0417-12
 [I,A]; C07D0417-14 [I,A]; C07D0471-00 [I,C]; C07D0471-10
 [I,A]; C07D0487-00 [I,C]; C07D0487-10 [I,A]; C07D0491-00
 [I,C]; C07D0491-10 [I,A]; C07D0491-107 [I,A]; C07D0519-00
 [I,A]; C07D0519-00 [I,C]

ECLA:

A61K0031-4709+M; A61K0045-06; C07D0233-76;
 C07D0401-06+233+211; C07D0401-12+233+215;
 C07D0401-12+235+215; C07D0401-14+233+215+211;
 C07D0401-14+233+215+213+211; C07D0401-14+233+217+211;
 C07D0403-12+235C+233; C07D0405-14+309+233+215;
 C07D0409-14+335+233+211; C07D0417-12+279+235;
 C07D0417-14+279+233+211; C07D0471-10+235B+221B;
 C07D0487-10+235B+209B; C07D0491-10+307B+235B;
 C07D0491-10+311B+235B

ICO:

M07D0233:76

USCLASS NCLM:

514/183.000

NCLS:

514/235.200; 514/235.500; 514/235.800; 514/254.050;
 514/311.000; 514326000; 514385000; 514389000; 514396000;
 514399000; 514409000; 514412000; 514422000; 514425000;
 544060000; 544139000; 544370000; 546016000; 546112000;
 546134000; 546210000; 548300100; 548300700; 548311100;
 548317100; 548407000; 548408000; 548409000

BASIC ABSTRACT:

WO 2002096426 A1 UPAB: 20060118

NOVELTY - Hydantoin derivatives (I) are new.

DETAILED DESCRIPTION - Hydantoin derivatives of formula (I) and their salts are new.

R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za;

R11a = W-Ub-X-Y-Z-Ua-Xa-Ya-Za;

W = e.g. 2-3C alkenylene or 2-3C alkynylene;

U, Ua = e.g. absent, O, C(O), C(O)O or S(O)p;

Ub = e.g. O, C(O), C(O)O or S(O)p;

X = absent, 1-3C alkylene, 2-3C alkenylene or 2-3C alkynylene;

Y, Ya = e.g. absent, O or S(O)p;

Z, Za = 3-13C carbocyclyl or 5-14 membered heterocyclyl containing 1-4

N, O or S(O)p (both optionally substituted);

Xa = absent, 1-10C alkylene, 2-10C alkenylene, or 2-10C alkynylene;

CR1CR2 = 3-8 membered carbocyclyl or heterocyclyl containing 1 or 2 O, N, NR10 or S(O)p, optionally 1 or 2 carbonyl groups and optionally 1 or 2

double bonds (optionally substituted), with carbocyclyl or heterocyclyl optionally fused to a 5- or 6-membered carbocyclyl or heterocyclyl containing 1-3 N, O or S(O)p (both optionally substituted);

R3, R3a, R1a = e.g. Q, 1-6C alkylene-Q, 2-6C alkylene-Q or 2-6C alkynylene-Q;

R2a = Q1, 1-6C alkylene-Q1, 2-6C alkylene-Q1 or 2-6C alkynylene-Q1;

Q = H, CHF2, CH2F, CF3 or 3-13C carbocyclyl or 5-14 membered

heterocyclyl containing 1-4 N, O or S(O)p (both optionally substituted);

Q1 = H or 3-13C carbocyclyl or 5-14 membered heterocyclyl containing 1-4 N, NR10, O or S(O)p (both optionally substituted);

R4, R5 = H or 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl (all optionally substituted);

n = 0 or 1;

R6, R7 = H, 1-4C alkyl, 2-4C alkenyl, or 2-4C alkynyl, and

p = 0-2.

See 'Definitions' Section for 'Full definitions'.

ACTIVITY - Antiallergic; Antiasthmatic; Immunosuppressive; Antiarteriosclerotic; Dermatological; Hepatotropic; Antinflammatory; Virucide; Vasotropic; Immunomodulator; Cardiant; Antiulcer; Antipyretic; Antigout; Hemostatic; Anti-HIV; Antiarthritic; Antibacterial; Ophthalmological; Neuroprotective; Osteopathic; Antipsoriatic; Uropathic; Antirheumatic; Cerebroprotective.

MECHANISM OF ACTION - Matrix metalloproteinase (MMP) inhibitor; Tumor necrosis factor-alpha (TNF) converting enzyme inhibitor; Aggrecanase inhibitor.

In a fluorometric assay (Copeland, R.A. et al. Bioorganic Med. Chemical Lett. 1995, 5, 1947-1952), some (I) and (II) exhibited Ki values of upto 10 micro-M for inhibiting MMP-1-3, 7-10 and 12-16.

USE - Used for treating acute infection, acute phase response, age related macular degeneration, alcoholic liver disease, allergy, allergic asthma, anorexia, aneurism, aortic aneurism, asthma, atherosclerosis, atopic dermatitis, autoimmune disease, autoimmune hepatitis, Behcet's disease, cachexia, calcium pyrophosphate dihydrate deposition disease, cardiovascular effects, chronic fatigue syndrome, chronic obstruction pulmonary disease, coagulation, congestive heart failure, corneal ulceration, Crohn's disease, enteropathic arthropathy, Felty's syndrome, fever, fibromyalgia syndrome, fibrotic disease, gingivitis, glucocorticoid withdrawal syndrome, gout, graft versus host disease, hemorrhage, HIV infection, hyperoxic alveolar injury, infectious arthritis, inflammation, intermittent hydrarthrosis, Lyme disease, meningitis, multiple sclerosis, myasthenia gravis, mycobacterial infection, neovascular glaucoma, osteoarthritis, pelvic inflammatory disease, periodontitis, polymyositis/dermatomyositis, post ischemic reperfusion injury, post-radiation asthenia, psoriasis, psoriatic arthritis, pulmonary emphysema, pyoderma gangrenosum, relapsing polychondritis, Reiter's syndrome, rheumatic fever, rheumatoid arthritis, sarcoidosis, scleroderma, sepsis syndrome, Still's disease, shock, Sjogren's syndrome, skin inflammatory diseases, solid tumor growth and tumor invasion by secondary metastases, spondylitis, stroke, systemic lupus erythematosus, ulcerative colitis, uveitis, vasculitis, and Wegener's granulomatosis.

MANUAL CODE:

CPI: B06-H; B07-D09; B14-A01B1; B14-A02B1; B14-B04A;
B14-C02; B14-C03; B14-C04; B14-C09; B14-E08; B14-E10C;
B14-F01B; B14-F07; B14-F08; B14-G02A; B14-G02C; B14-G02D;
B14-H01; B14-K01A; B14-N03; B14-N05; B14-N06B; B14-N07;
B14-N12; B14-N16; B14-N17; B14-S01; B14-S06

TECH

ORGANIC CHEMISTRY - Preparation: No relevant preparation of (I) or (II) is given in the source material.

ABEX DEFINITIONS - Full Definitions: - R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; - W = (CRaRa1)m, 2-3C alkenylene or 2-3C alkynylene; - U, Ua = absent or E1; - E1 = O, NR1, C(O), CRa(OH), C(O)O, OC(O), C(O)NRa1, NRa1C(O), OC(O)O, OC(O)NRa1, NRa1C(O)O, NRa1C(O)NRa1, S(O)p, S(O)NRa1, NRa1S(O)p or

NRa1SO2NRa1; - X = absent, 1-3C alkylene, 2-3C alkenylene or 2-3C alkynylene; - Y, Ya = absent, O, NRa1, S(O)p or C(O); - Z = G1 (optionally substituted by 1-5 Rb); - G1 = 3-13C carbocyclyl or 5-14 membered heterocyclyl containing 1-4 N, O or S(O)p; - Xa = absent, 1-10C alkylene, 2-10C alkenylene or 2-10C alkynylene; - Za = G1 (optionally substituted by 1-5 Rc); - CR1CR2 = 3-8 membered carbocyclyl or heterocyclyl containing 1 or 2 O, N, NR10 or S(O)p, optionally 1 or 2 carbonyl groups and optionally 1 or 2 double bonds (both optionally by 1-3 R9) and optionally fused to T1); - T1 = 5- or 6-membered carbocyclyl or heterocyclyl containing 1-3 N, O or S(O)p heteroatoms (both optionally substituted by 1-3 R9); - R3, Ra1 = Q, 1-6C alkylene-Q, 2-6C alkenylene-Q, 2-6C alkynylene-Q, (CRaRa1)rO(CRaRa1)s-Q, (CRaRa1)rNRa(CRaRa1)s-Q, (CRaRa1)rC(O)(CRaRa1)s-Q, (CRaRa1)rC(O)O(CRaRa1)s-Q, (CRaRa1)rOC(O)(CRaRa1)s-Q, (CRaRa1)rC(O)NRaRa1, (CRaRa1)rC(O)NRa(CRaRa1)s-Q, (CRaRa1)rNRaC(O)(CRaRa1)s-Q, (CRaRa1)rOC(O)O(CRaRa1)s-Q, (CRaRa1)rOC(O)NRa(CRaRa1)s-Q, (CRaRa1)rNRaC(O)O(CRaRa1)s-Q, (CRaRa1)rNRaC(O)NRa(CRaRa1)s-Q, (CRaRa1)rS(O)p(CRaRa1)s-Q, (CRaRa1)rS(O)2NRa(CRaRa1)s-Q, (CRaRa1)rNRaSO2(CRaRa1)s-Q or (CRaRa1)rNRaSO2NRa(CRaRa1)s-Q; - Q = G1 (optionally substituted by 1-5 Rd), H, CHF2, CH2F or CF3; - n = 0 or 1; - R4, R5 = 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl (all optionally substituted by Rb) or H, or - in (I), when = 1, then - CR4R5 = 3-8 membered carbocyclyl or heterocyclyl containing 1 or 2 O, N, NR10 or S(O)p and optionally 1 or 2 double bonds (both optionally substituted by 1-3 R9); - Ra = H, 1-6C alkyl, phenyl or benzyl; - Ra1, Ra3 = 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl (all optionally substituted by Rcl), H or (CH2)r-3-8C membered carbocyclyl or heterocyclyl containing 1 or 2 N, NRa2, O or S(O)p (optionally substituted by 1-3 Rcl), or - NRaRa1 = 5- or 6-membered heterocyclyl containing N, NRa2, O or S(O)p; - Ra2 = 1-4C alkyl, phenyl or benzyl; - Rb = 1-6C alkyl optionally substituted by Rcl, ORa, SRA, halo, =O, CN, NO2, NRaRa1, C(O)Ra, C(O)ORa, C(O)NRaRa1, C(S)NRaRa1, OC(O)NRaRa1, NRaC(O)ORa, S(O)2NRaRa1, NRaS(O)2Ra3, NRaS(O)2NRaRa1, OS(O)2NRaRa1, S(O)pRa3, CF3, CF2CF3, CHF2, CH2F or phenyl; - Rc = G2 or (CRaRa1)r-5-14 membered heterocyclyl containing 1-4 N, O or S(O)p (all optionally substituted by 1 or 2 Rcl), H, ORa, halo, =O, CN, NO2, CF3, CF2CF3, CHF2, CH2F, G3, (CRaRa1)rC(=NCN)NRaRa1, (CRaRa1)rC(=NRa)NRaRa1, (CRaRa1)rC(=NRa)NRaRa1 or (CRaRa1)rC(O)Ra1, or - CRcRc = 3-8 membered carbocyclic or heterocyclic spiro ring (C1) optionally containing 1-4 O, N or S(O)p and 1 or 2 double bonds (both optionally substituted by 1 or 2 Rcl), or - Rc + Rc (on adjacent C atoms) = 5-7 membered carbocyclyl or heterocyclyl containing 1 or 2 N, O or S(O)p and optionally 1-3 double bonds (both optionally substituted by 1 or 2 Rcl); - G2 = 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl or (CRaRa1)r-3-10C carbocyclyl; - G3 = (CRaRa1)rNRaRa1, (CRaRa1)rC(O)NRaOH, (CRaRa1)rC(O)ORa1, (CRaRa1)rC(S)ORa1, (CRaRa1)rC(O)NRaRa1, (CRaRa1)rNRaC(O)Ra1, (CRaRa1)rC(S)NRaRa1, (CRaRa1)rOC(O)NRaRa1, (CRaRa1)rNRaC(O)ORa1, (CRaRa1)rNRaC(O)NRaRa1, (CRaRa1)rS(O)pRa3, (CRaRa1)rSO2NRaRa1, (CRaRa1)rNRaSO2Ra3, (CRaRa1)rNRaSO2NRaRa1, or - Rcl = H, 1-4C alkyl, ORa, halo, =O, CF3, CN, NO2, C(O)Ra, C(O)ORa, C(O)NRaRa1 or S(O)pRa; - Rd = 1-6C alkyl, ORa, halo, =O, CN, NO2, NRaRa1, C(O)Ra, C(O)ORa, C(O)NRaRa1, C(S)NRaRa1, RaNC(O)NRaRa1, OC(O)NRaRa1, RaNC(O)O, S(O)2NRaRa1, NRaS(O)2Ra3, NRaS(O)2NRaRa1, OS(O)2NRaRa1, S(O)pRa3, CF3, CF2CF3, 3-10C carbocyclyl or 5-14 membered heterocyclyl containing 1-4 N, O or S(O)p; - Re = 3-10C carbocyclyl or 5-10 membered heterocyclyl containing 1-4 N, O or S(O)p (both optionally substituted by 1 or 2 Rcl), H, 1-6C alkyl, 1-6C alkoxy, phenoxy or benzoxy; - R6, R7 = H, 1-4C alkyl, 2-4C alkenyl or 2-4C alkynyl; - R9 = G2 or (CRaRa1)r-5-10 membered heterocyclyl containing 1-4 N, O or S(O)p (all optionally substituted by 1 or 2 Rcl), H, G3 or (CRaRa1)rC(O)(CRaRa1)sRe; - R10 = G2 or (CRaRa1)r-5-10 membered heterocyclyl containing 1-4 N, O or S(O)p (all optionally substituted by 1 or 2 Rcl), H, (CRaRa1)tNRaRa1, (CRaRa1)rC(O)NRaOH,

(CRaRa1)rC(O)(CRaRa1)sRe, (CRaRa1)rC(O)ORa1, (CRaRa1)rC(S)ORa1, (CRaRa1)rC(O)NRaRa1, (CRaRa1)tNRaC(O)Ra1, (CRaRa1)rC(S)NRaRa1, (CRaRa1)tOC(O)NRaRa1, (CRaRa1)tNRaC(O)ORa1, (CRaRa1)tNRaC(O)NRaRa1, (CRaRa1)rS(O)pRa3, (CRaRa1)rSO2NRaRa1, (CRaRa1)tNRaSO2Ra3, (CRaRa1)tNRaSO2NRaRa1; - m = 0-3; - p = 0-2; - r, s = 0-4; - t = 1-4; - R11a = W-Ub-X-Y-Z-Ua-Xa-Ya-Za; - Ub = E1; - R2a = Q1, 1-6C alkylene-Q1, 2-6C alkenylene-Q1, 2-6C alkynylene-Q1, (CRaRa1)rO(CRaRa1)s-Q1, (CRaRa1)rNRa(CRaRa1)s-Q1, (CRaRa1)rC(O)(CRaRa1)s-Q1, (CRaRa1)rC(O)O(CRaRa1)s-Q1, (CRaRa1)rOC(O)(CRaRa1)s-Q1, (CRaRa1)rC(O)NRaRa1, (CRaRa1)rC(O)NRa(CRaRa1)s-Q1, (CRaRa1)rNRaC(O)O(CRaRa1)s-Q1, (CRaRa1)rOC(O)NRa(CRaRa1)s-Q1, (CRaRa1)rNRaC(O)O(CRaRa1)s-Q1, (CRaRa1)rNRaC(O)NRa(CRaRa1)s-Q1, (CRaRa1)rS(O)p(CRaRa1)s-Q1, (CRaRa1)rS(O)2NRa(CRaRa1)s-Q1, (CRaRa1)rNRaSO2(CRaRa1)s-Q1 or (CRaRa1)rNRaSO2NRa(CRaRa1)s-Q1; - Q1 = G1 (optionally substituted by 1-5 Rd) or H; - R3a = Q, 1-6C alkylene-Q, 2-6C alkenylene-Q, 2-6C alkynylene-Q, (CRaRa1)rO(CRaRa1)s-Q, (CRaRa1)rNRa(CRaRa1)s-Q, (CRaRa1)rC(O)(CRaRa1)s-Q, (CRaRa1)rC(O)O(CRaRa1)s-Q, (CRaRa1)rC(O)NRaRa1, (CRaRa1)rC(O)NRa(CRaRa1)s-Q, (CRaRa1)rNRaC(O) (CRaRa1)s-Q, (CRaRa1)rS(O)p(CRaRa1)s-Q, (CRaRa1)rS(O)2NRa(CRaRa1)s-Q or (CRaRa1)rNRaSO2(CRaRa1)s-Q, or - in (II), CR2aR3a, CR3a + CR4 (when n = 1), CR4 + R5 = M1, and - M1 = 3-8 membered carbocyclyl or heterocyclyl containing 1 or 2 O, N, NR10 or S(O)p and optionally 1 or 2 double bonds (both optionally substituted by 1-3 R9) and optionally fused to 5- or 6-membered carbocyclyl or heterocyclyl containing 1 or 2 N, NR10 or S(O)p (both optionally substituted by 1-3 R9); - provided that: - (1) U, Y, Z, Ua, Ya and Za do not combine to form a N-N, N-O, O-N, O-O, S(O)p-O, O-S(O)p or S(O)p-S(O)p group; - (2) when carbocyclyl of CR1 + CR2 is fused to 6 membered aromatic carbocyclyl, then Z is not 1,4-piperidinyl; - (3) ring (C1) does not contain S-S, O-O, or S-O; - (4) in (II), when Z is 2,4-thiazolyl or 1,3-cyclohexyl, then Ub is not O, NRa1 or S(O)p; - (5) in (II), when Z is 3,5-pyrazolyl, then Za is not 3-6C cycloalkyl; - (6) in (II), when Z is 1,4-piperazinyl, then Za is not 7-oxo-5H-pyrrolo(3,4-d)-pyrimidinyl; - (7) in (II), when Z is phenylene, then Za is not 4,5-dihydro-pyridazinonyl, phenyl substituted by benzoxy, or benzimidazolyl substituted by C(=NRa)NRaRa1; - (8) in (II), when Z is 8-14 membered bicyclic heterocyclyl, then Za is not 5-9 membered mono- or bi-cyclic heterocyclyl; - (9) in (II), when R2a is C(O)OH, then Ub is not NRa1S(O)2; - (10) in (II), when Ub-X-Y and Ua-Xa-Ya forms OCH2 and Zb is phenylene, then Za is not phenyl, and - (11) in (II), when Ub-X-Y forms CONHCH2CO, then Zb is not 5 membered heterocyclyl.

ADMINISTRATION - The dosage is 0.001-1000 (especially 1-20) mg/kg/day orally or 1-10mg/kg/minute intravenously. Administration is also intraperitoneal, subcutaneous, intramuscular, intranasal, transdermal or liposome delivery systems. - Administration is optionally in combination with at least one additional antiinflammatory agent such as selective cyclooxygenase-2 inhibitor, interleukin-1 antagonist, dihydroorotate synthase inhibitor, p38 MAP kinase inhibitor, TNF-alpha inhibitor, TNF-alpha sequestration agent and methotrexate.

SPECIFIC COMPOUNDS - 97 Compounds (I) are specifically claimed e.g: - (cis, trans)-tert-butyl-6-((4-(2-methyl-4-quinolynyl)methoxy)benzoyl)amino)-2,4-dioxo-1,3,8-triazaspiro(4.5)decane-8-carboxylate (Ia). - 50 Compounds (II) are specifically claimed e.g: - 2-(2,5-dioxo-4-imidazolidinyl)-N-(4-((2-methyl-4-quinolynyl)methoxy)phenyl)acetamide (IIa).

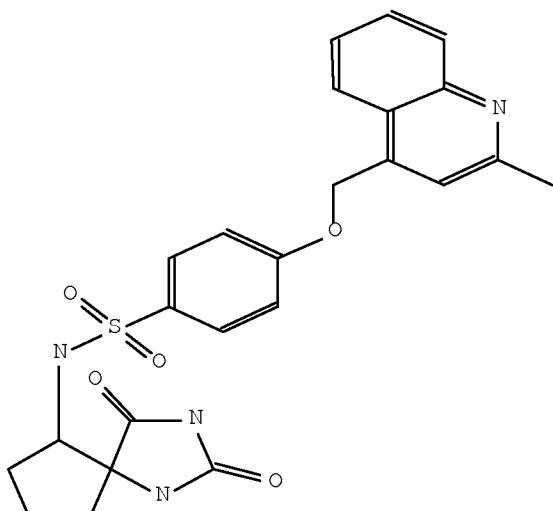
EXAMPLE - To a solution of 1,2,3,6-tetrahydropyridine (2.65 g), triethylamine (8.9 ml) was dissolved in acetonitrile (MeCN) (150 ml) and treated with tert-butylcarbonate (8.35 g) and DMAP (195 mg). The reaction was stirred overnight at room temperature. Acetonitrile (MeCN) was removed on a rotary evaporator and the residue was extracted from 10% NaHSO4 with three times of ethylacetate. The combined organic extracts

were dried over MgSO₄, filtered and worked up to give (cis, trans)-tert-butyl-6-((4-(2-methyl-4-quinolynyl)methoxy)benzoyl)amino)-2,4-dioxo-1,3,8-triazaspiro(4.5)decane-8-carboxylate (96%).

AN.S DCR-662451

CN.S N-(2,4-Dioxo-1,3-diaza-spiro[4.4]non-6-yl)-4-(2-methyl-quinolin-4-ylmethoxy)-benzenesulfonamide

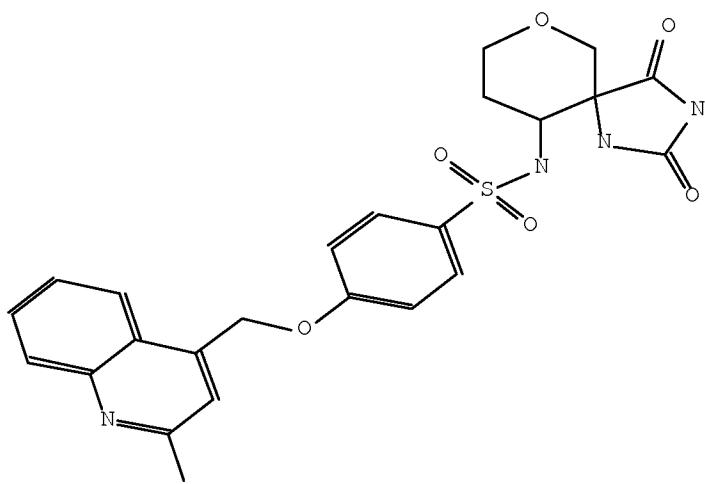
SDCN RA9ISR



AN.S DCR-662482

CN.S N-(2,4-Dioxo-7-oxa-1,3-diaza-spiro[4.5]dec-10-yl)-4-(2-methyl-quinolin-4-ylmethoxy)-benzenesulfonamide

SDCN RA9ITM



=> d ibib ab hitstr 8
 YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
 (Y)/N:Y

L62 ANSWER 8 OF 13 USPATFULL on STN
 ACCESSION NUMBER: 2007:202224 USPATFULL Full-text
 TITLE: DISPLAY PANEL AND DEVICE UTILIZING THE SAME AND PIXEL
 STRUCTURE
 INVENTOR(S): Yeh, Tsung-Lin, Taoyuan County, TAIWAN, PROVINCE OF
 CHINA
 PATENT ASSIGNEE(S): QUANTA DISPLAY INC., Taoyuan County, TAIWAN, PROVINCE
 OF CHINA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20070176874	A1	20070802
APPLICATION INFO.:	US 2006-563708	A1	20061128 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	TW 2006-95103470	20060127
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	THOMAS, KAYDEN, HORSTEMEYER & RISLEY, LLP, 100 GALLERIA PARKWAY, NW, STE 1750, ATLANTA, GA, 30339-5948, US	
NUMBER OF CLAIMS:	26	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	7 Drawing Page(s)	
LINE COUNT:	467	

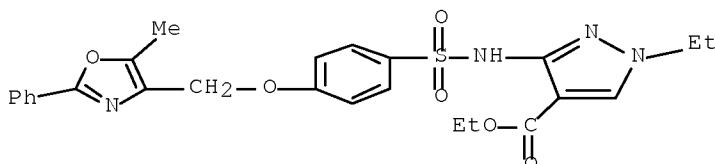
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A display panel includes a first row line, a second row line, a first column line, a first transistor, and a second transistor. The second row line is parallel to the first row line. The first column line is vertical to the first row line and the second row line. The first transistor includes a first terminal, a second terminal, and a first control terminal coupled to the first row line. The second transistor includes a third terminal coupled to the first column line, a fourth terminal coupled to the first terminal, and a second control terminal coupled to the second row line.

IT 827018-08-6P 827018-09-7P
 (preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of
 PPAR receptors)

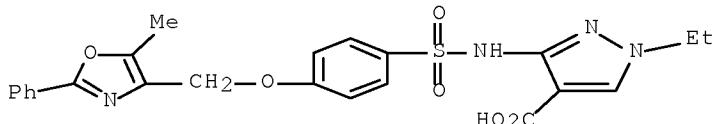
RN 827018-08-6 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 827018-09-7 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



IT 827018-10-0P 827018-11-1P 827018-12-2P

827018-13-3P 827018-14-4P 827018-15-5P

827018-16-6P 827018-17-7P 827018-18-8P

827018-19-9P 827018-20-2P 827018-21-3P

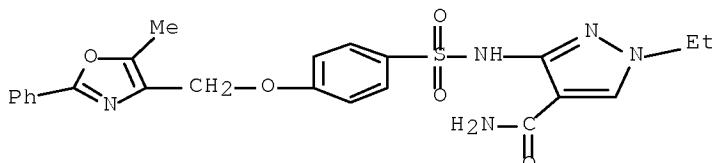
827018-22-4P 827018-23-5P 827018-24-6P

827018-25-7P 827018-26-8P 827018-27-9P

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPAR receptors)

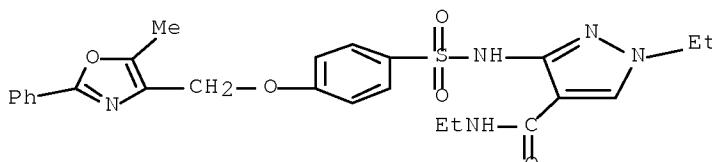
RN 827018-10-0 USPATFULL

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



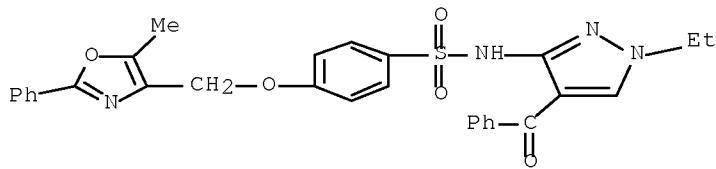
RN 827018-11-1 USPATFULL

CN 1H-Pyrazole-4-carboxamide, N,1-diethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



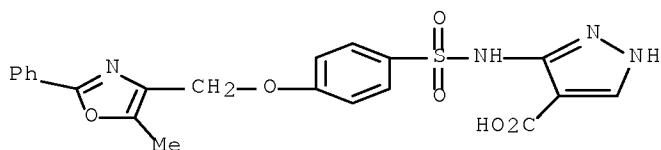
RN 827018-12-2 USPATFULL

CN Benzenesulfonamide, N-(4-benzoyl-1-ethyl-1H-pyrazol-3-yl)-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)



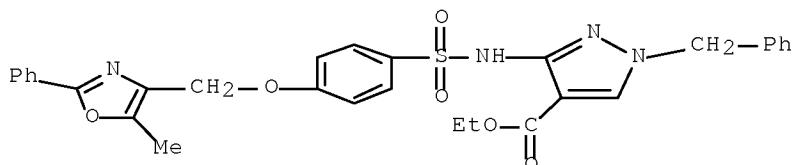
RN 827018-13-3 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



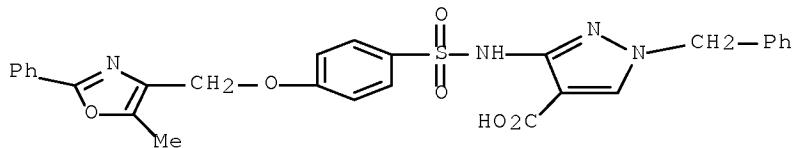
RN 827018-14-4 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



RN 827018-15-5 USPATFULL

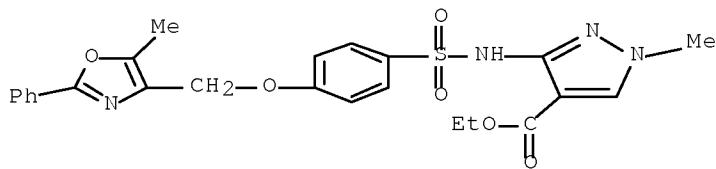
CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(phenylmethyl)- (CA INDEX NAME)



RN 827018-16-6 USPATFULL

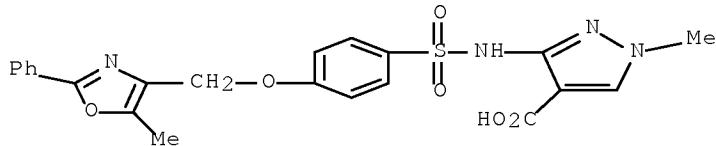
CN 1H-Pyrazole-4-carboxylic acid, 1-methyl-3-[[4-[(5-methyl-2-phenyl-4-

oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



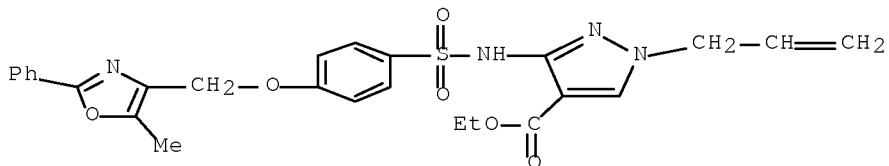
RN 827018-17-7 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 1-methyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



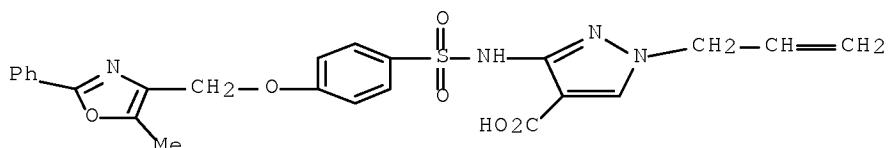
RN 827018-18-8 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(2-propen-1-yl)-, ethyl ester (CA INDEX NAME)



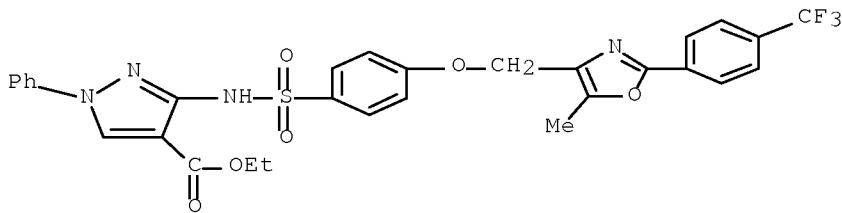
RN 827018-19-9 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(2-propen-1-yl)- (CA INDEX NAME)



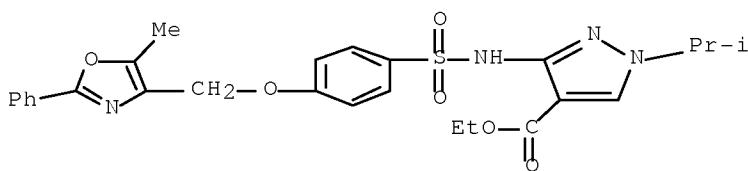
RN 827018-20-2 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]phenyl]sulfonyl]amino]-1-phenyl-, ethyl ester (CA INDEX NAME)



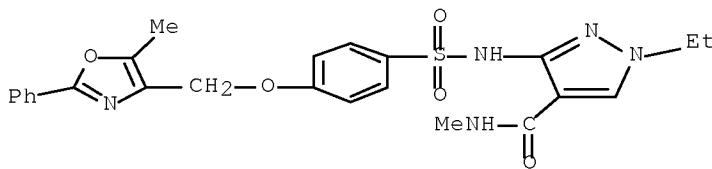
RN 827018-21-3 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 1-(1-methylethyl)-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



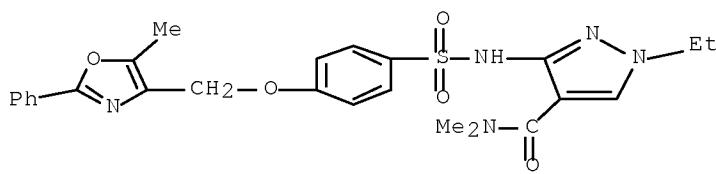
RN 827018-22-4 USPATFULL

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N-methyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



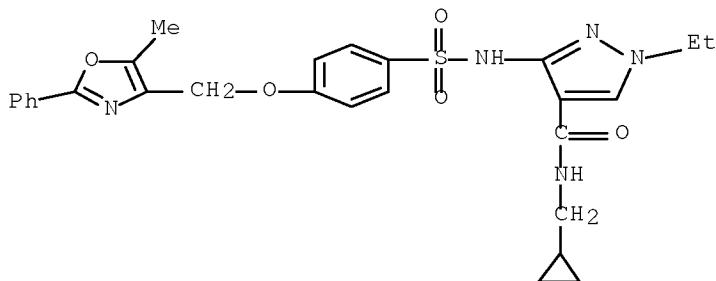
RN 827018-23-5 USPATFULL

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N,N-dimethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



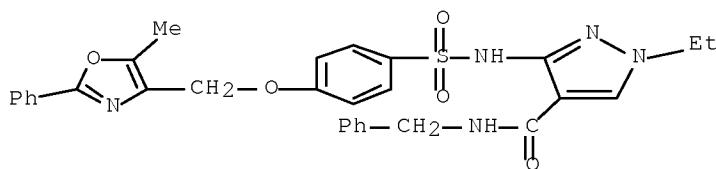
RN 827018-24-6 USPATFULL

CN 1H-Pyrazole-4-carboxamide, N-(cyclopropylmethyl)-1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



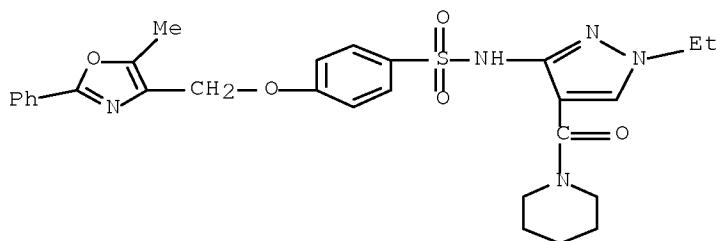
RN 827018-25-7 USPATFULL

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)



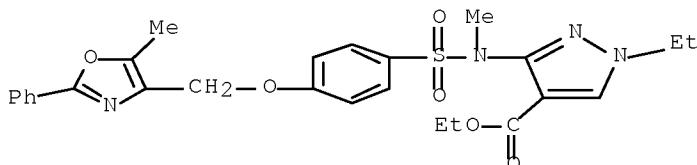
RN 827018-26-8 USPATFULL

CN Benzenesulfonamide, N-[1-ethyl-4-(1-piperidinylcarbonyl)-1H-pyrazol-3-yl]-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)



RN 827018-27-9 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[methyl[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

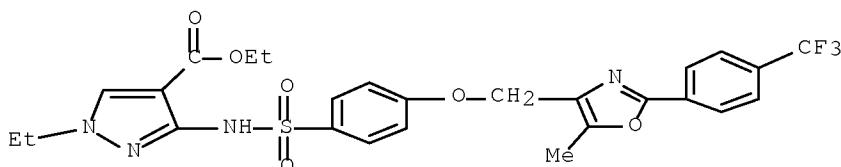


IT 827018-07-5P

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPARs)

RN 827018-07-5 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[4-[(5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



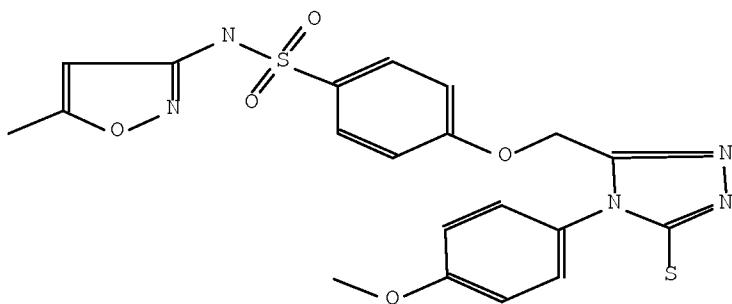
=> d ide 9

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
(Y)/N:Y

L62 ANSWER 9 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN):	5464121
Beilstein Pref. RN (BPR):	141233-26-3
CAS Reg. No. (RN):	141233-26-3
Chemical Name (CN):	4-<<5-mercaptop-4-(4-methoxy-phenyl)-4H-<1,2,4>triazol-3-ylmethoxy>-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide
Autonom Name (AUN):	4-<<5-mercaptop-4-(4-methoxy-phenyl)-4H-<1,2,4>triazol-3-ylmethoxy>-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide
Molec. Formula (MF):	C20 H19 N5 O5 S2

Molecular Weight (MW): 473.52
 Lawson Number (LN): 31559, 30073, 14892, 13884, 289
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 4803040
 Tautomer ID (TAUTID): 5217474
 Beilstein Citation (BSO): 6-27
 Entry Date (DED): 1993/05/04
 Update Date (DUPD): 1994/02/18



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx 9

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?

(Y) /N:Y

L62 ANSWER 9 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID):	3414742
Reactant BRN (.RBRN):	5452422, 606967
Reactant (.RCT):	4-hydrazinocarbonylmethoxy-N-(5-methyl- isoxazol-3-yl)-benzenesulfonamide, 1-isothiocyanato-4-methoxy-benzene
Product BRN (.PBRN):	5464121
Product (.PRO):	4-<5-mercaptop-4-(4-methoxy-phenyl)-4H- <1,2,4>triazol-3-ylmethoxy>-N-(5-methyl- isoxazol-3-yl)-benzenesulfonamide
No. of React. Details (.NVAR):	1

Reaction Details:

RX

Reaction RID (.RID):	3414742.1
Reaction Classification (.CL):	Preparation
Reagent (.RGT):	2.) 2 N aq. NaOH
Other Conditions (.COND):	1.) EtOH, reflux, 4 h, 2.) reflux
Note(s) (.COM):	Yield given. Multistep reaction
Reference(s):	
1.	Vidyasagar, A.; Dave, A. M.; Mehta, M. H.; Agrawal, Y. K., J. Indian Chem. Soc., CODEN: JICSAH, 68(10), <1991>, 576-578; BABS-5654306

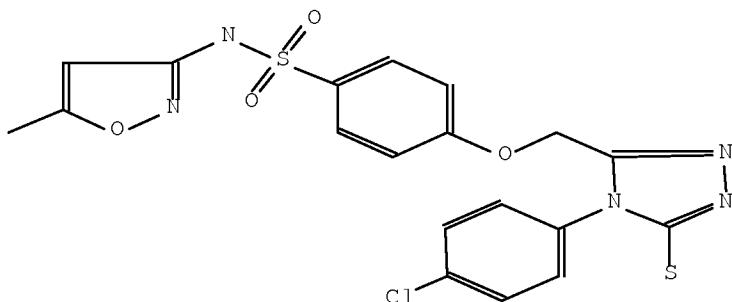
=> d ide 10

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?

(Y) /N:Y

L62 ANSWER 10 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN):	5463783
Beilstein Pref. RN (BPR):	141233-25-2
CAS Reg. No. (RN):	141233-25-2
Chemical Name (CN):	4-<4-(4-chloro-phenyl)-5-mercaptop-4H- <1,2,4>triazol-3-ylmethoxy>-N-(5-methyl- isoxazol-3-yl)-benzenesulfonamide
Autonom Name (AUN):	4-<4-(4-chloro-phenyl)-5-mercaptop-4H- <1,2,4>triazol-3-ylmethoxy>-N-(5-methyl- isoxazol-3-yl)-benzenesulfonamide
Molec. Formula (MF):	C19 H16 Cl N5 O4 S2
Molecular Weight (MW):	477.94
Lawson Number (LN):	31559, 30073, 14132, 13884
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	4802835
Tautomer ID (TAUTID):	5217211
Beilstein Citation (BSO):	6-27
Entry Date (DED):	1993/05/04



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	4
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx 10

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
(Y)/N:Y

L62 ANSWER 10 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID):	2729171
Reactant BRN (.RBRN):	5452422, 471610
Reactant (.RCT):	4-hydrazinocarbonylmethoxy-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide, 1-chloro-4-isothiocyanato-benzene
Product BRN (.PBRN):	5463783
Product (.PRO):	4- <i><4-(4-chloro-phenyl)-5-mercaptop-4H-<1,2,4>triazol-3-ylmethoxy>-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide</i>
No. of React. Details (.NVAR):	1

Reaction Details:

RX

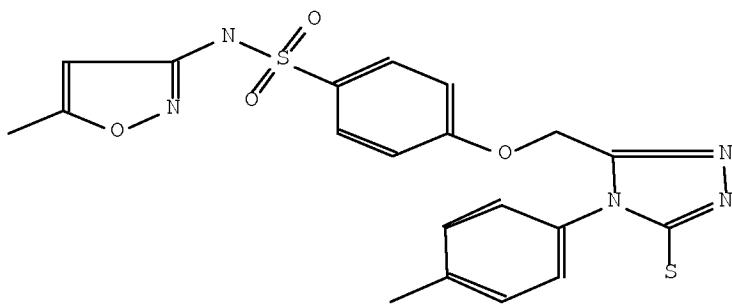
Reaction RID (.RID):	2729171.1
Reaction Classification (.CL):	Preparation
Reagent (.RGT):	2.) 2 N aq. NaOH
Other Conditions (.COND):	1.) EtOH, reflux, 4 h, 2.) reflux
Note(s) (.COM):	Yield given. Multistep reaction
Reference(s):	1. Vidyasagar, A.; Dave, A. M.; Mehta, M. H.; Agrawal, Y. K., J. Indian Chem. Soc., CODEN: JICSAH, 68(10), <1991>, 576-578; BABS-5654306

=> d ide 11

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
(Y)/N:y

L62 ANSWER 11 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN):	5463125
Beilstein Pref. RN (BPR):	141233-27-4
CAS Reg. No. (RN):	141233-27-4
Chemical Name (CN):	4-(5-mercaptop-4-p-tolyl-4H-<1,2,4>triazol-3-ylmethoxy)-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide
Autonom Name (AUN):	4-(5-mercaptop-4-p-tolyl-4H-<1,2,4>triazol-3-ylmethoxy)-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide
Molec. Formula (MF):	C20 H19 N5 O4 S2
Molecular Weight (MW):	457.52
Lawson Number (LN):	31559, 30073, 14141, 13884
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	4803424
Tautomer ID (TAUTID):	5216303
Beilstein Citation (BSO):	6-27
Entry Date (DED):	1993/05/04
Update Date (DUPD):	1994/02/18



Field Availability:

Code	Name	Occurrence
<hr/>		
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	4
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
<hr/>		
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx 11
 YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
 (Y)/N:Y

L62 ANSWER 11 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 2411275
 Reactant BRN (.RBRN): 5452422, 386032
 Reactant (.RCT): 4-hydrazinocarbonylmethoxy-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide,
 1-isothiocyanato-4-methyl-benzene
 Product BRN (.PBRN): 5463125
 Product (.PRO): 4-(5-mercaptop-4-p-tolyl-4H-<1,2,4>triazol-3-ylmethoxy)-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide
 No. of React. Details (.NVAR): 1

Reaction Details:

RX

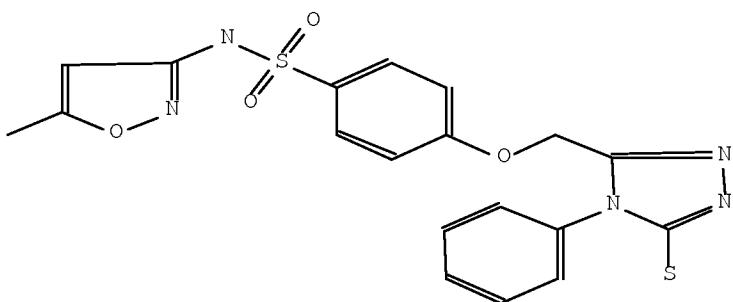
Reaction RID (.RID): 2411275.1
 Reaction Classification (.CL): Preparation
 Reagent (.RGT): 2.) 2 N aq. NaOH
 Other Conditions (.COND): 1.) EtOH, reflux, 4 h, 2.) reflux
 Note(s) (.COM): Yield given. Multistep reaction
 Reference(s):
 1. Vidyasagar, A.; Dave, A. M.; Mehta, M. H.; Agrawal, Y. K., J. Indian Chem. Soc., CODEN: JICSAH, 68(10), <1991>, 576-578; BABS-5654306

=> d ide 12

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
 (Y)/N:Y

L62 ANSWER 12 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5462257
 Beilstein Pref. RN (BPR): 141233-24-1
 CAS Reg. No. (RN): 141233-24-1
 Chemical Name (CN): 4-(5-mercaptop-4-phenyl-4H-<1,2,4>triazol-3-ylmethoxy)-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide
 Autonom Name (AUN): 4-(5-mercaptop-4-phenyl-4H-<1,2,4>triazol-3-ylmethoxy)-N-(5-methyl-isoxazol-3-yl)-benzenesulfonamide
 Molec. Formula (MF): C19 H17 N5 O4 S2
 Molecular Weight (MW): 443.49
 Lawson Number (LN): 31559, 30073, 14131, 13884
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 4800816
 Tautomer ID (TAUTID): 5214780
 Beilstein Citation (BSO): 6-27
 Entry Date (DED): 1993/05/04
 Update Date (DUPD): 1994/02/18



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	4
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx 12
YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
(Y)/N:v

L62 ANSWER 12 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 2719097

10/563,708

Reactant BRN (.RBRN): 5452422, 471392
Reactant (.RCT): 4-hydrazinocarbonylmethoxy-N-(5-methyl-
isoxazol-3-yl)-benzenesulfonamide,
isothiocyanatobenzene
Product BRN (.PBRN): 5462257
Product (.PRO): 4-(5-mercaptop-4-phenyl-4H- $<1,2,4>$ triazol-3-
ylmethoxy)-N-(5-methyl-isoxazol-3-yl)-
benzenesulfonamide
No. of React. Details (.NVAR): 1

Reaction Details:

RX

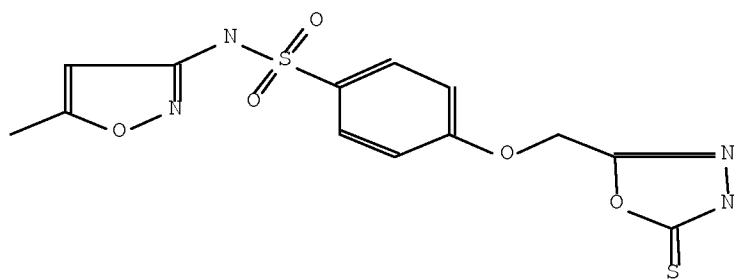
Reaction RID (.RID): 2719097.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): 2.) 2 N aq. NaOH
Other Conditions (.COND): 1.) EtOH, reflux, 4 h, 2.) reflux
Note(s) (.COM): Yield given. Multistep reaction
Reference(s):
1. Vidyasagar, A.; Dave, A. M.; Mehta, M. H.; Agrawal, Y. K., J. Indian
Chem. Soc., CODEN: JICSAH, 68(10), <1991>, 576-578; BABS-5654306

=> d ide 13

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
(Y)/N:y

L62 ANSWER 13 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5456994
Beilstein Pref. RN (BPR): 141233-23-0
CAS Reg. No. (RN): 141233-23-0
Chemical Name (CN): 5-<N-(5-methyl-3-isoxazolyl)benzene
sulphonamido-4-oxyethyl>-2-thio-1,3,4-
oxadiazole
Autonom Name (AUN): N-(5-methyl-isoxazol-3-yl)-4-(5-thioxo-4,5-
dihydro- $<1,3,4>$ oxadiazol-2-ylmethoxy)-
benzenesulfonamide
Molec. Formula (MF): C13 H12 N4 O5 S2
Molecular Weight (MW): 368.38
Lawson Number (LN): 32161, 31559, 13884
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 4790896
Tautomer ID (TAUTID): 5210018
Beilstein Citation (BSO): 6-27
Entry Date (DED): 1993/05/04
Update Date (DUPD): 1994/02/18



Field Availability:

Code	Name	Occurrence
<hr/>		
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
<hr/>		
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx 13

YOU HAVE REQUESTED DATA FROM FILE 'WPIX, HCPLUS, USPATFULL, BEILSTEIN' - CONTINUE?
(Y)/N:Y

L62 ANSWER 13 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 1611075
 Reactant BRN (.RBRN): 5452422, 1098293

10/563,708

Reactant (.RCT): 4-hydrazinocarbonylmethoxy-N-(5-methyl-
isoxazol-3-yl)-benzenesulfonamide, carbon
disulfide
Product BRN (.PBRN): 5456994
Product (.PRO): N-(5-methyl-isoxazol-3-yl)-4-(5-thioxo-4,5-
dihydro-<1,3,4>oxadiazol-2-ylmethoxy)-
benzenesulfonamide
No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 1611075.1
Reaction Classification (.CL): Preparation
Yield (.YDT): 50 percent (BRN=5456994)
Reagent (.RGT): ethanolic KOH
Time (.TIM): 18 hour(s)
Other Conditions (.COND): Heating
Reference(s):
1. Vidyasagar, A.; Dave, A. M.; Mehta, M. H.; Agrawal, Y. K., J. Indian
Chem. Soc., CODEN: JICSAH, 68(10), <1991>, 576-578; BABS-5654306

=> d que nos 144

L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON US2006-563708/APPS
 L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI
 L5 TRANSFER PLU=ON L2 1- RN : 37 TERMS
 L6 37 SEA FILE=REGISTRY ABB=ON PLU=ON L5
 L13 STR
 L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
 L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
 L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
 S"/MF
 L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
 L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
 L26 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L20
 L27 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L22
 L28 2 SEA FILE=HCAPLUS ABB=ON PLU=ON (L26 OR L27)
 L29 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L28 AND (L24 OR L25)
 L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
 NCSC2/ES OR SC4/ES
 L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
 L39 STR
 L41 23 SEA FILE=REGISTRY SUB=L38 SSS FUL L39
 L42 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L41
 L43 0 SEA FILE=HCAPLUS ABB=ON PLU=ON L42 AND (L24 OR L25)
 L44 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L29 OR L43

=> d que nos 134

L13 STR
 L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
 L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
 L32 47 SEA FILE=WPIX SSS FUL L13
 L33 6 SEA FILE=WPIX ABB=ON PLU=ON (RAC2TR/DCN OR RAC2TS/DCN OR
 RAC2TZ/DCN OR RAGQML/DCN OR RAGQMM/DCN OR RAGQMN/DCN OR
 RAGQMO/DCN OR RAGQMP/DCN OR RAGQMQ/DCN OR RAGQMS/DCN OR
 RAGQMT/DCN OR RAGQMU/DCN OR RAGQMV/DCN OR RAGQMW/DCN OR
 RAGQMX/DCN OR RAGQMY/DCN OR RAGQMZ/DCN OR RAGQN0/DCN OR
 RAGQN1/DCN OR RAGQN2/DCN OR RAGQN3/DCN OR RAGQN4/DCN OR
 RAGQN5/DCN OR RAHXNT/DCN OR RAQKGB/DCN OR RAQKGC/DCN OR
 RAQKGD/DCN OR RAQKGG/DCN OR RAQKGH/DCN OR RAQKGI/DCN OR
 RAQKGJ/DCN OR RAQKGK/DCN OR RAQKGL/DCN OR RAQKGM/DCN OR
 RAQKGN/DCN OR RAQKGO/DCN OR RAQKGP/DCN OR RAQKGQ/DCN OR
 RAQKGR/DCN OR RAQKGS/DCN OR RAQKGT/DCN OR RARI2C/DCN OR
 RARI2G/DCN OR RARI2H/DCN OR RARI27/DCN OR RA9ISR/DCN OR
 RA9ITM/DCN) OR L32/DCR
 L34 1 SEA FILE=WPIX ABB=ON PLU=ON L33 AND (L24 OR L25)

=> d his 148

(FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 13:10:42 ON 02 OCT 2008)
 L48 1 S L47 AND L24-L25

=> d que nos 148

L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON US2006-563708/APPS
 L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI
 L5 TRANSFER PLU=ON L2 1- RN : 37 TERMS
 L6 37 SEA FILE=REGISTRY ABB=ON PLU=ON L5
 L13 STR

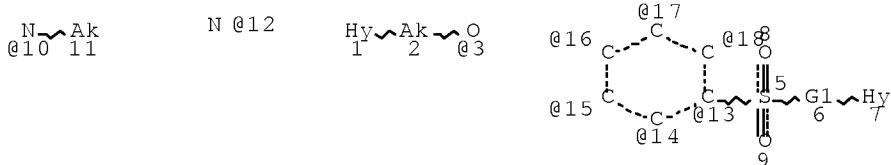
L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
 L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
 L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
 S"/MF
 L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
 L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
 L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
 NCSC2/ES OR SC4/ES
 L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
 L39 STR
 L41 23 SEA FILE=REGISTRY SUB=L38 SSS FUL L39
 L47 2 SEA L20 OR L22 OR L41
 L48 1 SEA L47 AND (L24 OR L25)

=> d que nos 151

L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON US2006-563708/APPS
 L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI
 L5 TRANSFER PLU=ON L2 1- RN : 37 TERMS
 L6 37 SEA FILE=REGISTRY ABB=ON PLU=ON L5
 L13 STR
 L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
 L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
 L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
 S"/MF
 L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
 L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
 L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
 NCSC2/ES OR SC4/ES
 L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
 L39 STR
 L41 23 SEA FILE=REGISTRY SUB=L38 SSS FUL L39
 L50 1 SEA FILE=TOXCENTER ABB=ON PLU=ON L20 OR L22 OR L41
 L51 1 SEA FILE=TOXCENTER ABB=ON PLU=ON L50 AND (L24 OR L25)

=> d que 153

L1 2 SEA FILE=HCAPLUS ABB=ON PLU=ON US2006-563708/APPS
 L2 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 NOT PIXEL/TI
 L5 TRANSFER PLU=ON L2 1- RN : 37 TERMS
 L6 37 SEA FILE=REGISTRY ABB=ON PLU=ON L5
 L13 STR



VAR G1=12/10

VPA 3-13/14/15/16/17/18 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12

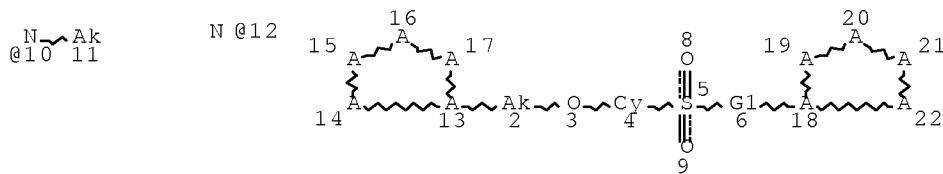
DEFAULT MLEVEL IS ATOM
 GGCAT IS UNS AT 1
 GGCAT IS UNS AT 7
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L18 1320380 SEA FILE=REGISTRY ABB=ON PLU=ON N2C3/ES OR NCOC2/ES
 L20 41 SEA FILE=REGISTRY SUB=L18 SSS FUL L13
 L21 21 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND L20
 L22 1 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6
 S"/MF
 L36 3910521 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR
 NCSC2/ES OR SC4/ES
 L38 31 SEA FILE=REGISTRY SUB=L36 SSS FUL L13
 L39 STR



VAR G1=12/10

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 12
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS X8 C AT 2

GRAPH ATTRIBUTES:

RSPEC 19 13
 NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L41 23 SEA FILE=REGISTRY SUB=L38 SSS FUL L39
 L53 0 SEA L20 OR L22 OR L41

=> d his 161

(FILE 'MEDLINE, BIOSIS, EMBASE, PASCAL, CABA, CEABA-VTB, LIFESCI, BIOENG,
 BIOTECHNO, BIOTECHDS, DRUGU, DRUGB, VETU, VETB, SCISEARCH, CONFSCI,
 DISSABS, RDISCLOSURE' ENTERED AT 13:17:35 ON 02 OCT 2008)

L61 1 S L60 AND L24-L25

=> d que 161

L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
 L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA
 L60 794 SEA ?BENZENESULFONYLAMIN? OR ?BENZENESULPHONYLAMIN? OR
 (?BENZENE?(1T) (?SULFONYL? OR ?SULPHONYL?) (1T) (?AMINO OR

L61 ?AMINE))
1 SEA L60 AND (L24 OR L25)

=> dup rem 144 134 148 151 161
DUPLICATE IS NOT AVAILABLE IN 'RDISCLOSURE'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'HCAPLUS' ENTERED AT 13:33:35 ON 02 OCT 2008
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FILE 'TOXCENTER' ENTERED AT 13:33:35 ON 02 OCT 2008
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FILE 'PASCAL' ENTERED AT 13:33:35 ON 02 OCT 2008
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PROCESSING COMPLETED FOR L44
PROCESSING COMPLETED FOR L34
PROCESSING COMPLETED FOR L48
PROCESSING COMPLETED FOR L51
PROCESSING COMPLETED FOR L61

L63 3 DUP REM L44 L34 L48 L51 L61 (2 DUPLICATES REMOVED)
ANSWER '1' FROM FILE HCAPLUS
ANSWER '2' FROM FILE USPATFULL
ANSWER '3' FROM FILE PASCAL

=> d ibib ed abs hitstr

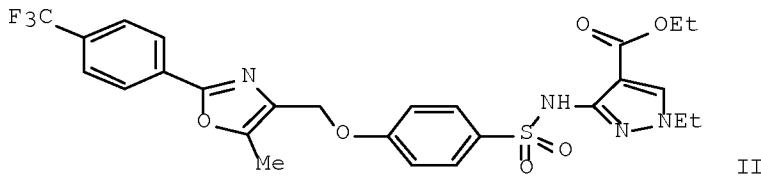
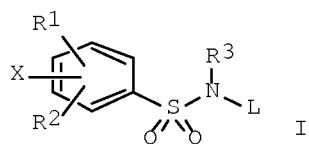
L63 ANSWER 1 OF 3 HCPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1
 ACCESSION NUMBER: 2005:58199 HCPLUS Full-text
 DOCUMENT NUMBER: 142:134592
 TITLE: Preparation of N-pyrazolylbenzenesulfonylamide
 derivatives as activators of PPARs
 INVENTOR(S): Vedananda, Thalaththani Ralalage
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis
 Pharma GmbH
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005421	A1	20050120	WO 2004-EP7442	20040707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004255342	A1	20050120	AU 2004-255342	20040707
CA 2531418	A1	20050120	CA 2004-2531418	20040707
EP 1646628	A1	20060419	EP 2004-740754	20040707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1816546	A	20060809	CN 2004-80019234	20040707
BR 2004012380	A	20060919	BR 2004-12380	20040707
MX 2006PA00118	A	20060427	MX 2006-PA118	20060105
IN 2006CN00071	A	20070629	IN 2006-CN71	20060105
US 20070043020	A1	20070222	US 2006-563708	20060619
PRIORITY APPLN. INFO.:			US 2003-485870P	P 20030708
			WO 2004-EP7442	W 20040707

OTHER SOURCE(S): MARPAT 142:134592

ED Entered STN: 21 Jan 2005

GI

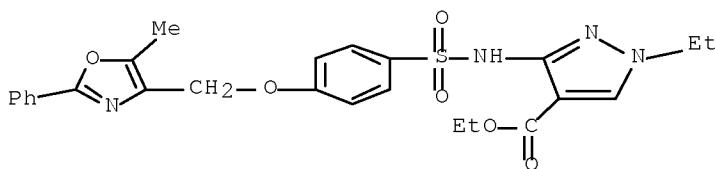


AB Title compds. represented by the formula I [wherein R1, R2= independently H, halo, OH, (un)substituted alkyl(thio), alkoxy, (hetero)aralkyl; R1R2 = (un)substituted (hetero)aromatic ring, alkylene; R3 = H or (un)substituted alkyl; X = Z(CH₂)_pQW; Z = a bond, O, S, CO, etc.; p = 1-8, Q = a bond, O(alkylene), S(alkylene), CO, etc.; W = cycloalkyl, aryl, (hetero)aralkyl, etc.; L = heteroarom. ring; and pharmaceutically acceptable salts thereof, or prodrug derivs. thereof] were prepared as activators of PPARs (Peroxisome Proliferator-Activated Receptors). For example, II was given in a multi-step synthesis starting from 4-hydroxybenzenesulfonic acid sodium salt dihydrate. II showed an EC₅₀ of about 5 nM in the PPAR α receptor binding assay, and an EC₅₀ of about 3 nM in the PPAR γ receptor binding assay. Thus, I and their pharmaceutical compns. are useful for the treatment of conditions mediated by the PPAR receptor activity in mammals, such as dyslipidemia, hyperlipidemia, hypercholesterolemia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, ophthalmic disorders, inflammatory bowel diseases (IBDs) ulcerative colitis and Crohn's disease, and conditions in which impaired glucose tolerance, hyperglycemia and insulin resistance are implicated, such as type-1 and type-2 diabetes, and Syndrome X (no data).

IT 827018-08-6P 827018-09-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPAR receptors)

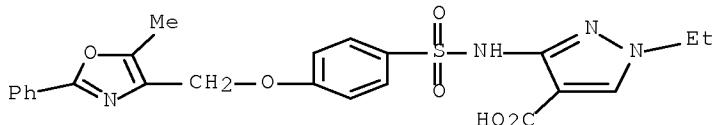
RN 827018-08-6 HCPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 827018-09-7 HCPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



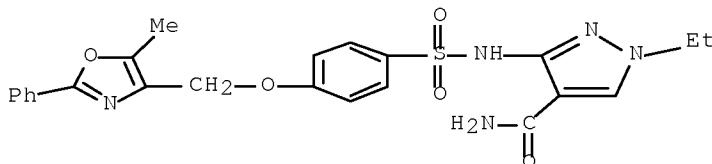
IT 827018-10-0P 827018-11-1P 827018-12-2P
 827018-13-3P 827018-14-4P 827018-15-5P
 827018-16-6P 827018-17-7P 827018-18-8P
 827018-19-9P 827018-20-2P 827018-21-3P
 827018-22-4P 827018-23-5P 827018-24-6P
 827018-25-7P 827018-26-8P 827018-27-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPAR receptors)

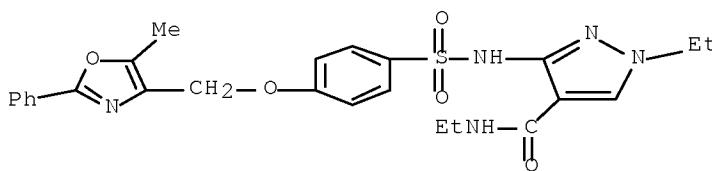
RN 827018-10-0 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



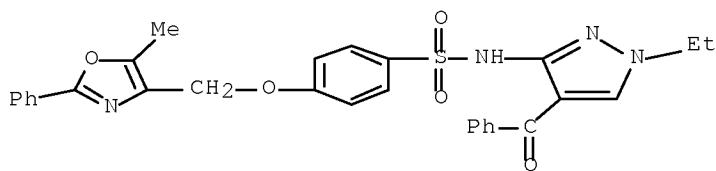
RN 827018-11-1 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, N,1-diethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



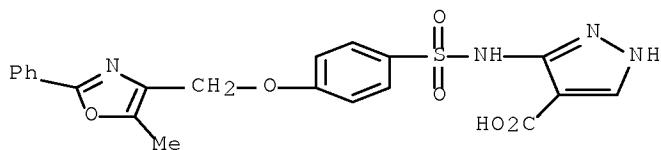
RN 827018-12-2 HCAPLUS

CN Benzenesulfonamide, N-(4-benzoyl-1-ethyl-1H-pyrazol-3-yl)-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)



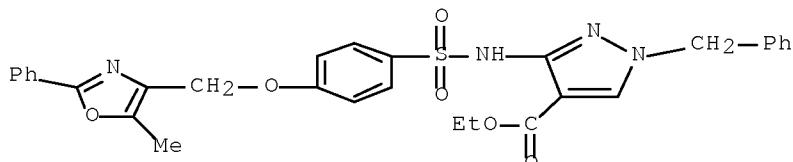
RN 827018-13-3 HCAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



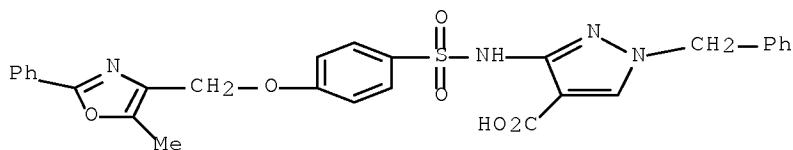
RN 827018-14-4 HCAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



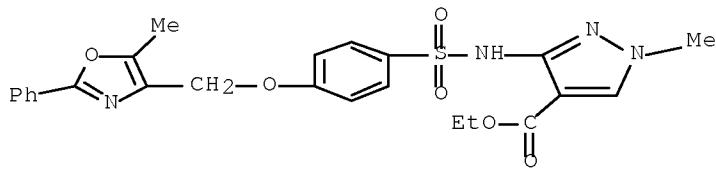
RN 827018-15-5 HCAPLUS

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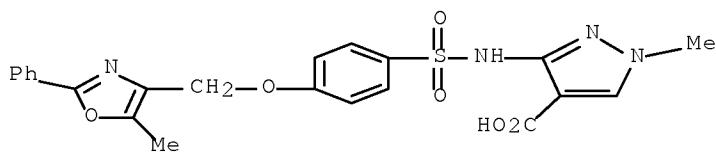
RN 827018-16-6 HCAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-methyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



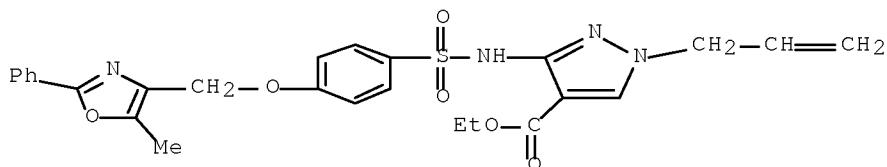
RN 827018-17-7 HCPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-methyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



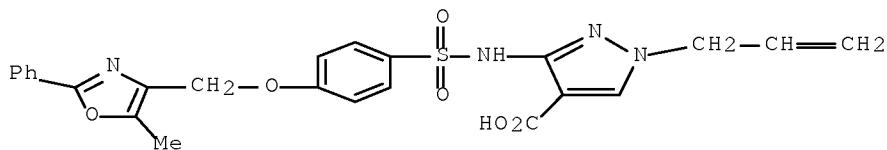
RN 827018-18-8 HCPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(2-propen-1-yl)-, ethyl ester (CA INDEX NAME)



RN 827018-19-9 HCPLUS

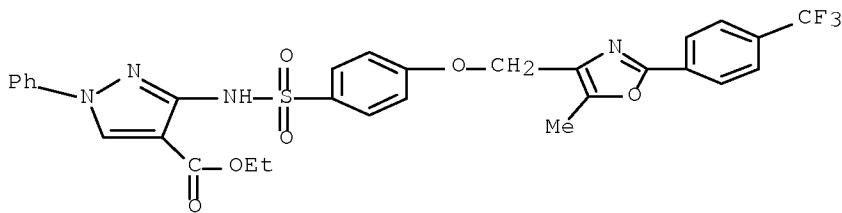
CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(2-propen-1-yl)- (CA INDEX NAME)



RN 827018-20-2 HCPLUS

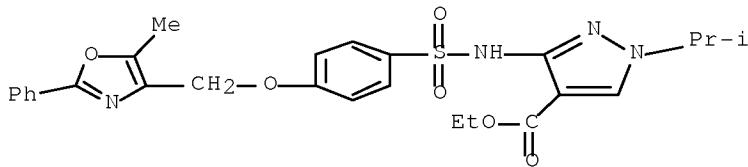
CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-

(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]phenyl]sulfonyl]amino]-1-phenyl-, ethyl ester (CA INDEX NAME)



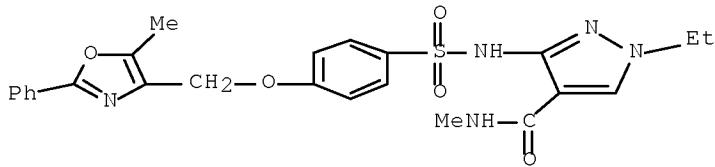
RN 827018-21-3 HCAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-(1-methylethyl)-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



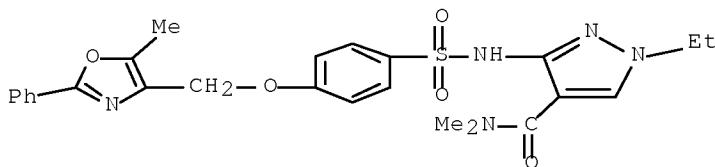
RN 827018-22-4 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N-methyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



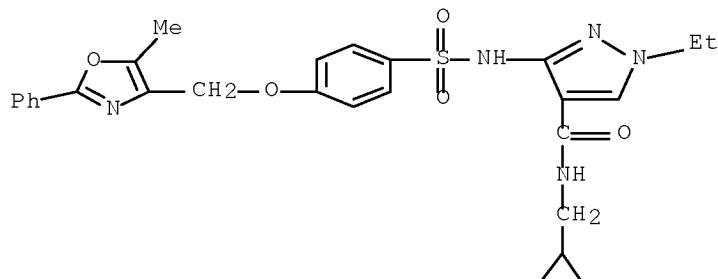
RN 827018-23-5 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N,N-dimethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



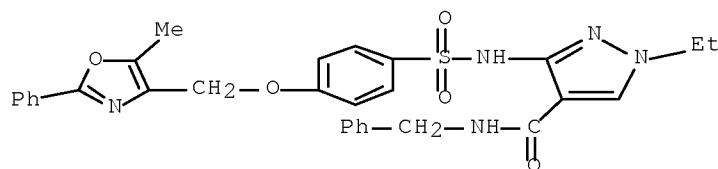
RN 827018-24-6 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(cyclopropylmethyl)-1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



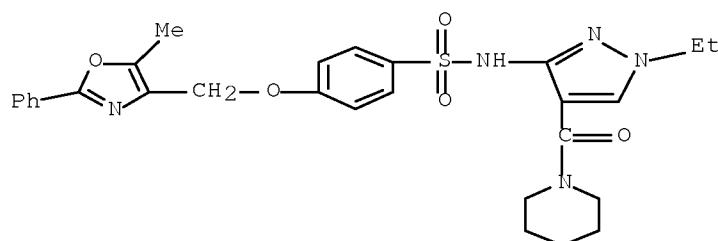
RN 827018-25-7 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)



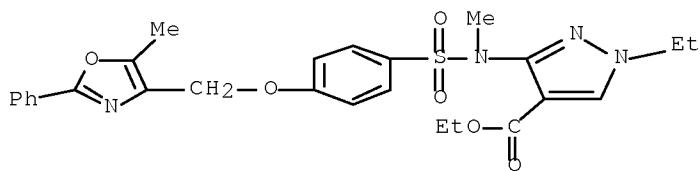
RN 827018-26-8 HCAPLUS

CN Benzenesulfonamide, N-[1-ethyl-4-(1-piperidinylcarbonyl)-1H-pyrazol-3-yl]-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)



RN 827018-27-9 HCAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[methyl[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

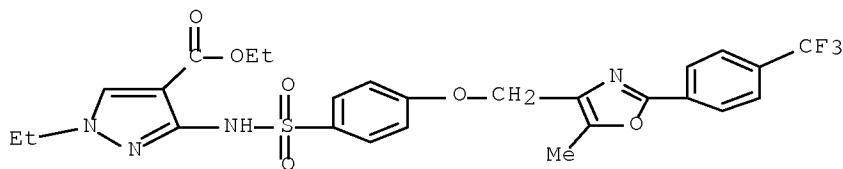


IT 827018-07-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPARS)

RN 827018-07-5 HCPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[4-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L63 ANSWER 2 OF 3 USPATFULL on STN

ACCESSION NUMBER: 2007:49194 USPATFULL [Full-text](#)

TITLE: Benzenesulfonylamino compounds and pharmaceutical compositions containing these compounds

INVENTOR(S): Vedananda Thalaththani Ralalage, Shrewsbury, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20070043020	A1	20070222
APPLICATION INFO.:	US 2004-563708	A1	20040707 (10)
	WO 2004-EP7442		20040707
			20060619 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-485870P	20030708 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	NOVARTIS, CORPORATE INTELLECTUAL PROPERTY, ONE HEALTH PLAZA 104/3, EAST HANOVER, NJ, 07936-1080, US	

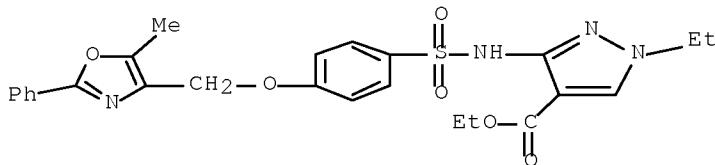
NUMBER OF CLAIMS: 31
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1586

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

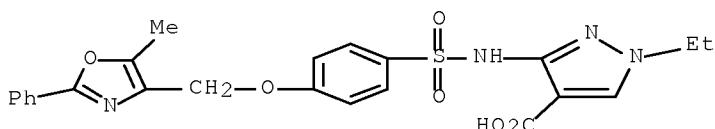
AB Compounds of the formula ##STR1## provide pharmacological agents which bind to Peroxisome Proliferator-Activated Receptors (PPARs). Accordingly, the compounds of the instant invention are useful for the treatment of conditions mediated by the PPAR receptor activity in mammals. Such conditions include dyslipidemia, hyperlipidemia, hypercholesterolemia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, ophthalmic disorders, inflammatory bowel diseases (IBDs), ulcerative colitis and Crohn's disease, and conditions in which impaired glucose tolerance, hyperglycemia and insulin resistance are implicated, such as type-1 and type-2 diabetes, and Syndrome X.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 827018-08-6P 827018-09-7P
 (preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPAR receptors)
 RN 827018-08-6 USPATFULL
 CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 827018-09-7 USPATFULL
 CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

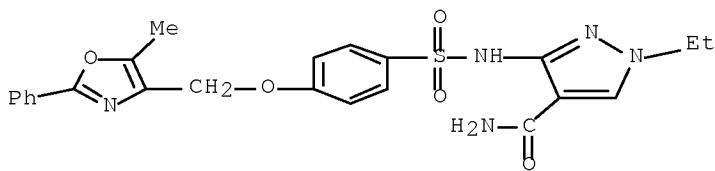


IT 827018-10-0P 827018-11-1P 827018-12-2P
 827018-13-3P 827018-14-4P 827018-15-5P
 827018-16-6P 827018-17-7P 827018-18-8P
 827018-19-9P 827018-20-2P 827018-21-3P
 827018-22-4P 827018-23-5P 827018-24-6P
 827018-25-7P 827018-26-8P 827018-27-9P

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPAR receptors)

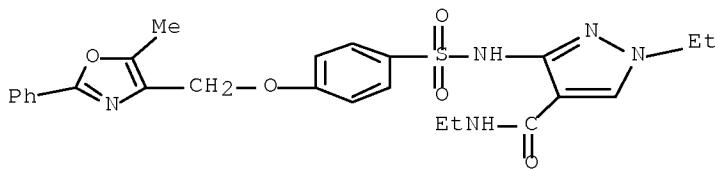
RN 827018-10-0 USPATFULL

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



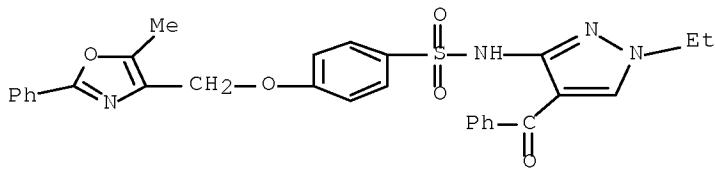
RN 827018-11-1 USPATFULL

CN 1H-Pyrazole-4-carboxamide, N,1-diethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



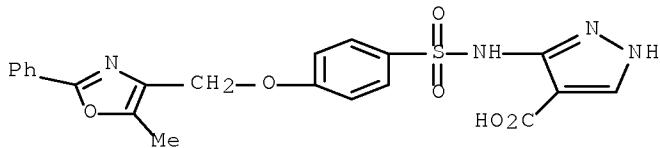
RN 827018-12-2 USPATFULL

CN Benzenesulfonamide, N-(4-benzoyl-1-ethyl-1H-pyrazol-3-yl)-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)



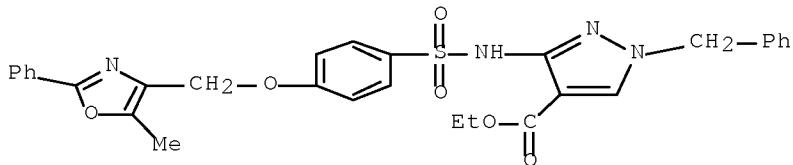
RN 827018-13-3 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



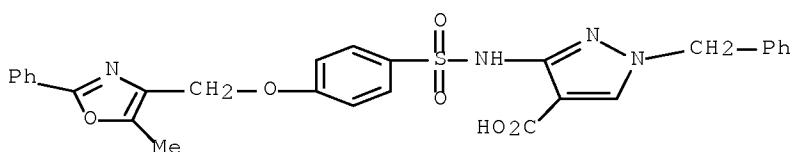
RN 827018-14-4 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



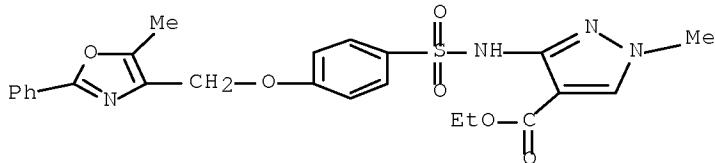
RN 827018-15-5 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(phenylmethyl)- (CA INDEX NAME)



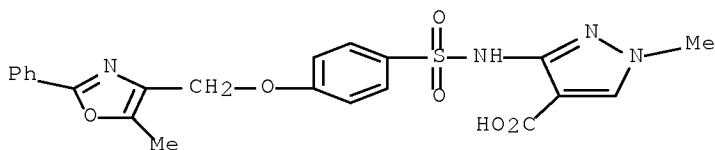
RN 827018-16-6 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 1-methyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

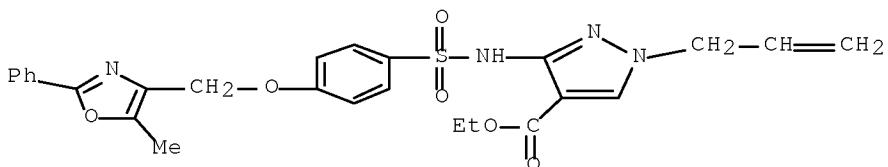


RN 827018-17-7 USPATFULL

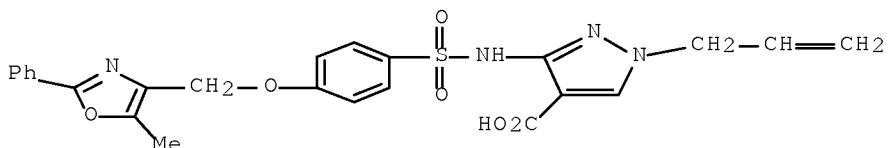
CN 1H-Pyrazole-4-carboxylic acid, 1-methyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



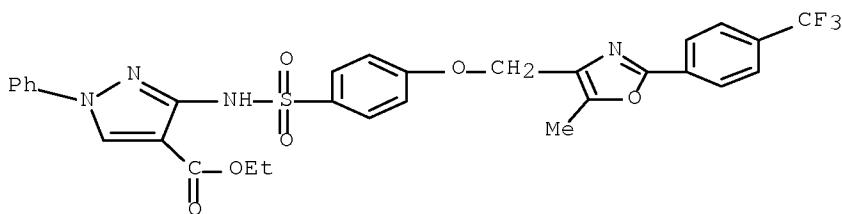
RN 827018-18-8 USPATFULL
 CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(2-propen-1-yl)-, ethyl ester (CA INDEX NAME)



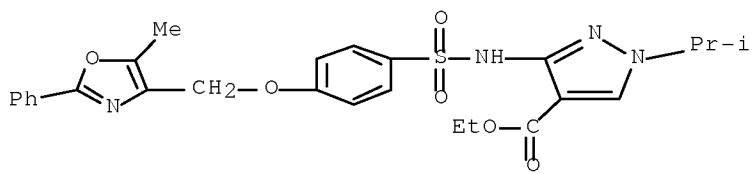
RN 827018-19-9 USPATFULL
 CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(2-propen-1-yl)- (CA INDEX NAME)



RN 827018-20-2 USPATFULL
 CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-[(5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-phenyl-, ethyl ester (CA INDEX NAME)

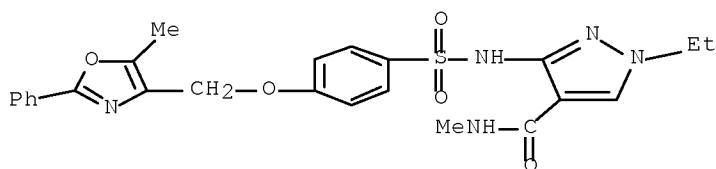


RN 827018-21-3 USPATFULL
 CN 1H-Pyrazole-4-carboxylic acid, 1-(1-methylethyl)-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



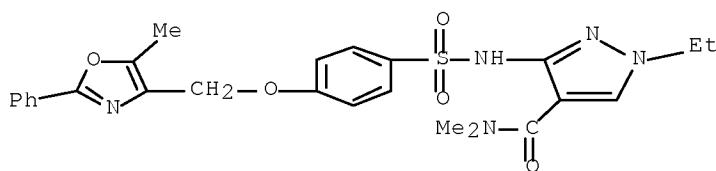
RN 827018-22-4 USPATFULL

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N-methyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



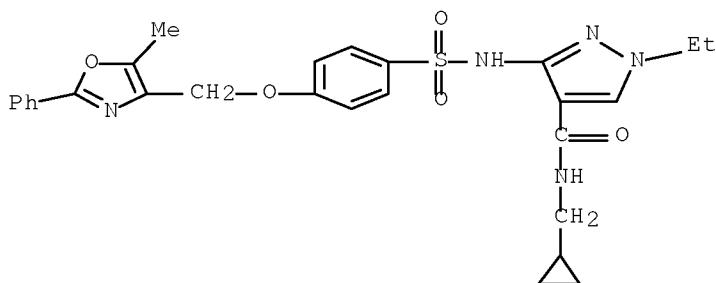
RN 827018-23-5 USPATFULL

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N,N-dimethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



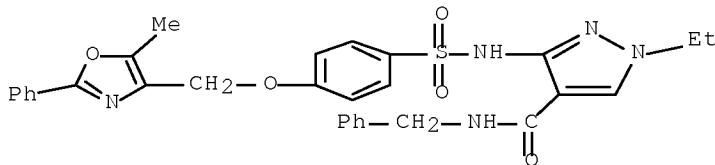
RN 827018-24-6 USPATFULL

CN 1H-Pyrazole-4-carboxamide, N-(cyclopropylmethyl)-1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)



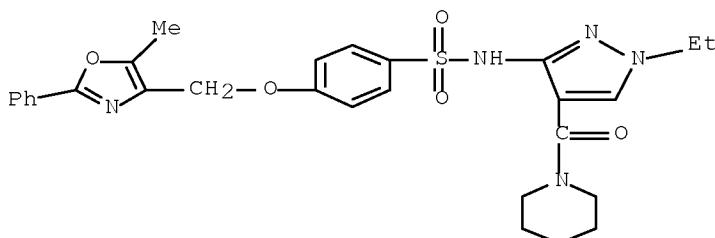
RN 827018-25-7 USPATFULL

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-3-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)



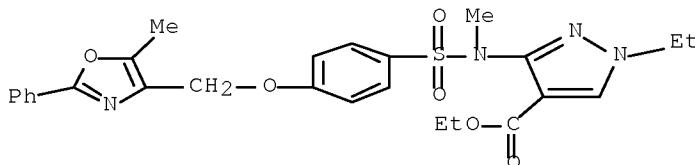
RN 827018-26-8 USPATFULL

CN Benzenesulfonamide, N-[1-ethyl-4-(1-piperidinylcarbonyl)-1H-pyrazol-3-yl]-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)



RN 827018-27-9 USPATFULL

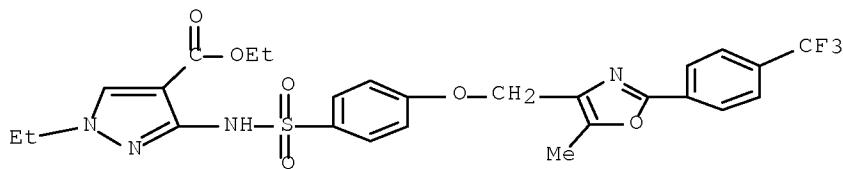
CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[methyl[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

IT 827018-07-5P

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPARs)

RN 827018-07-5 USPATFULL

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[4-[(5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



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L63 ANSWER 3 OF 3 PASCAL COPYRIGHT 2008 INIST-CNRS. ALL RIGHTS RESERVED. on STN

ACCESSION NUMBER: 2004-0340839 PASCAL Full-text

COPYRIGHT NOTICE: Copyright .COPYRGT. 2004 INIST-CNRS. All rights reserved.

TITLE (IN ENGLISH): A novel Pd-catalyzed cyclization reaction of ureas for the synthesis of dihydroquinazolinone p38 kinase inhibitors

AUTHOR: SCHLAPBACH Achim; HENG Richard; DI PADOVA Franco

CORPORATE SOURCE: Novartis Institute for Biomedical Research, Arthritis and Bone Metabolism, Lichtstrasse, 4002 Basel, Switzerland

SOURCE: Bioorganic & medicinal chemistry letters : (Print), (2004), 14(2), 357-360
ISSN: 0960-894X

DOCUMENT TYPE: Journal

BIBLIOGRAPHIC LEVEL: Analytic

COUNTRY: United Kingdom

LANGUAGE: English

NOTE: 3/4 p. ref. et notes

AVAILABILITY: INIST-22446, 354000116251010120

UP 20040723

AB A series of potent p38 inhibitors based on the dihydroquinazoline scaffold was synthesized using a novel Pd-catalyzed cyclization reaction of aryl-benzyl ureas. Optimization of this compound class led to compound 20, which inhibits p38 α in vitro with IC₅₀ = 14 nM and is active in the mouse TNF α -release model.

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 13:35:13 ON 02 OCT 2008

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Sep 26, 2008 (20080926/UP).

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(FILE 'HOME' ENTERED AT 12:15:54 ON 02 OCT 2008)

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FILE 'STNGUIDE' ENTERED AT 12:16:18 ON 02 OCT 2008

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E US2006-563708/APPS

FILE 'HCAPLUS' ENTERED AT 12:16:54 ON 02 OCT 2008
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D QUE L4

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FILE 'REGISTRY' ENTERED AT 12:19:14 ON 02 OCT 2008
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L7 STR

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L11 SCREEN 1788
L12 0 SEA SSS SAM (L11 AND L7)
L13 STR L7

10/563,708

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FILE 'LREGISTRY' ENTERED AT 12:32:51 ON 02 OCT 2008
L16 STR L7

FILE 'REGISTRY' ENTERED AT 12:34:12 ON 02 OCT 2008
L17 0 SEA SSS SAM (L11 AND L16)

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D QUE STAT

FILE 'STNGUIDE' ENTERED AT 12:42:57 ON 02 OCT 2008

FILE 'REGISTRY' ENTERED AT 12:46:51 ON 02 OCT 2008
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D SCAN
L22 1 SEA ABB=ON PLU=ON L21 AND "C26 H25 F3 N4 O6 S"/MF
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D QUE STAT L20
D QUE STAT L22

FILE 'REGISTRY' ENTERED AT 12:51:45 ON 02 OCT 2008
D IDE L22

FILE 'STNGUIDE' ENTERED AT 12:51:45 ON 02 OCT 2008

FILE 'STNGUIDE' ENTERED AT 12:51:59 ON 02 OCT 2008

FILE 'ZCAPLUS' ENTERED AT 12:52:55 ON 02 OCT 2008
L24 QUE ABB=ON PLU=ON VEDANANDA, T?/AU
L25 QUE ABB=ON PLU=ON NOVARTIS/CS, SO, PA

FILE 'HCAPLUS' ENTERED AT 12:54:07 ON 02 OCT 2008
L26 2 SEA ABB=ON PLU=ON L20

10/563,708

L27 1 SEA ABB=ON PLU=ON L22
L28 2 SEA ABB=ON PLU=ON (L26 OR L27)
L29 1 SEA ABB=ON PLU=ON L28 AND (L24 OR L25)
L30 1 SEA ABB=ON PLU=ON L28 NOT L29
D BIB

FILE 'STNGUIDE' ENTERED AT 12:56:01 ON 02 OCT 2008

FILE 'WPIX' ENTERED AT 12:56:10 ON 02 OCT 2008
D QUE L20
L31 3 SEA SSS SAM L13
L32 47 SEA SSS FUL L13
SAVE TEMP L32 GAR708WPIS/A
SELECT L32 1- SDCN
L33 6 SEA ABB=ON PLU=ON (RAC2TR/DCN OR RAC2TS/DCN OR RAC2TZ/DCN OR
RAGQML/DCN OR RAGQMM/DCN OR RAGQMN/DCN OR RAGQMO/DCN OR
RAGQMP/DCN OR RAGQMQ/DCN OR RAGQMS/DCN OR RAGQMT/DCN OR
RAGQMU/DCN OR RAGQMV/DCN OR RAGQMW/DCN OR RAGQMX/DCN OR
RAGQMY/DCN OR RAGQMZ/DCN OR RAGQN0/DCN OR RAGQN1/DCN OR
RAGQN2/DCN OR RAGQN3/DCN OR RAGQN4/DCN OR RAGQN5/DCN OR
RAHXNT/DCN OR RAQKGB/DCN OR RAQKGC/DCN OR RAQKGD/DCN OR
RAQKGG/DCN OR RAQKGH/DCN OR RAQKGI/DCN OR RAQKGJ/DCN OR
RAQKGK/DCN OR RAQKGL/DCN OR RAQKGM/DCN OR RAQKGN/DCN OR
RAQKGO/DCN OR RAQKGP/DCN OR RAQKGQ/DCN OR RAQKGR/DCN OR
RAQKGS/DCN OR RAQKGT/DCN OR RARI2C/DCN OR RARI2G/DCN OR
RARI2H/DCN OR RARI27/DCN OR RA9ISR/DCN OR RA9ITM/DCN) OR
L32/DCR
L34 1 SEA ABB=ON PLU=ON L33 AND (L24 OR L25)
L35 5 SEA ABB=ON PLU=ON L33 NOT L34
D BIB HITSTR 1-5

FILE 'STNGUIDE' ENTERED AT 13:00:50 ON 02 OCT 2008

FILE 'REGISTRY' ENTERED AT 13:02:25 ON 02 OCT 2008
L36 3910521 SEA ABB=ON PLU=ON NCNC2/ES OR N2CNC/ES OR NCSC2/ES OR SC4/ES
L37 0 SEA SUB=L36 SSS SAM L13
D QUE STAT
L38 31 SEA SUB=L36 SSS FUL L13
SAVE TEMP L38 GAR708PSET2/A

FILE 'LREGISTRY' ENTERED AT 13:05:12 ON 02 OCT 2008
L39 STR L16
FILE 'REGISTRY' ENTERED AT 13:05:49 ON 02 OCT 2008
L40 2 SEA SUB=L38 SSS SAM L39
D SCAN
L41 23 SEA SUB=L38 SSS FUL L39
SAVE TEMP L41 GAR708RSET2/A

FILE 'STNGUIDE' ENTERED AT 13:07:55 ON 02 OCT 2008

FILE 'HCAPLUS' ENTERED AT 13:08:07 ON 02 OCT 2008
L42 3 SEA ABB=ON PLU=ON L41
L43 0 SEA ABB=ON PLU=ON L42 AND (L24 OR L25)
L44 1 SEA ABB=ON PLU=ON L29 OR L43
L45 3 SEA ABB=ON PLU=ON L42 NOT L44
L46 3 SEA ABB=ON PLU=ON L45 OR L30
D BIB 1-3

10/563,708

FILE 'STNGUIDE' ENTERED AT 13:09:11 ON 02 OCT 2008

FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 13:10:42 ON 02 OCT 2008

L47 2 SEA ABB=ON PLU=ON L20 OR L22 OR L41
L48 1 SEA ABB=ON PLU=ON L47 AND (L24 OR L25)
L49 1 SEA ABB=ON PLU=ON L47 NOT L48

FILE 'STNGUIDE' ENTERED AT 13:11:26 ON 02 OCT 2008

FILE 'TOXCENTER' ENTERED AT 13:11:38 ON 02 OCT 2008

L50 1 SEA ABB=ON PLU=ON L20 OR L22 OR L41
L51 1 SEA ABB=ON PLU=ON L50 AND (L24 OR L25)
L52 0 SEA ABB=ON PLU=ON L50 NOT L51

FILE 'STNGUIDE' ENTERED AT 13:12:25 ON 02 OCT 2008

FILE 'MEDLINE, BIOSIS, EMBASE, BIOTECHNO, CABA, DRUGU, VETU' ENTERED AT 13:12:48 ON 02 OCT 2008

L53 0 SEA ABB=ON PLU=ON L20 OR L22 OR L41

FILE 'STNGUIDE' ENTERED AT 13:13:13 ON 02 OCT 2008

D QUE L41

FILE 'BEILSTEIN' ENTERED AT 13:13:30 ON 02 OCT 2008

L54 1 SEA SSS SAM L39
D QUE STAT
L55 5 SEA SSS FUL L39
SAVE TEMP L55 GAR708BEIP/A
L56 1 SEA SUB=L55 SSS SAM L13
L57 5 SEA SUB=L55 SSS FUL L13
SAVE TEMP L57 GAR708BEIR/A

FILE 'STNGUIDE' ENTERED AT 13:15:39 ON 02 OCT 2008

D QUE L55

FILE 'CHEMINFORMRX' ENTERED AT 13:16:02 ON 02 OCT 2008

L58 0 SEA SSS SAM L39 (0 REACTIONS)
L59 0 SEA SSS FUL L39 (0 REACTIONS)

FILE 'STNGUIDE' ENTERED AT 13:16:35 ON 02 OCT 2008

FILE 'MEDLINE, BIOSIS, EMBASE, PASCAL, CABA, CEABA-VTB, LIFESCI, BIOENG, BIOTECHNO, BIOTECHDS, DRUGU, DRUGB, VETU, VETB, SCISEARCH, CONFSCI, DISSABS, RDISCLOSURE' ENTERED AT 13:17:35 ON 02 OCT 2008

L60 794 SEA ABB=ON PLU=ON ?BENZENESULFONYLAMIN? OR ?BENZENESULPHONYLA
MIN? OR (?BENZENE?(1T)(?SULFONYL? OR ?SULPHONYL?)(1T)(?AMINO
OR ?AMINE))
L61 1 SEA ABB=ON PLU=ON L60 AND (L24 OR L25)

FILE 'STNGUIDE' ENTERED AT 13:22:22 ON 02 OCT 2008

D QUE STAT L20
D QUE STAT L22
D QUE STAT L38
D QUE STAT L41
D QUE STAT L32
D QUE L35
D QUE NOS L49
D QUE NOS L52
D QUE L53
D QUE STAT L55

D QUE STAT L57
 D QUE STAT L59
 D QUE L46

FILE 'HCAPLUS, WPIX, USPATFULL, BEILSTEIN' ENTERED AT 13:27:49 ON 02 OCT 2008

L62 13 DUP REM L46 L35 L49 L52 L57 L59 (1 DUPLICATE REMOVED)
 ANSWERS '1-3' FROM FILE HCAPLUS
 ANSWERS '4-7' FROM FILE WPIX
 ANSWER '8' FROM FILE USPATFULL
 ANSWERS '9-13' FROM FILE BEILSTEIN
 SAVE TEMP L62 GAR708MAIN/A

FILE 'STNGUIDE' ENTERED AT 13:28:02 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:29:35 ON 02 OCT 2008
 D IBIB ED ABS HITSTR 1-3

FILE 'STNGUIDE' ENTERED AT 13:29:37 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:30:37 ON 02 OCT 2008
 D IALL ABEQ TECH ABEX HITSTR 4-7

FILE 'STNGUIDE' ENTERED AT 13:30:44 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:31:01 ON 02 OCT 2008
 D IBIB AB HITSTR 8

FILE 'STNGUIDE' ENTERED AT 13:31:02 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:31:12 ON 02 OCT 2008
 D IDE 9

FILE 'STNGUIDE' ENTERED AT 13:31:13 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:31:28 ON 02 OCT 2008
 D RX 9

FILE 'STNGUIDE' ENTERED AT 13:31:28 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:31:35 ON 02 OCT 2008
 D IDE 10

FILE 'STNGUIDE' ENTERED AT 13:31:35 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:31:42 ON 02 OCT 2008
 D RX 10

FILE 'STNGUIDE' ENTERED AT 13:31:43 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:31:50 ON 02 OCT 2008
 D IDE 11

FILE 'STNGUIDE' ENTERED AT 13:31:51 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:31:56 ON 02 OCT 2008

D RX 11

FILE 'STNGUIDE' ENTERED AT 13:31:57 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:32:03 ON 02 OCT 2008

D IDE 12

FILE 'STNGUIDE' ENTERED AT 13:32:04 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:32:09 ON 02 OCT 2008

D RX 12

FILE 'STNGUIDE' ENTERED AT 13:32:09 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:32:16 ON 02 OCT 2008

D IDE 13

FILE 'STNGUIDE' ENTERED AT 13:32:17 ON 02 OCT 2008

FILE 'WPIX, HCAPLUS, USPATFULL, BEILSTEIN' ENTERED AT 13:32:23 ON 02 OCT 2008

D RX 13

FILE 'STNGUIDE' ENTERED AT 13:32:23 ON 02 OCT 2008

D QUE NOS L44

D QUE NOS L34

D QUE NOS L48

D QUE NOS L51

D QUE L53

D QUE L61

FILE 'HCAPLUS, WPIX, USPATFULL, TOXCENTER, PASCAL' ENTERED AT 13:33:35 ON 02 OCT 2008

L63 3 DUP REM L44 L34 L48 L51 L61 (2 DUPLICATES REMOVED)
 ANSWER '1' FROM FILE HCAPLUS
 ANSWER '2' FROM FILE USPATFULL
 ANSWER '3' FROM FILE PASCAL
 SAVE TEMP L63 GAR708INV/A
 D IBIB ED ABS HITSTR
 D IBIB ABS HITSTR 2
 D IBIB ED AB 3

FILE 'STNGUIDE' ENTERED AT 13:35:13 ON 02 OCT 2008

FILE HOME

FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Sep 26, 2008 (20080926/UP).

FILE ZCAPLUS

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FILE COVERS 1907 - 2 Oct 2008 VOL 149 ISS 14
FILE LAST UPDATED: 1 Oct 2008 (20081001/ED)

ZCplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE HCPLUS

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FILE COVERS 1907 - 2 Oct 2008 VOL 149 ISS 14
FILE LAST UPDATED: 1 Oct 2008 (20081001/ED)

HCplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE WPIX

FILE LAST UPDATED: 30 SEP 2008 <20080930/UP>
MOST RECENT UPDATE: 200862 <200862/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.1 million chemical structures in DCR <<<

>>> IPC Reform backfile reclassifications have been loaded to the end of June 2008. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC, 20071130/UPIC, 20080401/UPIC and 20080701/UPIC.
ECLA reclassifications to June and US national classifications to the end of April 2008 have also been loaded. Update dates 20080401 and 20080701/UPIC and /UPNC have been assigned to these. <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:

http://www.stn-international.de/training_center/patents/stn_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
<http://scientific.thomsonreuters.com/support/patents/coverage/latestupdate>

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0:
http://www.stn-international.com/archive/presentations/DWPIAnaVist2_0608.p

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 OCT 2008 HIGHEST RN 1056151-32-6

DICTIONARY FILE UPDATES: 1 OCT 2008 HIGHEST RN 1056151-32-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

FILE LREGISTRY

LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 2 Oct 2008 (20081002/PD)

FILE LAST UPDATED: 2 Oct 2008 (20081002/ED)

HIGHEST GRANTED PATENT NUMBER: US7430762

HIGHEST APPLICATION PUBLICATION NUMBER: US20080244796

CA INDEXING IS CURRENT THROUGH 2 Oct 2008 (20081002/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 2 Oct 2008 (20081002/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2008

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2008

USPATFULL now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

FILE USPATOLD

FILE COVERS U.S. PATENTS 1790-1975

Produced using data provided by Univentio.

This database was created using Optical Character Recognition (OCR) technology. For this reason, some characters may be missing or

mistranslated. In order to improve searchability and retrieval, CA indexing information has been added to the Title, Inventor, and Patent Assignee fields where possible. Please see HELP CASDATA for more information on the availability of CAS indexing in this database.

USPATOLD now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 2 Oct 2008 (20081002/PD)
FILE LAST UPDATED: 2 Oct 2008 (20081002/ED)
HIGHEST GRANTED PATENT NUMBER: US20070164820
HIGHEST APPLICATION PUBLICATION NUMBER: US20080243521
CA INDEXING IS CURRENT THROUGH 2 Oct 2008 (20081002/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 2 Oct 2008 (20081002/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2008
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2008

USPAT2 now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

FILE TOXCENTER

FILE COVERS 1907 TO 30 Sep 2008 (20080930/ED)

The MEDLINE file segment has been updated with the National Library of Medicine's revised 2008 MeSH terms. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

The BIOSIS segment of TOXCENTER has been augmented with 13,000 records from 1946 through 1968.

FILE MEDLINE

FILE LAST UPDATED: 1 Oct 2008 (20081001/UP). FILE COVERS 1949 TO DATE.

MEDLINE has been updated with the National Library of Medicine's revised 2008 MeSH terms. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

MEDLINE Accession Numbers (ANs) for records from 1950-1977 have been converted from 8 to 10 digits. Searches using an 8 or 10 digit AN will retrieve the same record. The 10-digit ANs can be expanded, searched, and displayed in all records from 1949 to the present.

FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNS) PRESENT FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 1 October 2008 (20081001/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current

BIOSIS indexing.

FILE EMBASE
FILE COVERS 1974 TO 1 Oct 2008 (20081001/ED)

EMBASE was reloaded on March 30, 2008.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Beginning January 2008, Elsevier will no longer provide EMTREE codes as part of the EMTREE thesaurus in EMBASE. Please update your current-awareness alerts (SDIs) if they contain EMTREE codes.

For further assistance, please contact your local helpdesk.

FILE BIOTECHNO
FILE LAST UPDATED: 7 JAN 2004 <20040107/UP>
FILE COVERS 1980 TO 2003.
THIS FILE IS A STATIC FILE WITH NO UPDATES

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN
/CT AND BASIC INDEX <<<

FILE CABA
FILE COVERS 1973 TO 2 Oct 2008 (20081002/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The CABA file was reloaded 7 December 2003. Enter HELP RLOAD for details.

FILE DRUGU
FILE LAST UPDATED: 2 OCT 2008 <20081002/UP>
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<
>>> FILE COVERS 1983 TO DATE <<<
>>> THESAURUS AVAILABLE IN /CT <<<

FILE VETU
FILE LAST UPDATED: 2 JAN 2002 <20020102/UP>
FILE COVERS 1983-2001

FILE BEILSTEIN
FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.
FILE CONTAINS 10,322,808 SUBSTANCES

>>> PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search

for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
 * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
 * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
 * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
 * FOR PRICE INFORMATION SEE HELP COST *

>>> Price change as of January 1st, 2008: Connect Time and Structure Search fees re-introduced. See NEWS and HELP COST <<<

FILE CHEMINFORMRX
 FILE LAST UPDATED: 9 JUN 2008 <20080609/UP>

>>> CAS Registry Numbers are available for substances prior to 1995 <<<

FILE PASCAL
 FILE LAST UPDATED: 29 SEP 2008 <20080929/UP>
 FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN THE BASIC INDEX (/BI) FIELD <<<

FILE CEABA-VTB
 FILE LAST UPDATED: 23 SEP 2008 <20080923/UP>
 FILE COVERS 1966 TO DATE

>>> DECHHEMA, the producer of CEABA-VTB is using a new classification scheme.
 The new classification schemes are available as a PDF file and may be downloaded free-of-charge from:
<http://www.stn-international.de/news/cc-de.pdf>
 and
<http://www.stn-international.de/news/cc-en.pdf> <<<

FILE LIFESCI
 FILE COVERS 1978 TO 10 Sep 2008 (20080910/ED)

FILE BIOENG
 FILE LAST UPDATED: 13 AUG 2008 <20080813/UP>
 FILE COVERS 1982 TO DATE

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN THE BASIC INDEX <<<

FILE BIOTECHDS
 FILE LAST UPDATED: 30 SEP 2008 <20080930/UP>
 FILE COVERS 1982 TO DATE

>>> USE OF THIS FILE IS LIMITED TO BIOTECH SUBSCRIBERS <<<

FILE DRUGB
>>> FILE COVERS 1964 TO 1982 - CLOSED FILE <<<

FILE VETB
FILE LAST UPDATED: 25 SEP 94 <940925/UP>
FILE COVERS 1968-1982

FILE SCISEARCH

FILE COVERS 1974 TO 26 Sep 2008 (20080926/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE CONFSCI
FILE COVERS 1973 TO 12 Sep 2008 (20080912/ED)

CSA has resumed updates, see NEWS FILE

FILE DISSABS
FILE COVERS 1861 TO 25 SEP 2008 (20080925/ED)

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FILE RDISCLOSURE
FILE LAST UPDATED: 11 SEP 2008 <20080911/UP>
FILE COVERS 1960 TO DATE

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>>> IMAGES ARE AVAILABLE ONLINE AND FOR EMAIL-PRINTS <<<

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